

09/ 716,962

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Index  
NEWS 15 Oct 09 Number of Derwent World Patents Index updates increased  
NEWS 16 Oct 15 Calculated properties now in the REGISTRY/ZREGISTRY File  
NEWS 17 Oct 22 Over 1 million reactions added to CASREACT  
NEWS 18 Oct 22 DGENE GETSIM has been improved  
NEWS 19 Oct 29 AAASD no longer available  
NEWS 20 Nov 19 New Search Capabilities USPATFULL and USPAT2  
NEWS 21 Nov 19 TOXCENTER(SM) - new toxicology file now available on STN  
  
NEWS EXPRESS August 15 CURRENT WINDOWS VERSION IS V6.0c,  
CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP),  
AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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FILE 'HOME' ENTERED AT 16:35:20 ON 27 NOV 2001

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=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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0.15

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DICTIONARY FILE UPDATES: 26 NOV 2001 HIGHEST RN 371913-98-3

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

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Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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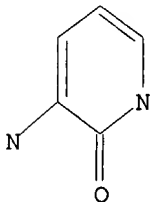
Uploading 09716962.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:36:04 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1910 TO ITERATE

52.4% PROCESSED 1000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 35580 TO 40820

PROJECTED ANSWERS: 6750 TO 9140

L2 50 SEA SSS SAM L1

09/ 716,962

=> s l1 ful  
FULL SEARCH INITIATED 16:36:36 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 38472 TO ITERATE

100.0% PROCESSED 38472 ITERATIONS 8401 ANSWERS  
SEARCH TIME: 00.00.01

L3 8401 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 133.87 134.02

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FILE COVERS 1947 - 27 Nov 2001 VOL 135 ISS 23  
FILE LAST UPDATED: 26 Nov 2001 (20011126/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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=> s l3/thu  
2305 L3  
409138 THU/RL  
L4 301 L3/THU  
(L3 (L) THU/RL)

=> s l4 and (thrombo? or coagula? or anticoagula?)  
54142 THROMBO?  
96814 COAGULA?  
21123 ANTICOAGULA?  
L5 28 L4 AND (THROMBO? OR COAGULA? OR ANTICOAGULA?)

09/ 716,962

=> d 15 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 28 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:780941 CAPLUS

DOCUMENT NUMBER: 135:331445

TITLE: Preparation of pyrazinone and pyridinone derivatives as thrombin inhibitors

INVENTOR(S): Semple, Joseph Edward; Araldi, Gian Luca

PATENT ASSIGNEE(S): Corvas International, Inc., USA

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

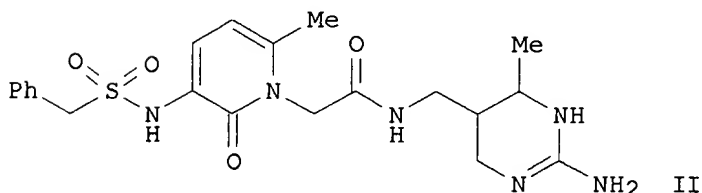
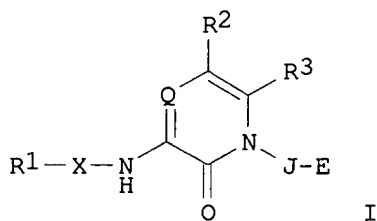
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079262	A1	20011025	WO 2001-US12338	20010413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-549453 A 20000414

OTHER SOURCE(S): MARPAT 135:331445

GI



AB The present invention provides compds. represented by formula (I) which have a pyrazinone or pyridinone ring at P3 and which feature a six member heterocyclic ring having two ring nitrogen atoms and the remainder of the ring atoms carbon atoms at P1 [wherein X = SO<sub>2</sub>, (un)substituted NHSO<sub>2</sub> or NHCO, CO, O<sub>2</sub>C, direct link; R1 = (un)substituted C1-12 alkyl, C3-8



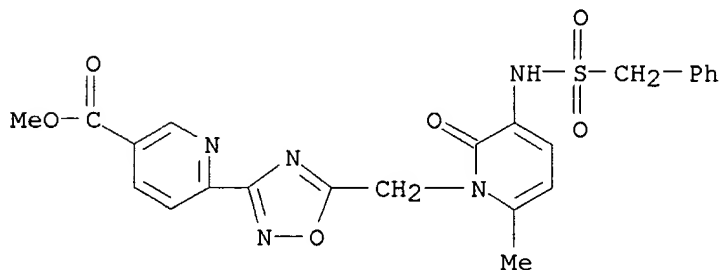
cycloalkyl-C1-6 alkyl, C3-15 cycloalkyl, C4-10 heterocycloalkyl, C4-10 heterocyclyl, C2-6 alkenyl (optionally substituted by (un)substituted C3-8 cycloalkyl), C6-14 aryl, C5-14 heteroaryl, C7-15 aralkyl, C5-14 heteroaralkyl, etc.; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C3-7 cycloalkyl, C1-5 alkoxy, CF3; Q = N, (un)substituted CH; J = CHR6CONHCHR7, Q1, trans-CHR6CH:CHCHR7, Q2; q = 0,1; R6 = H, C1-3 alkyl; R6a, R6b = H, F, Cl, C1-3 alkyl; R7 = H, C1-3 alkyl, COR7a, CH2OR7b (R7a = C1-6 alkyl or alkoxy, NH2, C1-6 alkylamino, C2-16 dialkylamino; R7b = H, acyl, C1-6 alkyl; Q7, Q8, Q9 = (un)substituted CH, N, S, O); E = a (un)substituted 6-membered heterocyclyl having 2 ring N atoms and carbons atoms as the remainder of the ring atoms which is substituted with (un)substituted NH2 on a ring carbon atom.] and pharmacol. acceptable salts thereof. These compds. have biol. activity as active and potent inhibitors of thrombin. Their pharmaceutically acceptable salts, pharmaceutical compns. thereof and methods of using these compds. and pharmaceutical compns. comprising these compds. as therapeutic agents for treatment of disease states in mammals which are characterized by abnormal **thrombosis** are also described. Thus, 2-amino-5-aminomethyl-4-methyl-3,4,5,6-tetrahydropyrimidine (prepn. given) was condensed with 3-(benzylsulfonylamino)-6-methyl-2-oxo-1,2-dihydropyridine-1-acetic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, HOBt, and N-Methylmorpholine in THF at room temp. for 16 h to give N-[(2-amino-4-methyl-3,4,5,6-tetrahydropyrimidin-5-yl)methyl]-3-(benzylsulfonylamino)-6-methyl-2-oxo-1,2-dihydropyridine-1-acetamide (II). The compds. I of the present invention exhibited the high degree of specificity for the inhibition of .alpha.-thrombin compared to other related serine proteases. For example, II in vitro showed IC50 of .ltoreq.100 nM against thrombin fIIa while it was inactive against factor Xa and trypsin.

IT 369384-11-2P 369384-12-3P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(pyrazinone and pyridinone derivs. as thrombin inhibitors for treatment of abnormal **thrombosis**)

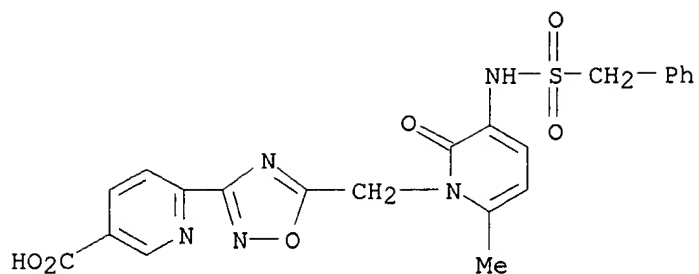
RN 369384-11-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[5-[[6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 369384-12-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-[5-[[6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



IT 369383-68-6P 369383-69-7P 369383-71-1P  
 369383-72-2P 369383-73-3P 369383-74-4P  
 369383-75-5P 369383-77-7P 369383-78-8P  
 369383-79-9P 369383-80-2P 369383-81-3P  
 369383-82-4P 369383-83-5P 369383-84-6P  
 369383-85-7P 369383-86-8P 369383-87-9P  
 369383-88-0P 369383-89-1P 369383-90-4P  
 369383-91-5P 369383-92-6P 369383-93-7P  
 369383-94-8P 369383-95-9P 369384-58-7P  
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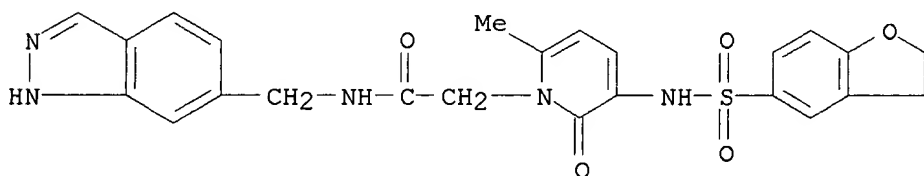
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(pyrazinone and pyridinone derivs. as thrombin inhibitors for treatment of abnormal **thrombosis**)

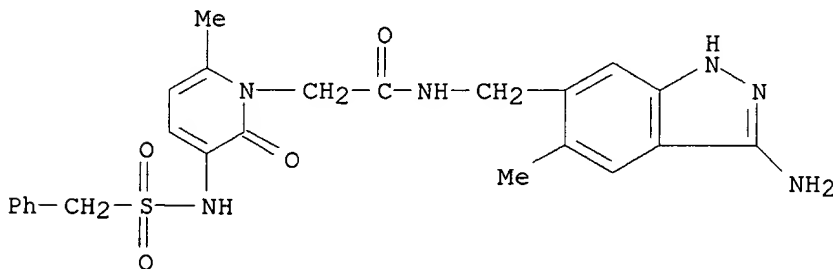
RN 369383-68-6 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-6-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-69-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5-methyl-1H-indazol-6-yl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

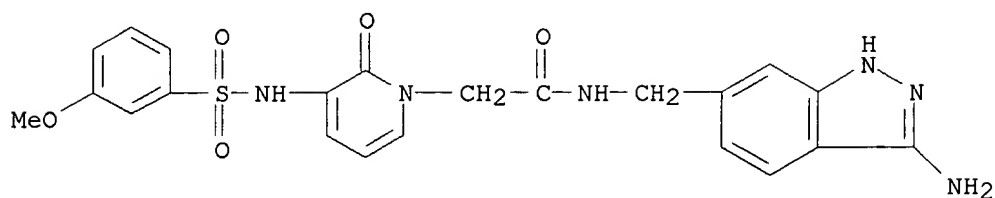


RN 369383-71-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-6-yl)methyl]-3-[[[(3-

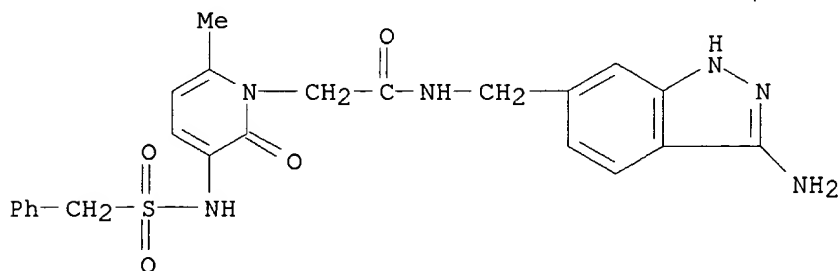
09/ 716,962

methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



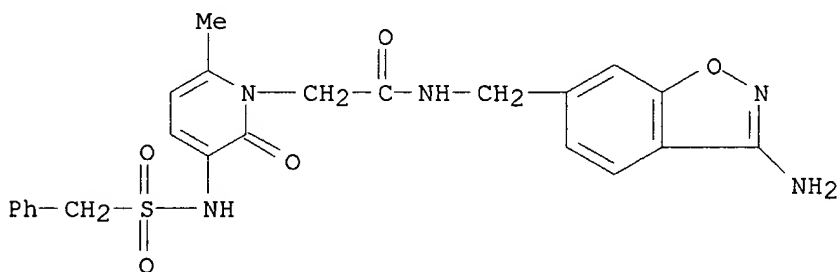
RN 369383-72-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-73-3 CAPLUS

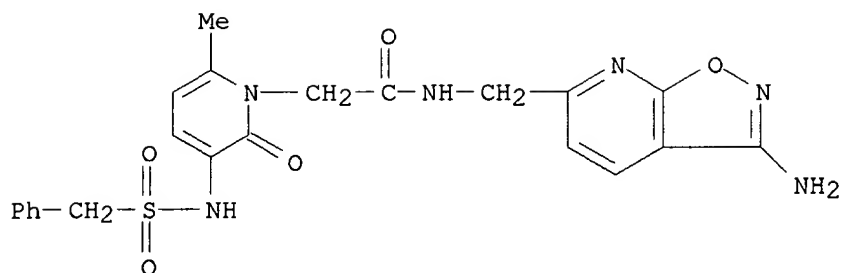
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1,2-benzisoxazol-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-74-4 CAPLUS

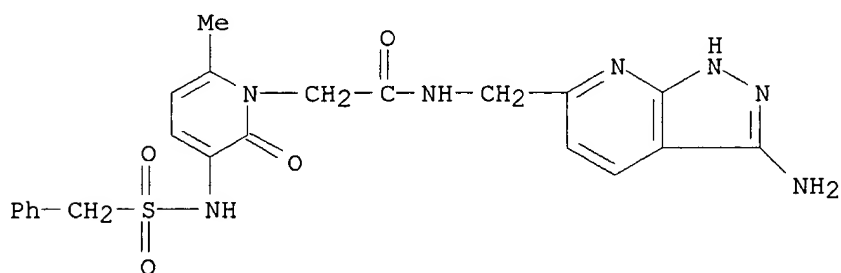
CN 1(2H)-Pyridineacetamide, N-[(3-aminoisoxazolo[5,4-b]pyridin-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

09/ 716,962



RN 369383-75-5 CAPLUS

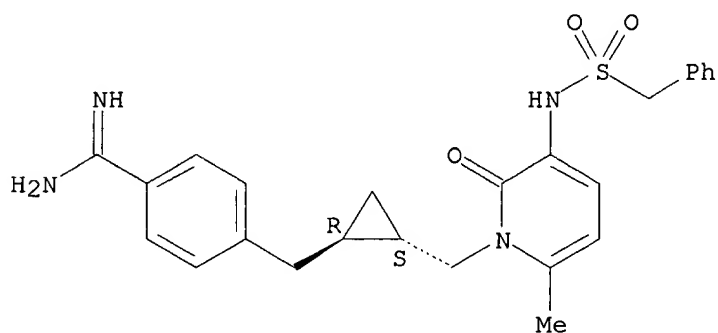
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-pyrazolo[3,4-b]pyridin-6-yl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-77-7 CAPLUS

CN Benzenecarboximidamide, 4-[[ (1R,2S)-2-[[6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-1(2H)-pyridinyl]methyl]cyclopropyl]methyl]- (9CI) (CA INDEX NAME)

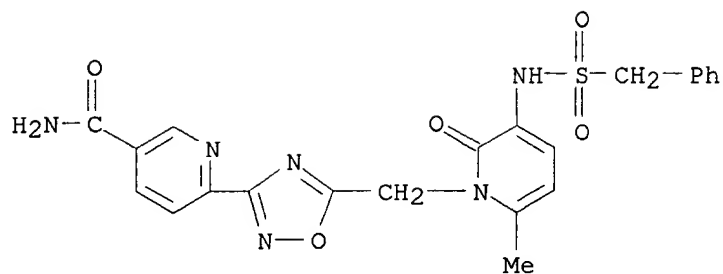
Absolute stereochemistry.



RN 369383-78-8 CAPLUS

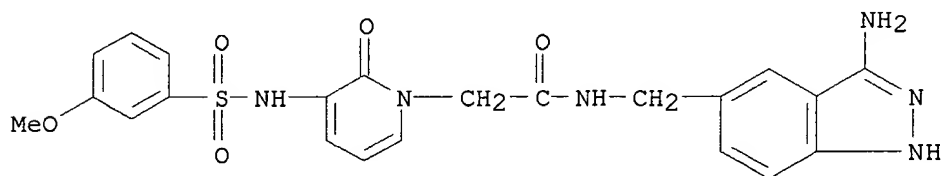
CN 3-Pyridinecarboxamide, 6-[5-[[6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-1(2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)

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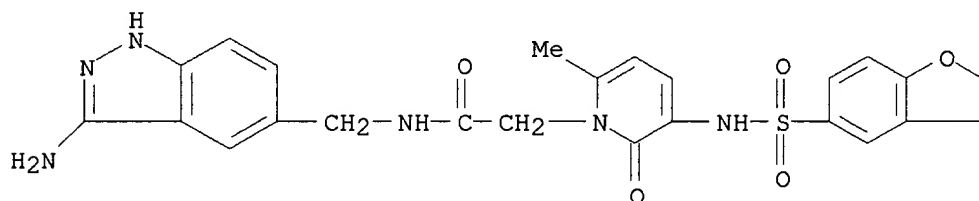
RN 369383-79-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



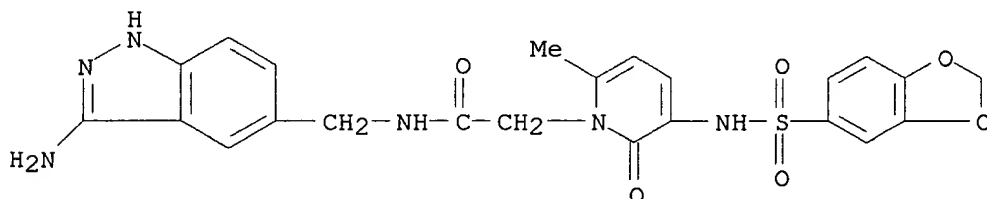
RN 369383-80-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-81-3 CAPLUS

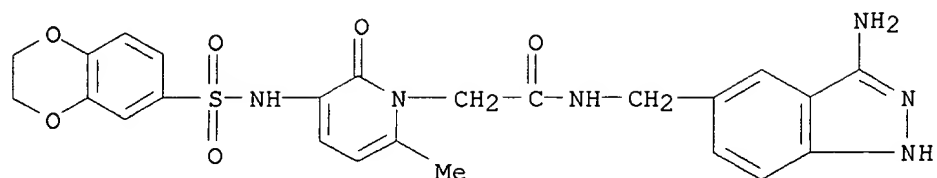
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[(1,3-benzodioxol-5-yl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-82-4 CAPLUS

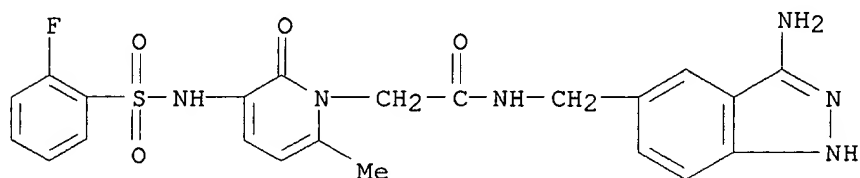
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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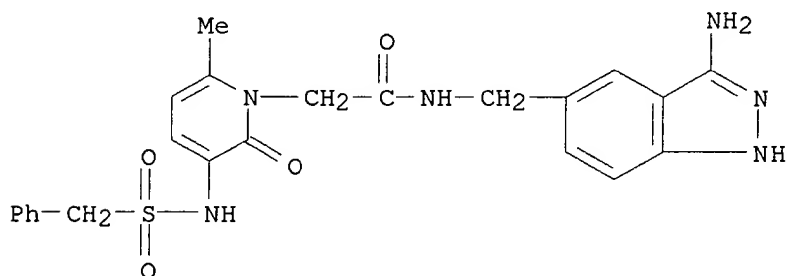
RN 369383-83-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[2-(2-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



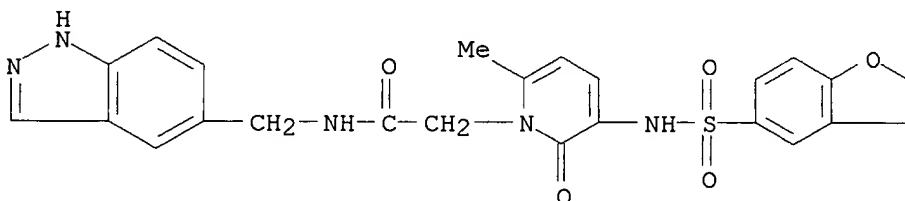
RN 369383-84-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-6-methyl-2-oxo-3-[[2-(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-85-7 CAPLUS

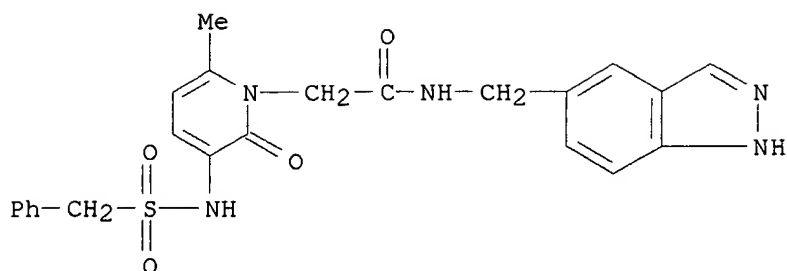
CN 1(2H)-Pyridineacetamide, 3-[[2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-86-8 CAPLUS

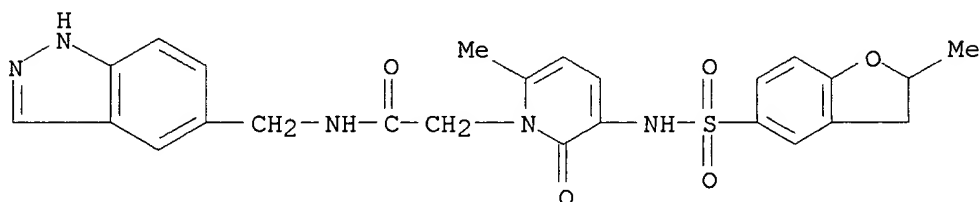
CN 1(2H)-Pyridineacetamide, N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo-3-[[2-(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

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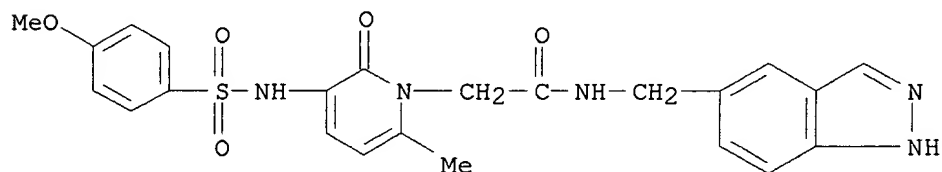
RN 369383-87-9 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[(2,3-dihydro-2-methyl-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



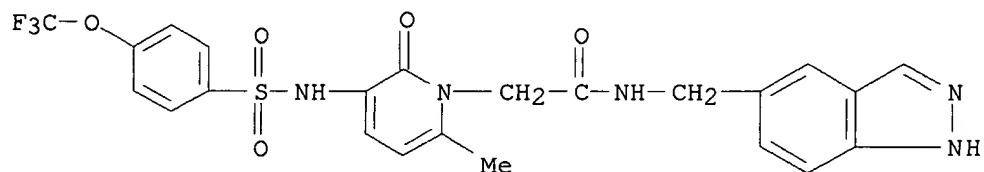
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RN 369383-89-1 CAPLUS

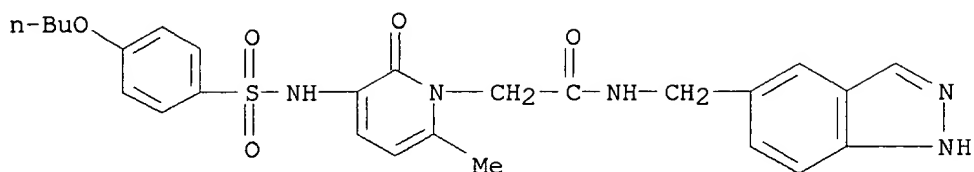
CN 1(2H)-Pyridineacetamide, N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo-3-[[[(4-(trifluoromethoxy)phenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-90-4 CAPLUS

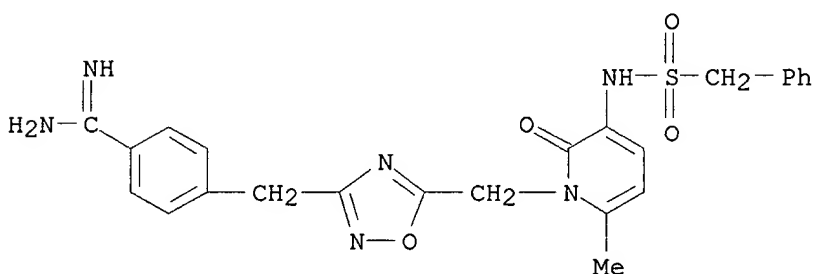
CN 1(2H)-Pyridineacetamide, 3-[[[(4-butoxyphenyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



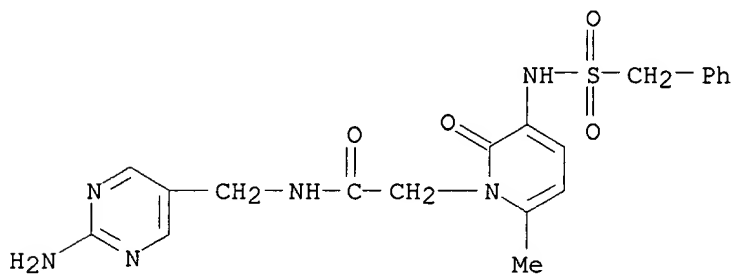
RN 369383-91-5 CAPLUS

CN Benzenecarboximidamide, 4-[[5-[[6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-yl]methyl]-1(2H)-pyridine-2-carboximidamide, n-butyl 4-phenylsulfonate salt (9CI) (CA INDEX NAME)



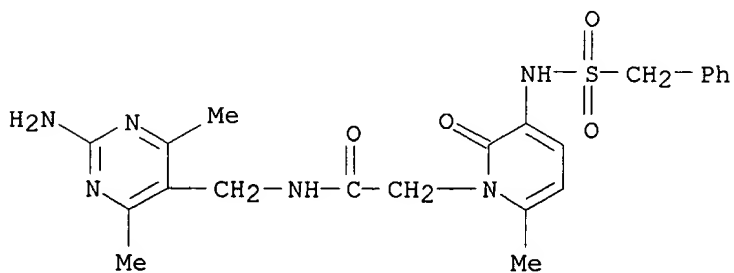
RN 369383-92-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridine-2-carboximidamide, n-butyl 4-phenylsulfonate salt (9CI) (CA INDEX NAME)



RN 369383-93-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-4,6-dimethyl-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridine-2-carboximidamide, n-butyl 4-phenylsulfonate salt (9CI) (CA INDEX NAME)

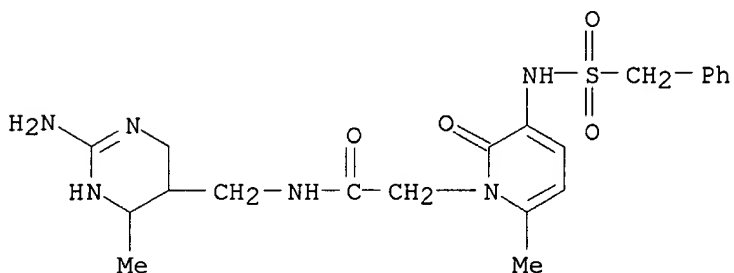




09/ 716,962

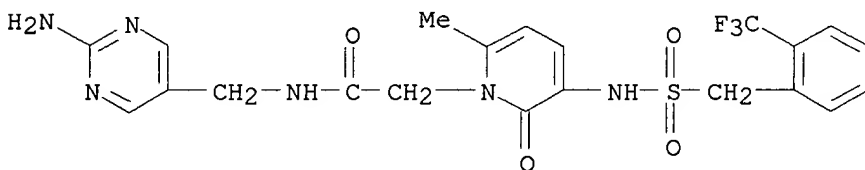
RN 369383-94-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-1,4,5,6-tetrahydro-4-methyl-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]- (9CI) (CA INDEX NAME)



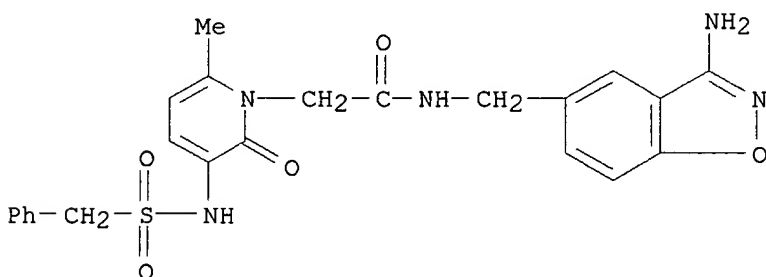
RN 369383-95-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[[2-(trifluoromethyl)phenyl)methyl]sulfonyl] amino]- (9CI) (CA INDEX NAME)



RN 369384-58-7 CAPLUS

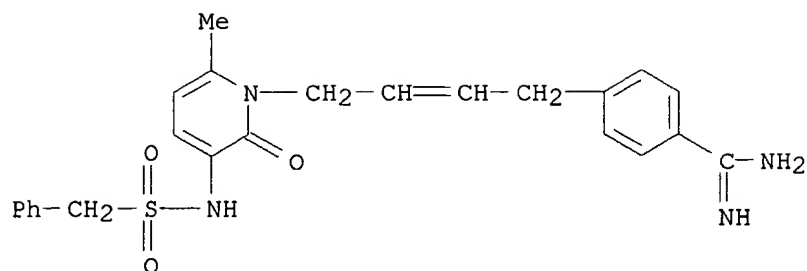
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1,2-benzisoxazol-5-yl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]- (9CI) (CA INDEX NAME)



RN 369638-63-1 CAPLUS

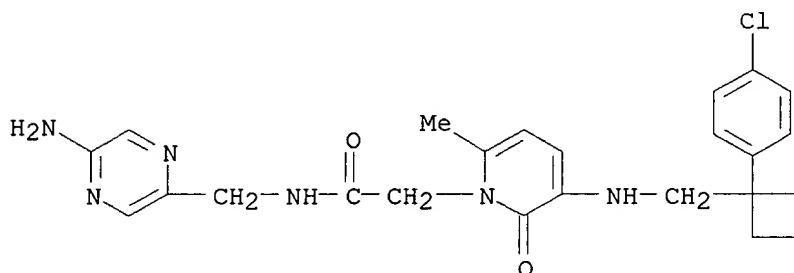
CN INDEX NAME NOT YET ASSIGNED

09/ 716,962



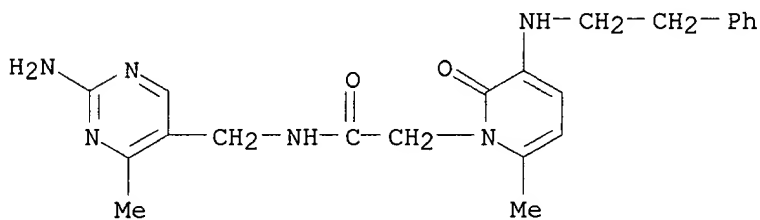
RN 369638-76-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(5-aminopyrazinyl)methyl]-3-[[[1-(4-chlorophenyl)cyclobutyl]methyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



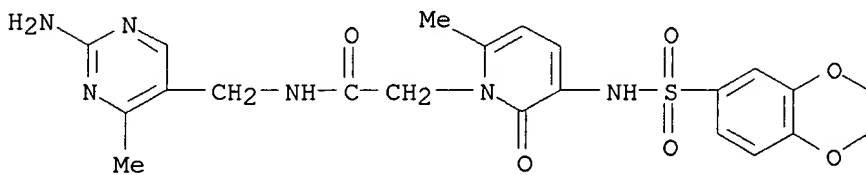
RN 369638-78-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-4-methyl-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 369638-79-9 CAPLUS

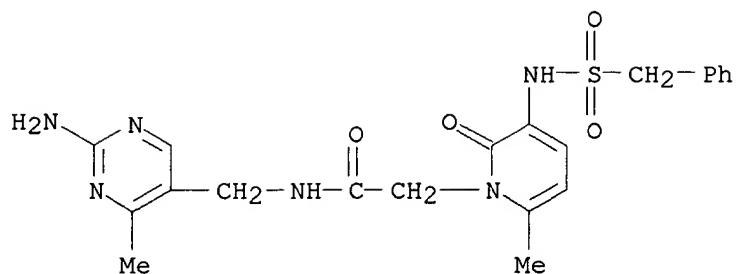
CN INDEX NAME NOT YET ASSIGNED



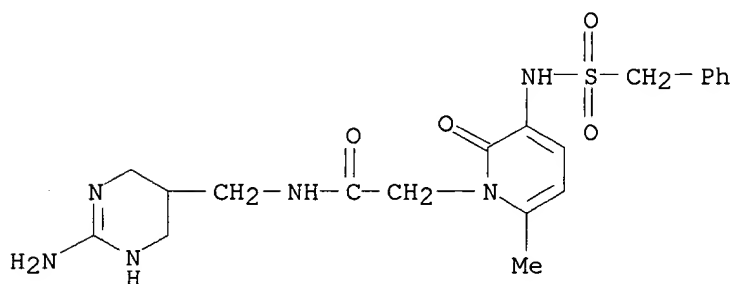
RN 369638-80-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

09/ 716,962



RN 369638-82-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 6  
REFERENCE(S): (1) Ardecky, R; WO 9746207 A 1997 CAPLUS  
(2) Choi, S; WO 9962904 A 1999 CAPLUS  
(3) Dorsey, B; WO 9911267 A 1999 CAPLUS  
(4) Naylor, O; WO 9701338 A 1997 CAPLUS  
(5) Naylor, O; WO 9961442 A 1999 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 2001:780877 CAPLUS  
DOCUMENT NUMBER: 135:331444  
TITLE: Preparation of pyrazinone or pyridinone derivative as  
novel non-covalent thrombin inhibitors  
INVENTOR(S): Semple, Joseph Edward; Cui, Jingjong Jean; Ho,  
Jonathan Zhangji; Levy, Odile Esther; Araldi, Gian Luca  
PATENT ASSIGNEE(S): Corvas International, Inc., USA  
SOURCE: PCT Int. Appl., 272 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

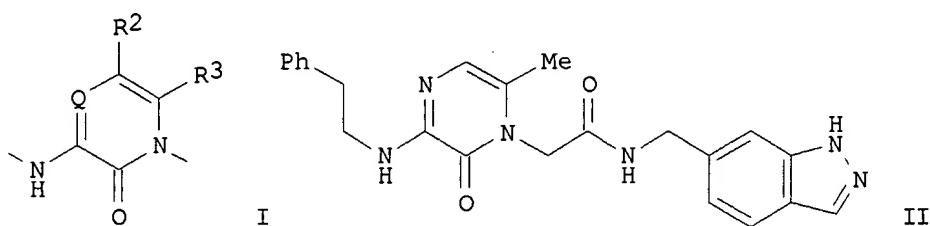
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079195	A2	20011025	WO 2001-US12276	20010413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

09/ 716,962

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-549452 A 20000414  
US 2000-550093 A 20000414

OTHER SOURCE(S): MARPAT 135:331444  
GI



AB The title compds. R1XDJE [I; X = SO<sub>2</sub>, CO, OCO, etc.; R<sub>1</sub> = alkyl, cycloalkyl, heterocyclyl, etc.; D = II (R<sub>2</sub> = H, halo, alkyl; R<sub>3</sub> = H, alkyl, cycloalkyl, etc.; Q = N, CR<sub>4</sub>; R<sub>4</sub> = H, alkyl, OH, etc.); J = CHR<sub>6</sub>CONHCHR<sub>7</sub>, CHR<sub>6</sub>CH:CHCHR<sub>7</sub>, etc. (R<sub>6</sub> = H, alkyl; R<sub>7</sub> = H, alkyl, etc.); E = (un)substituted 4-amidinophenyl, 1-amidinopiperidin-4-yl, etc.] which have biol. activity as active and potent inhibitors of thrombin (biol. data given), and therefore are useful as therapeutic agents for treatment of disease states in mammals which are characterized by abnormal **thrombosis**, were prepd. E.g., a multi-step synthesis of the pyrazinone III was given.

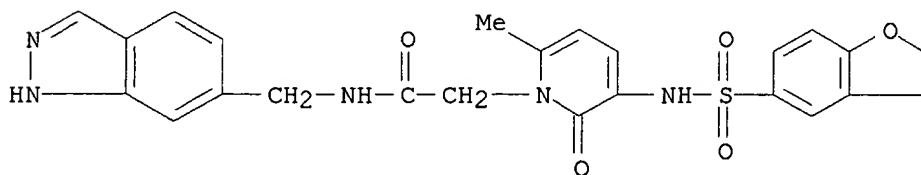
IT 369383-68-6P 369383-69-7P 369383-71-1P  
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369383-78-8P 369383-79-9P 369383-80-2P  
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369383-87-9P 369383-88-0P 369383-89-1P  
369383-90-4P 369383-91-5P 369383-92-6P  
369383-93-7P 369383-94-8P 369383-95-9P  
369384-58-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)

(prepn. of pyrazinone or pyridinone deriv. as novel non-covalent thrombin inhibitors)

RN 369383-68-6 CAPLUS

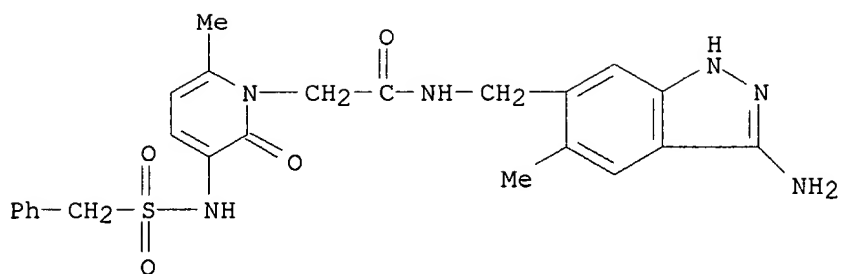
CN 1(2H)-Pyridineacetamide, 3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-6-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-69-7 CAPLUS

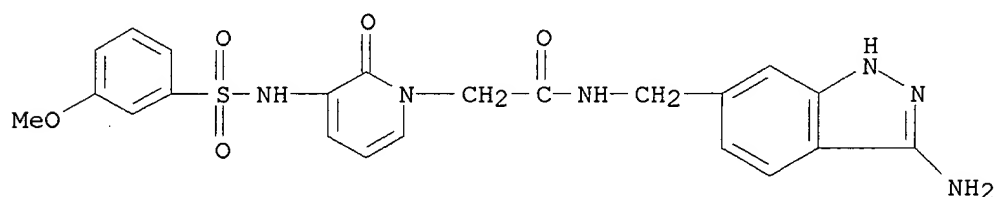
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5-methyl-1H-indazol-6-yl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

09/ 716,962



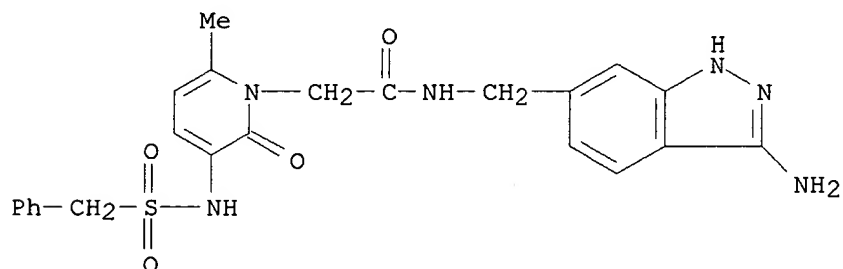
RN 369383-71-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-6-yl)methyl]-3-[[3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



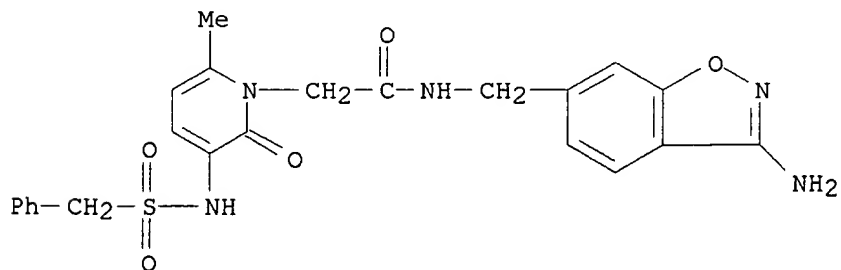
RN 369383-72-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-6-yl)methyl]-6-methyl-2-oxo-3-[[3-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-73-3 CAPLUS

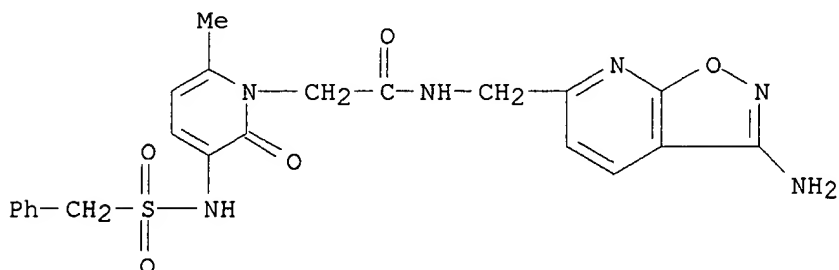
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1,2-benzisoxazol-6-yl)methyl]-6-methyl-2-oxo-3-[[3-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

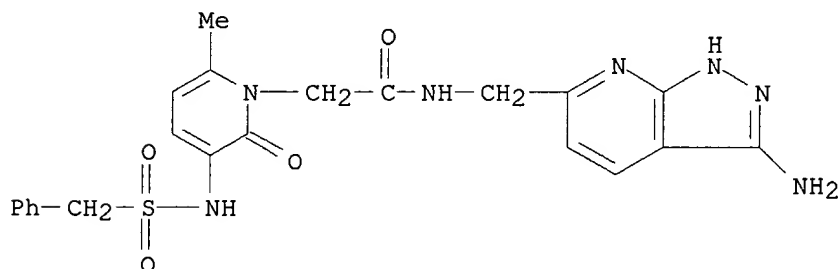
RN 369383-74-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-aminoisoxazolo[5,4-b]pyridin-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-75-5 CAPLUS

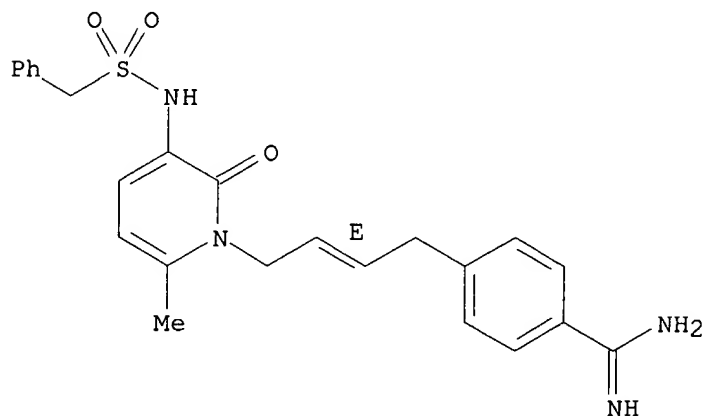
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-pyrazolo[3,4-b]pyridin-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-76-6 CAPLUS

CN Benzenecarboximidamide, 4-[(2E)-4-[6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



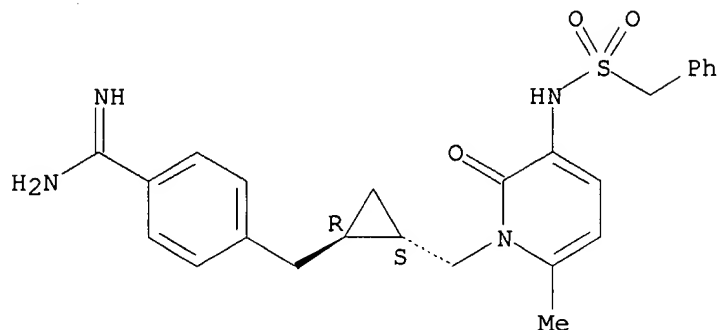
RN 369383-77-7 CAPLUS

CN Benzenecarboximidamide, 4-[[[(1R,2S)-2-[[6-methyl-2-oxo-3-

09/ 716,962

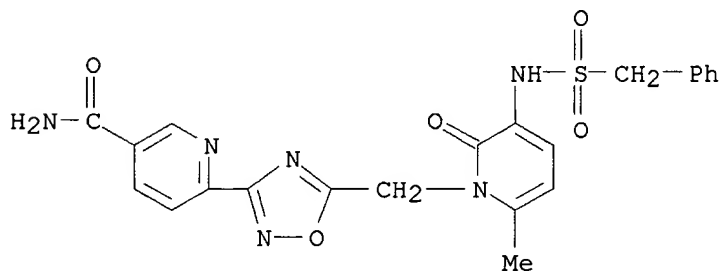
[[ (phenylmethyl) sulfonyl] amino]-1 (2H)-pyridinyl]methyl]cyclopropyl]methyl]-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



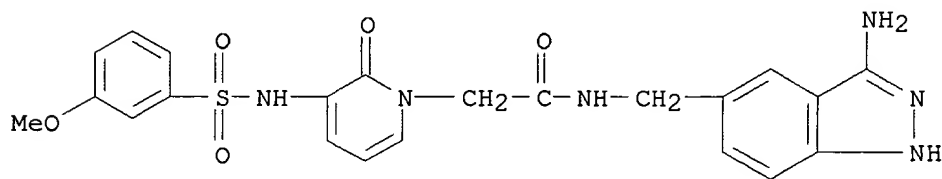
RN 369383-78-8 CAPLUS

CN 3-Pyridinecarboxamide, 6-[5-[[6-methyl-2-oxo-3-  
[[ (phenylmethyl) sulfonyl] amino]-1 (2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-  
yl]- (9CI) (CA INDEX NAME)



RN 369383-79-9 CAPLUS

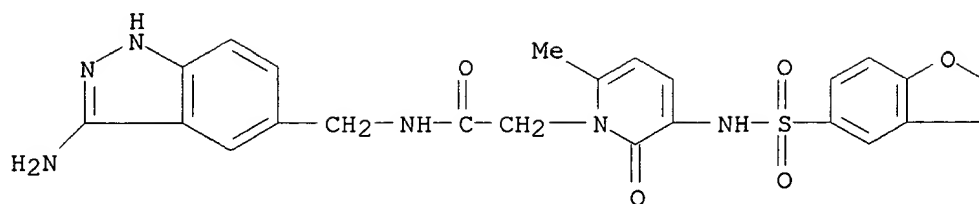
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[ (3-  
methoxyphenyl) sulfonyl] amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-80-2 CAPLUS

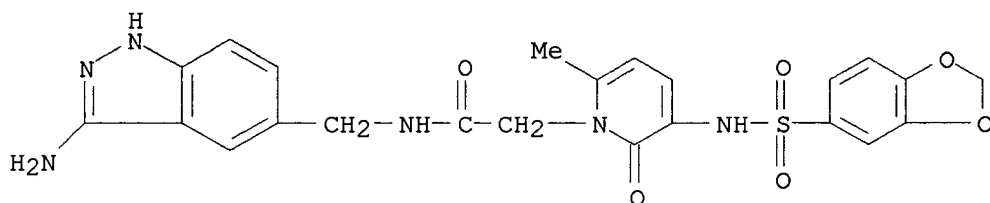
CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[ (2,3-  
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NAME)

09/ 716,962



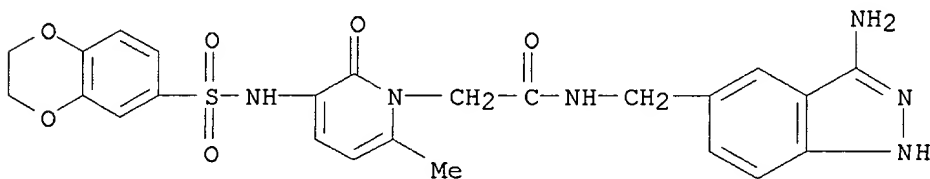
RN 369383-81-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[(1,3-benzodioxol-5-ylsulfonyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



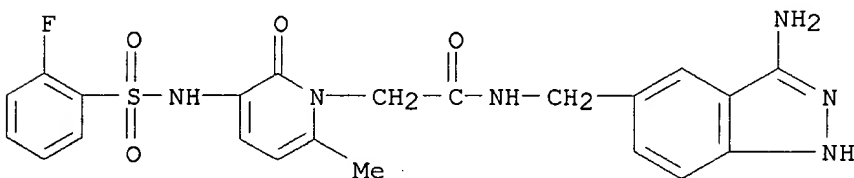
RN 369383-82-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[[2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-83-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-3-[(2-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

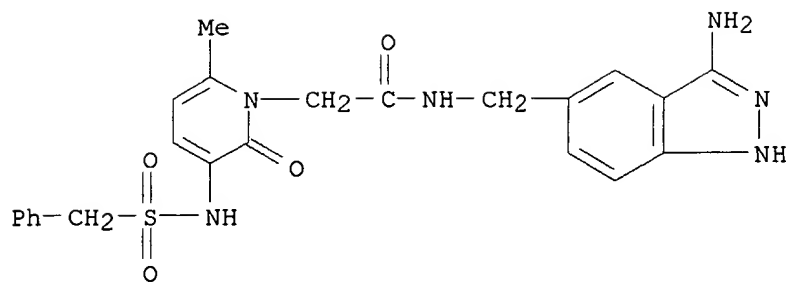


RN 369383-84-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1H-indazol-5-yl)methyl]-6-methyl-2-oxo-3-[[phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

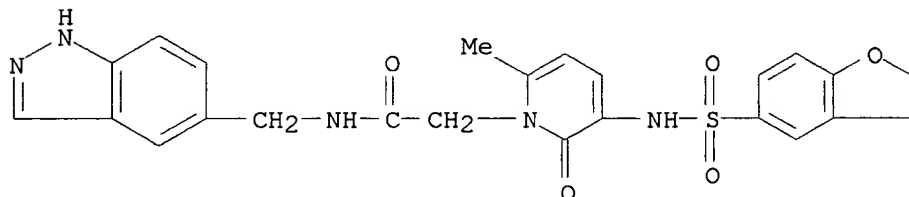


09/ 716,962



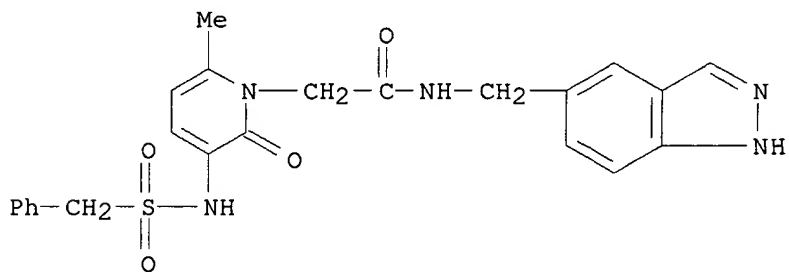
RN 369383-85-7 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



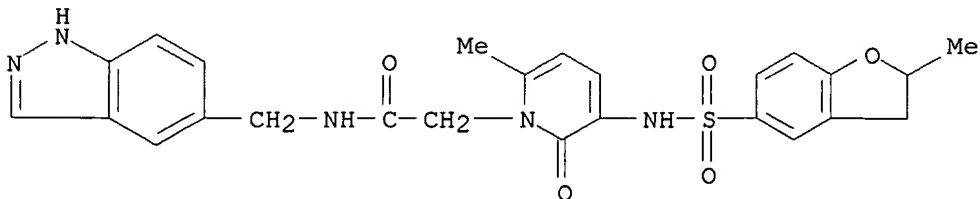
RN 369383-86-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-87-9 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[(2,3-dihydro-2-methyl-5-benzofuranyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

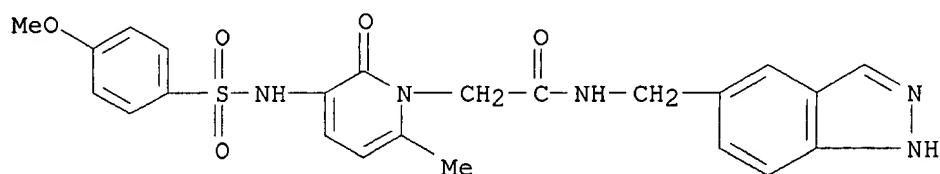


RN 369383-88-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-(1H-indazol-5-ylmethyl)-3-[[[(4-

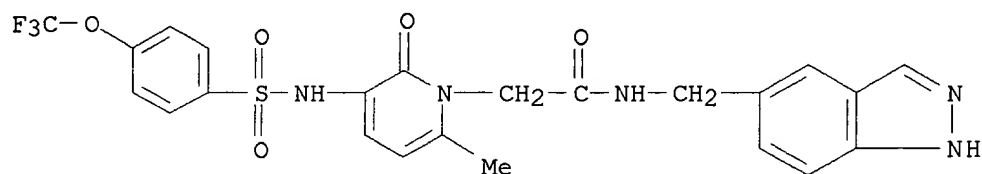
09/ 716,962

methoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



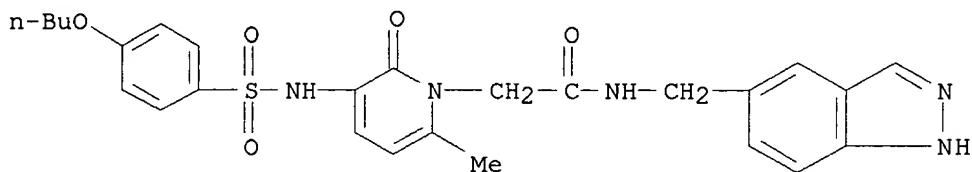
RN 369383-89-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo-3-[[[4-(trifluoromethoxy)phenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



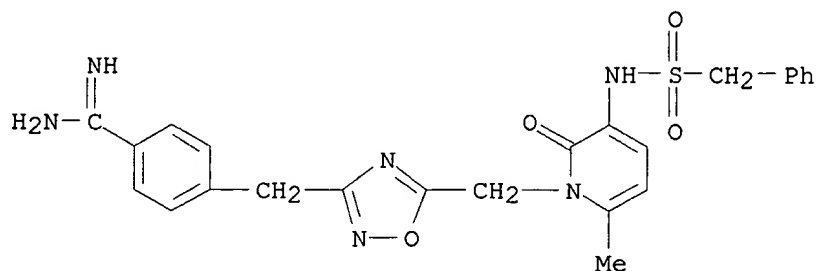
RN 369383-90-4 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[4-butoxyphenyl)sulfonyl]amino]-N-(1H-indazol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 369383-91-5 CAPLUS

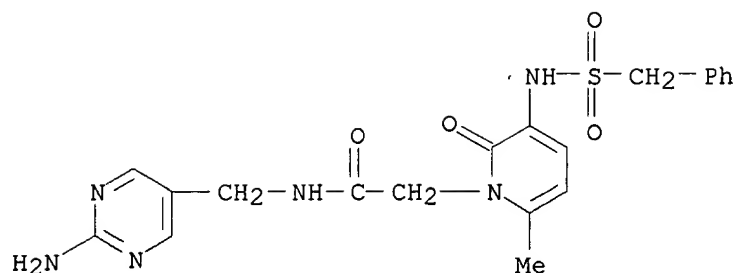
CN Benzenecarboximidamide, 4-[[5-[[6-methyl-2-oxo-3-[[[phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]methyl]-1,2,4-oxadiazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 369383-92-6 CAPLUS

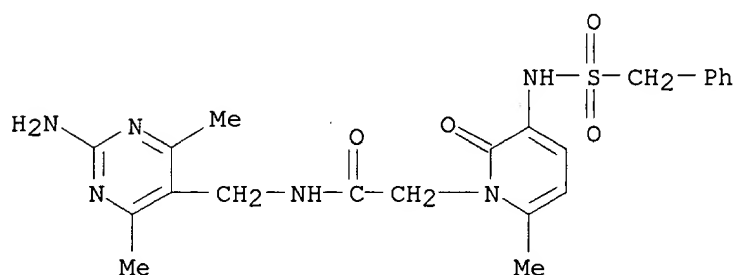
CN 1(2H)-Pyridineacetamide, N-[(2-amino-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[[phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

09/ 716,962



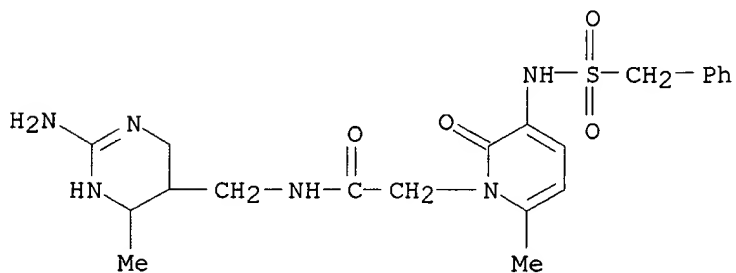
RN 369383-93-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-4,6-dimethyl-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]- (9CI) (CA INDEX NAME)



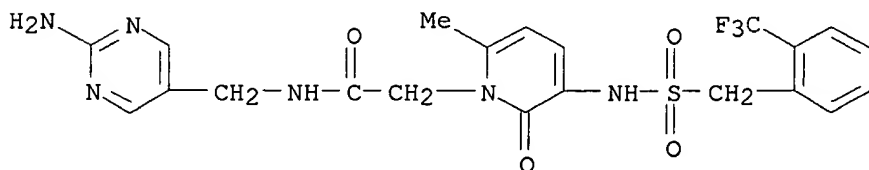
RN 369383-94-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2-amino-1,4,5,6-tetrahydro-4-methyl-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 369383-95-9 CAPLUS

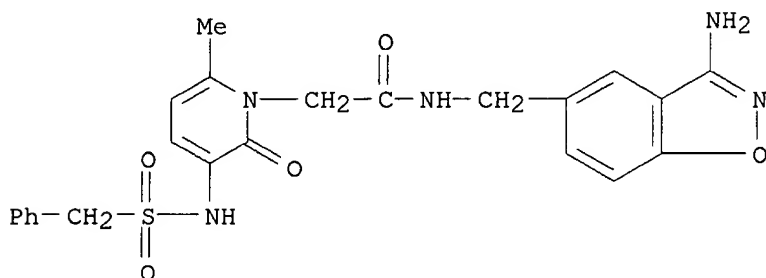
CN 1(2H)-Pyridineacetamide, N-[(2-amino-5-pyrimidinyl)methyl]-6-methyl-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

RN 369384-58-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-1,2-benzisoxazol-5-yl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:658076 CAPLUS

DOCUMENT NUMBER: 135:195788

TITLE: Preparation of heterocyclic peptides as selective factor Xa inhibitors

INVENTOR(S): Zhu, Bing-yan; Scarborough, Robert M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

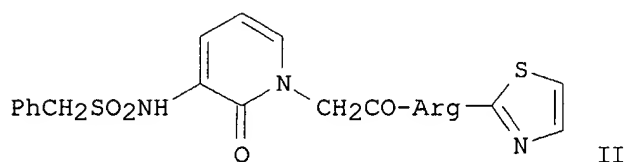
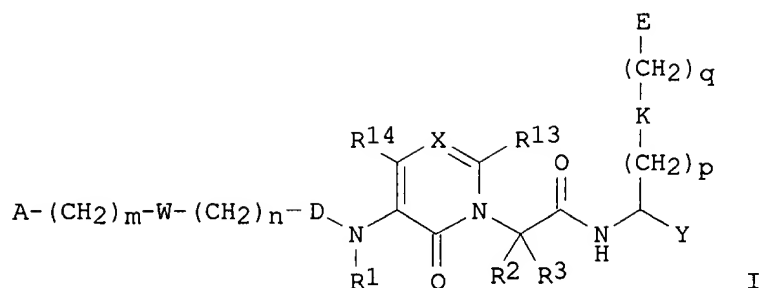
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001020033	A1	20010906	US 1997-948664	19971010

OTHER SOURCE(S): MARPAT 135:195788

GI



AB Heterocyclic peptides I [R1 = H, alkyl, alkylaryl; R2 = H, alkyl, cycloalkyl, alkylaryl, alkylcycloalkyl, aryl; R3 = H, alkyl; R2R3C may form a carbocyclic ring; X = N or CR17; R13, R14, R17 = H, halo, CF3 or alkyl, alkylaryl, aryl, alkylcycloalkyl or alkylheterocyclyl which may be substituted by amino, guanidino, amidino or N-hydroxyamidino groups; m = 0-3; n = 0-6; p = 0-4; q = 0-2; A is a 5-10 membered heterocyclic ring contg. 1-4 heteroatoms selected from N, O and S, R4, NR4R5, NR19C(NR4R21):NR20, C(NR4R22):NR20, NR19CR21:NR20, CR22:NR20 or SC(NR4R22):NR20, where R4, R5, R19, R20, R21, R22 = H, OH, alkyl, aryl, alkylaryl (R19-R22 may form 5-6 membered rings); W is a direct link, alkyl, cycloalkyl, alkenyl, alkenylaryl, aryl or 5-6 membered heterocyclyl; D is a direct link, CO, SO2, CH2, O2C, NR18SO2, NR18CO, where R18 = H, OH, alkyl, aryl, alkylaryl; K is a direct link, cycloalkyl, aryl, 5-6 membered heterocyclyl; E is similar to A, but not the heterocyclic ring; Y = acyl, -B(OH)2 or certain esters] were prepd. as factor Xa inhibitors. Thus, arginine deriv. I, prepd. via coupling of 3-[(benzylsulfonyl)amino]-2-oxo-1-pyridineacetic acid with H-Arg(Tos)-thiazole (preps. given), showed IC50 = 3 nM and 8 nM for inhibition of factor Xa and thrombin, resp.

IT **206352-85-4P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study);

PREP (Preparation); USES (Uses)

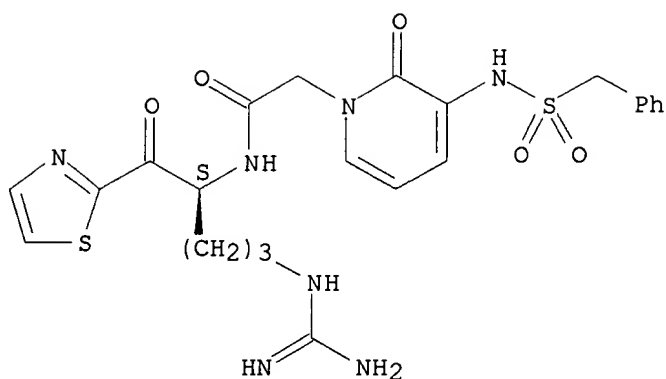
(prepn. of heterocyclic peptides as selective factor Xa inhibitors)

RN 206352-85-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

09/ 716,962



L5 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:73539 CAPLUS

DOCUMENT NUMBER: 134:131552

TITLE: Preparation of heterocyclic compounds as antithrombotic agents

INVENTOR(S): Blagg, Julian; Brown, Alan Daniel; Gautier, Elisabeth Colette Louise; Smith, Julian Duncan; McElroy, Andrew Brian

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 74 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

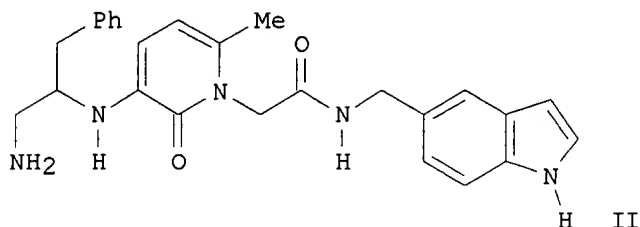
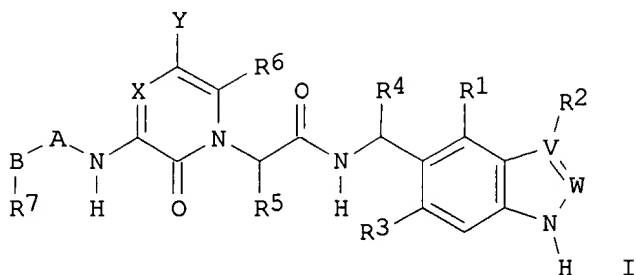
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6180627	B1	20010130	US 1999-372200	19990811

OTHER SOURCE(S): MARPAT 134:131552

GI



AB The title compds. I [R1, R3 = H, alkyl, perfluoroalkyl, etc.; R2 = H, Me, CF3; R4, R5 = H, alkyl; R6 = H, F, Cl, alkyl, etc.; R5R6 maybe linked to form C2-3 linking group; Y = H, Cl, F, Br, Me, CF3; V = C, N; W = CH, CF, CCl, N; X = N; BA = BCR8R9, BCH2CR8R9, BCR8R9CH2, etc.; R8, R9 = H, (CH2)mNR10R11, CH2O(CH2)2NR10R11; R8R9 may form N-contg. 4- to 6-membered heterocyclyl; m = 0-2; R10, R11 = H, alkyl, etc.; B = Ph, 5-6 membered heterocyclyl contg. 1 to 2 heteroatoms; R7 = H, alkyl, perfluoroalkyl, etc.] and their pharmacol. acceptable salts, useful for treatment or prevention of deep-vein **thrombosis**, disseminated intravascular **coagulation**, transient ischemic attack, etc, were prepd. and formulated. E.g., a multi-step synthesis of the acetamide (R,S)-II was given. All exemplified compds. I showed  $K_i < 3 \times 10^{-7}$  M against thrombin in vitro and  $K_i$  of  $> 1 \times 10^{-5}$  M against trypsin in vitro.

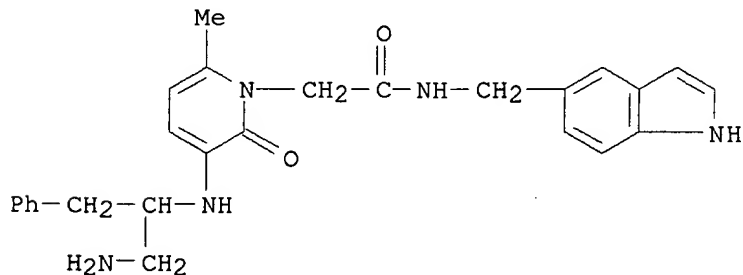
IT **259537-44-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as antithrombotic agents)

RN 259537-44-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[1-(aminomethyl)-2-phenylethyl]amino]-N-(1H-indol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

REFERENCE(S): (1) Anon; EP 0623595 1994 CAPLUS  
(2) Anon; WO 9513274 1995 CAPLUS  
(3) Anon; WO 9618644 1996 CAPLUS  
(4) Anon; WO 9701338 1997 CAPLUS  
(5) Anon; WO 9730708 1997 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:50644 CAPLUS

DOCUMENT NUMBER: 134:100861

TITLE: Preparation of ketothiazole alkoxyguanidine and  
aminoguanidine analogs as protease inhibitors and  
diagnostic imaging agents

INVENTOR(S): Pan, Wenxi; Lu, Tianbao; Soll, Richard M.; Tomczuk,  
Bruce E.

PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001004117	A1	20010118	WO 2000-US40332	20000707
W: CA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1999-142929 P 19990709

OTHER SOURCE(S): MARPAT 134:100861

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = alkyl, cycloalkyl, aryl, etc.; A = SO<sub>2</sub>, OCO,  
CO, etc.; Het = II-IV (wherein R3-R5 = H, alkyl, cycloalkyl, etc.; R6 = H,  
alkyl, aralkyl, etc.); R7 = H, alkyl, alkenyl; R8 = H, alkyl, aryl, etc.;  
R12, R13 = H, alkyl, aralkyl, etc.; X = O, NR<sub>9</sub> (R<sub>9</sub> = H, alkyl, cycloalkyl,  
aryl); Ra-Rc = H, alkyl, OH, etc.; A = (un)substituted benzothiazolyl,  
thiazolyl, pyridyl, etc.; n = 0-8; m = 0-6] that are potent inhibitors of  
proteases, esp. trypsin-like serine proteases, such as chymotrypsin,  
trypsin, thrombin, plasmin and factor Xa, were prepd. and formulated.  
E.g., a multi-step synthesis of the alkoxyguanidine (1S)-V which showed  
16% inhibition of Factor Xa at 20 .mu.M, and K<sub>i</sub> of 14 nM against human  
thrombin, was given. Certain of the compds. I exhibit antithrombotic  
activity via direct, selective inhibition of thrombin. The invention  
includes a compn. for inhibiting loss of blood platelets, inhibiting  
formation of blood platelet aggregates, inhibiting formation of fibrin,  
inhibiting thrombus formation, and inhibiting embolus formation in a  
mammal, comprising a compd. I in a pharmaceutically acceptable carrier.  
Other uses of compds. I are as **anticoagulants** either embedded in  
or phys. linked to materials used in the manuf. of devices used in blood  
collection, blood circulation, and blood storage, such as catheters, blood  
dialysis machines, blood collection syringes and tubes, blood lines and  
stents. Addnl., the compds. I can be detectably labeled and employed for  
in vivo imaging of thrombi.

IT 319910-67-3P 319910-69-5P 319910-71-9P



09/ 716,962

**319910-73-1P 319910-75-3P**

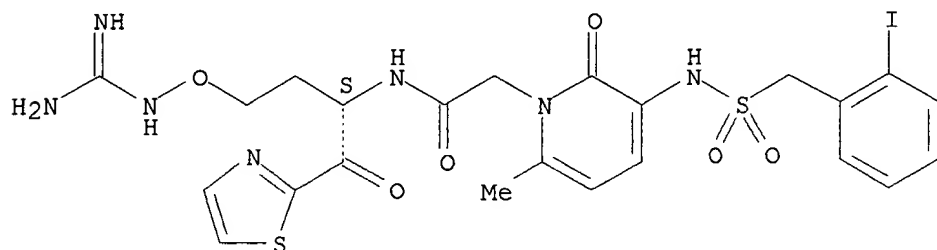
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of ketothiazole alkoxyguanidine and aminoguanidine analogs as protease inhibitors and diagnostic imaging agents)

RN 319910-67-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-3-[[[aminoiminomethyl]amino]oxy]-1-(2-thiazolylcarbonyl)propyl]-3-[[[(2-iodophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

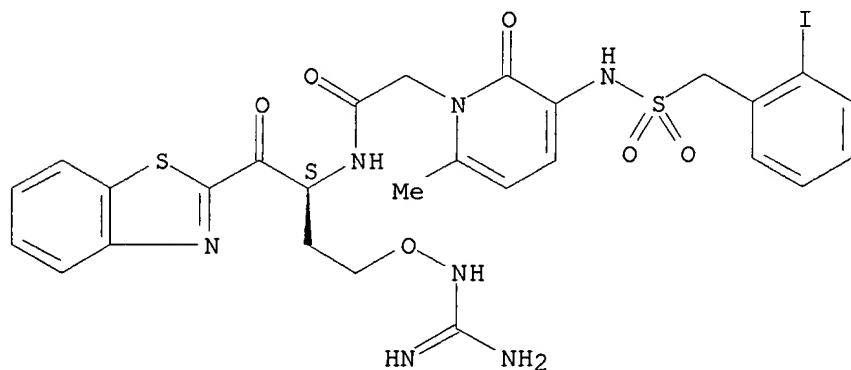
Absolute stereochemistry.



RN 319910-69-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-3-[[[aminoiminomethyl]amino]oxy]-1-(2-benzothiazolylcarbonyl)propyl]-3-[[[(2-iodophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

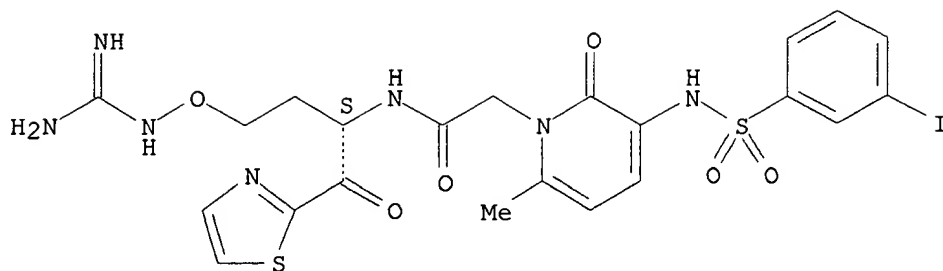


RN 319910-71-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-3-[[[aminoiminomethyl]amino]oxy]-1-(2-thiazolylcarbonyl)propyl]-3-[[[(3-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

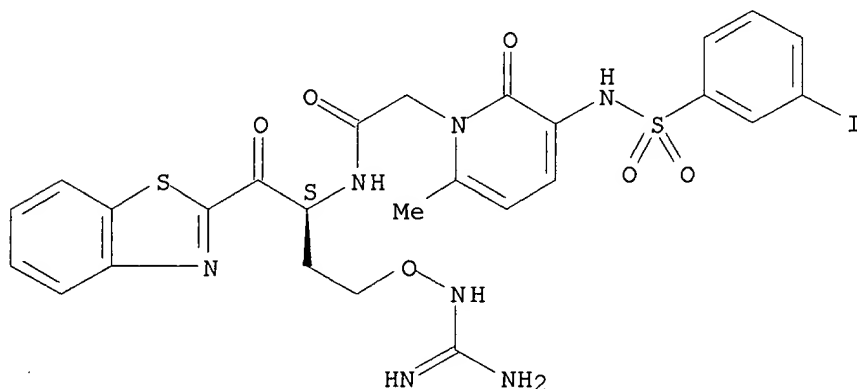
09/ 716,962



RN 319910-73-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-3-[[[(aminoiminomethyl)amino]oxy]-1-(2-benzothiazolylcarbonyl)propyl]-3-[[[(3-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

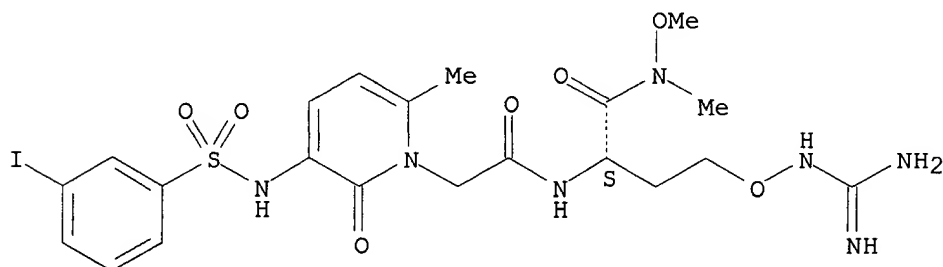
Absolute stereochemistry.



RN 319910-75-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-3-[[[(aminoiminomethyl)amino]oxy]-1-[(methoxymethylamino)carbonyl]propyl]-3-[[[(3-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

1

REFERENCE(S):

(1) Markotan, T; WO 9926926 A 1999 CAPLUS

L5 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:861677 CAPLUS

DOCUMENT NUMBER: 134:29437

09/ 716,962

TITLE: Novel oxazaheterocycles as protease inhibitors  
INVENTOR(S): Wang, Aihua; Lu, Tianbao; Tomczuk, Bruce E.; Soll,  
Richard M.; Spurlino, John; Bone, Roger  
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 85 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073302	A1	20001207	WO 2000-US14553	20000526
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 1999-136386 P 19990527

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention discloses proteolytic enzyme inhibitors of formula I [R1 = (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocycle or heterocycloalkyl; R7 = H, alkyl, or alkenyl; Z = SO<sub>2</sub>, OCO, CO, NR<sub>2</sub>CO, or a covalent bond; R2 = H, alkyl, arylalkyl, aryl, hydroxyalkyl, aminoalkyl, etc.; A = Q1, Q2 wherein Ra, Rb, and Rc = independently H, alkyl, OH, alkoxy, aryloxy, arylalkoxy, alkoxy-carbonyloxy, CN, or ester; n, m, p = 0-4 provided that all are not zero; X = Q3, Q4, Q5 wherein R3, R4, and R5 = independently H, alkyl, cycloalkyl, alkenyl, alkynyl, halo, CF<sub>3</sub>, NO<sub>2</sub>, (un)substituted aryl, arylalkyl, etc.; R6 = H, alkyl, aryl, arylalkyl, cyanoalkyl, etc.] as well as hydrates, solvates or pharmaceutically acceptable salts thereof, and methods of prepn. Compd. II.cntdot.TFA demonstrated thrombin inhibitory activity (sic) of 0.38 nM. The compds. of the invention are potent inhibitors of proteases, esp. trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compds. exhibit antithrombotic activity via direct, selective inhibition of thrombin. The invention includes a compn. for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compd. of the invention in a pharmaceutically acceptable carrier. Other uses of compds. of the invention are as **anticoagulants** either embedded in or phys. linked to materials used in the manuf. of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents. Addnl., the compds. can be detectably labeled and employed for in vivo imaging of thrombi.

IT 311811-23-1P 311811-24-2P 311811-25-3P  
311811-26-4P 311811-27-5P 311811-28-6P  
311811-29-7P 311811-30-0P 311811-31-1P

311811-32-2P 311811-33-3P 311811-34-4P  
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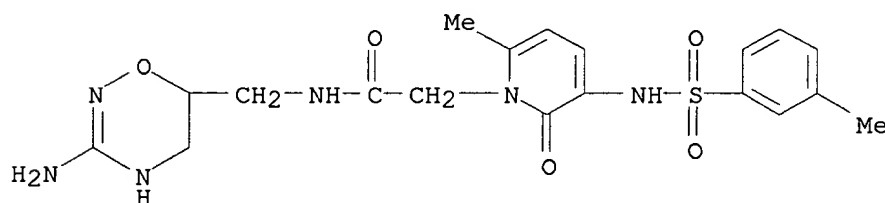
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. and biol. activity of oxazaheterocycles as protease inhibitors)

RN 311811-23-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[[(3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

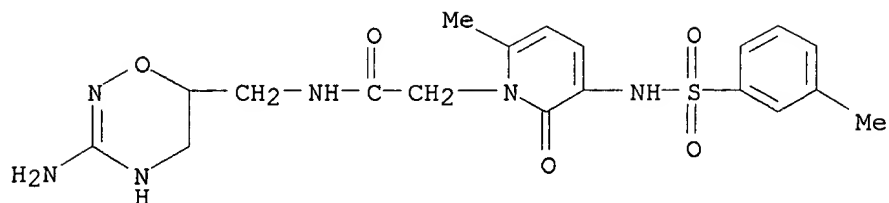


RN 311811-24-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[[(3-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

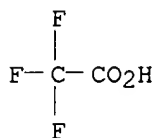
09/ 716,962

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CMF C19 H24 N6 O5 S

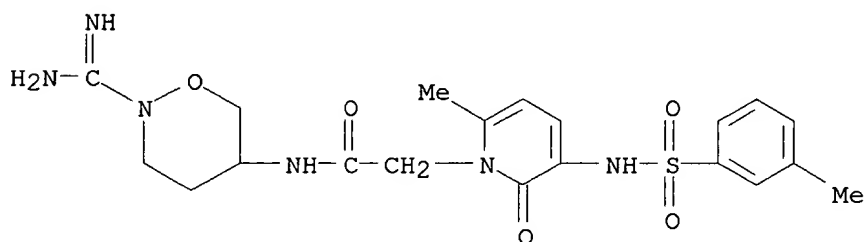


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 311811-25-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[3-methylphenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

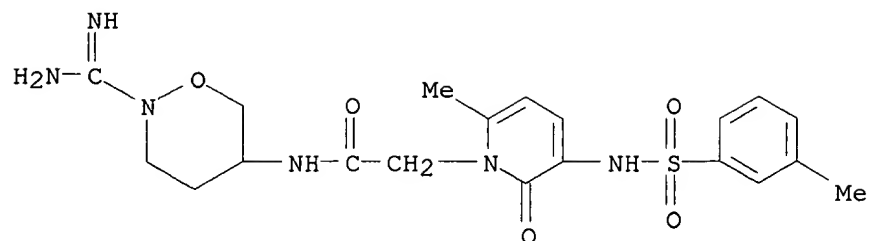


RN 311811-26-4 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[3-methylphenyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 311811-25-3  
CMF C20 H26 N6 O5 S

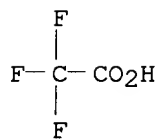
09/ 716,962



CM 2

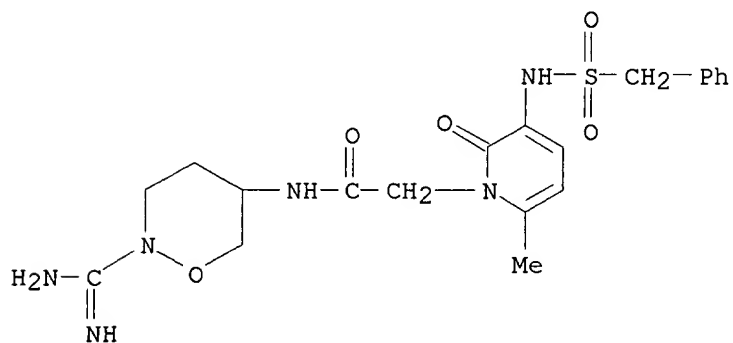
CRN 76-05-1

CMF C2 H F3 O2



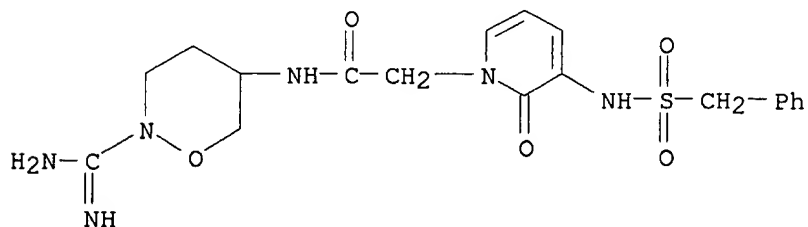
RN 311811-27-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 311811-28-6 CAPLUS

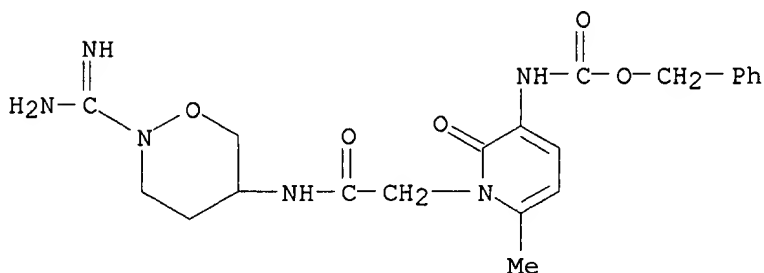
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

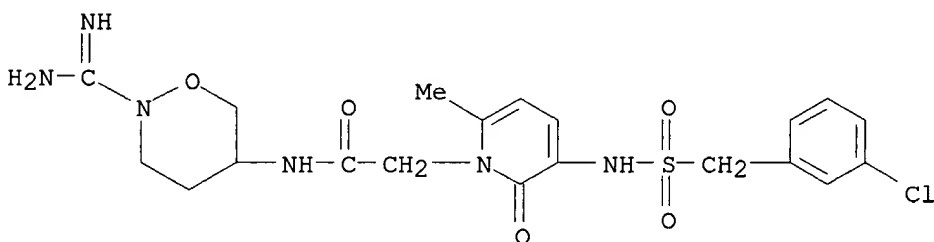
RN 311811-29-7 CAPLUS

CN Carbamic acid, [1-[2-[[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



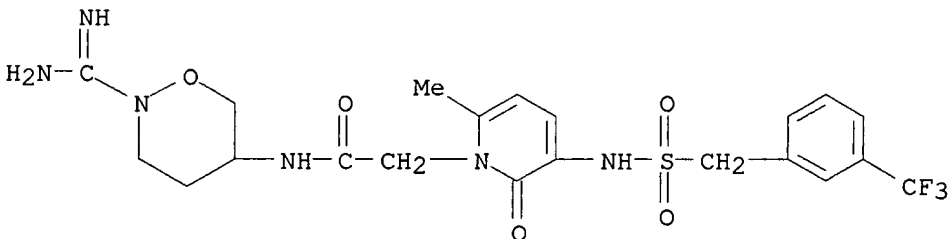
RN 311811-30-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-31-1 CAPLUS

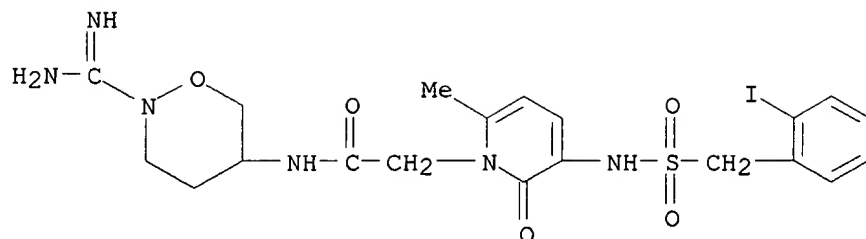
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[[(3-(trifluoromethyl)phenyl)methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 311811-32-2 CAPLUS

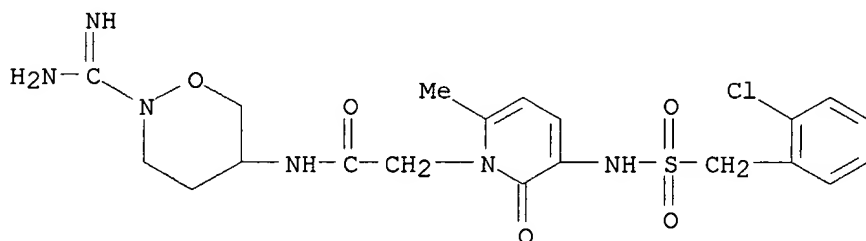
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-iodophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



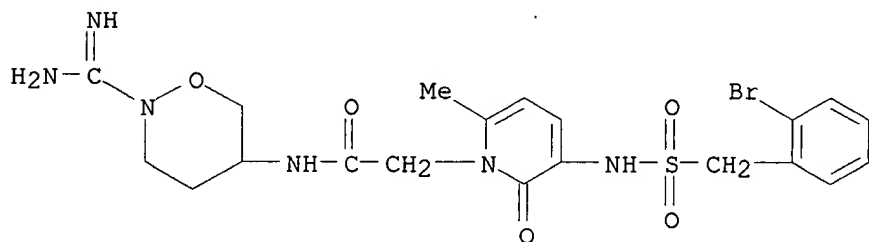
RN 311811-33-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-34-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

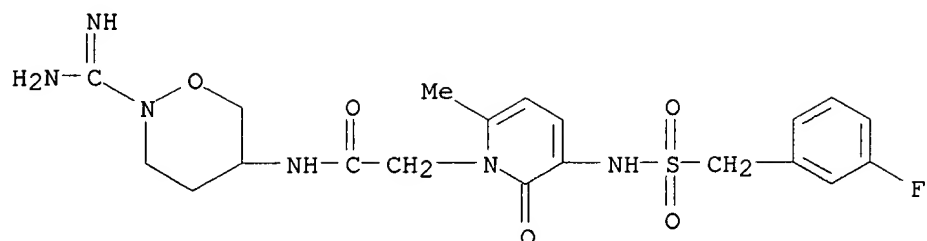


RN 311811-35-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

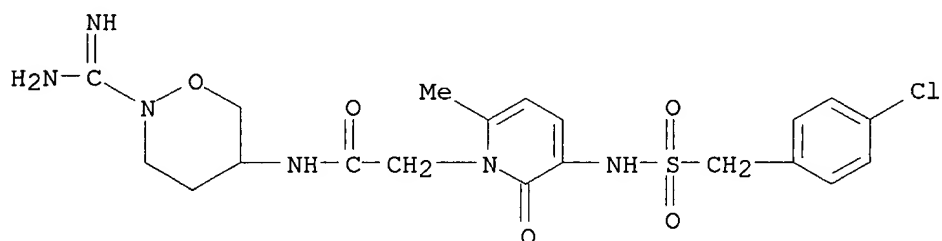


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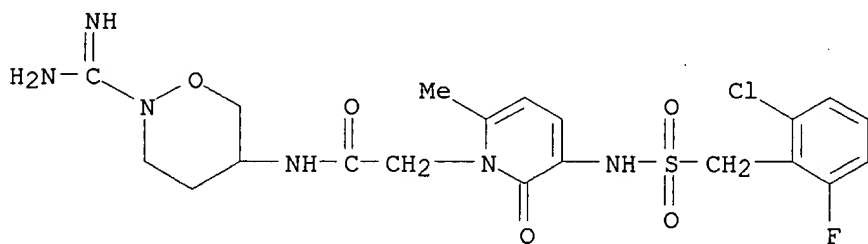
RN 311811-36-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-37-7 CAPLUS

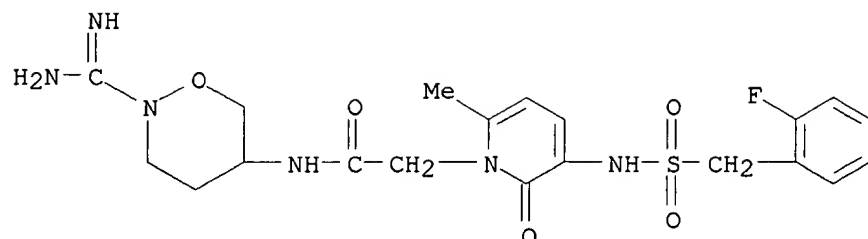
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-38-8 CAPLUS

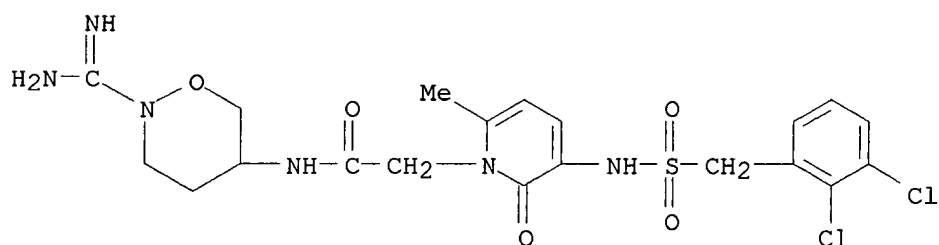
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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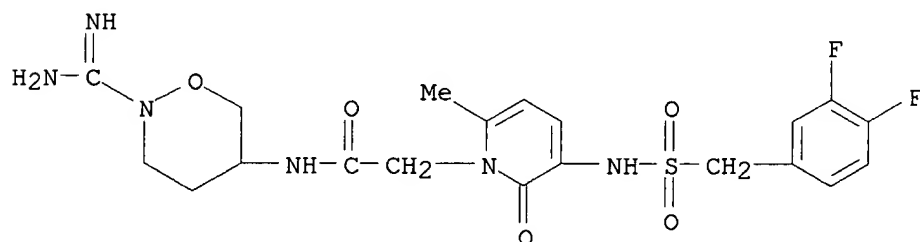
RN 311811-39-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2,3-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI)  
(CA INDEX NAME)



RN 311811-40-2 CAPLUS

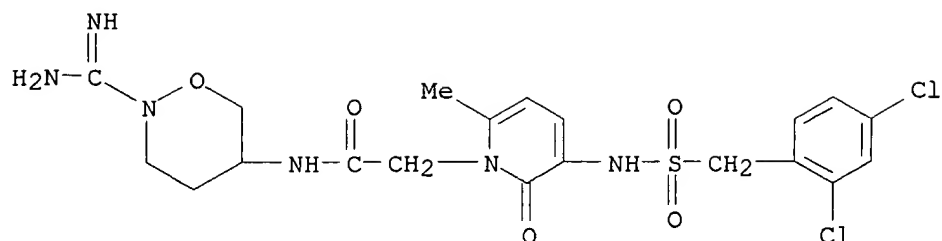
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3,4-difluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI)  
(CA INDEX NAME)



RN 311811-41-3 CAPLUS

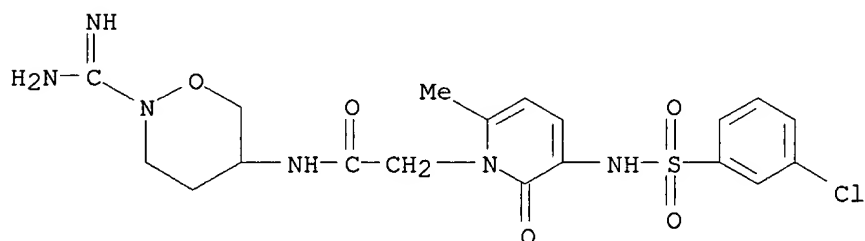
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2,4-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI)  
(CA INDEX NAME)

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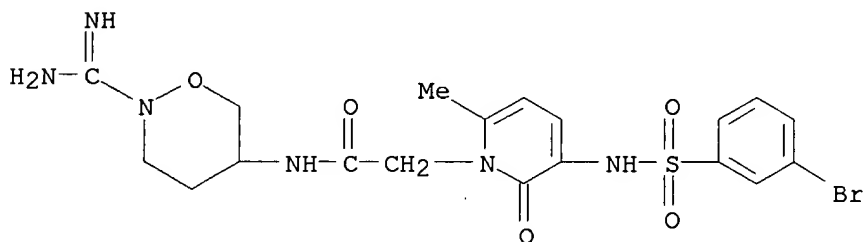
RN 311811-42-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[3-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-43-5 CAPLUS

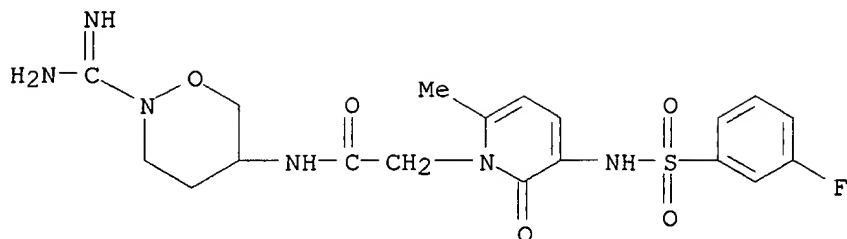
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[3-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-44-6 CAPLUS

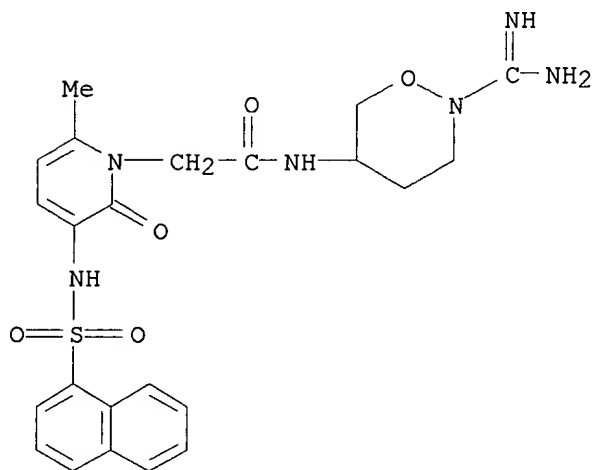
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[3-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



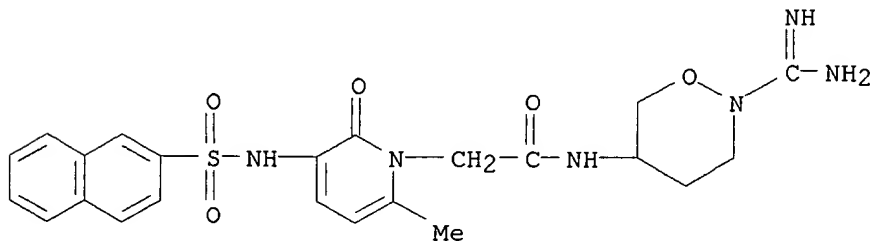
RN 311811-45-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-46-8 CAPLUS

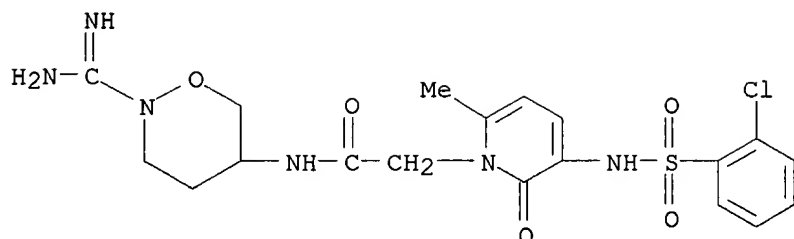
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-47-9 CAPLUS

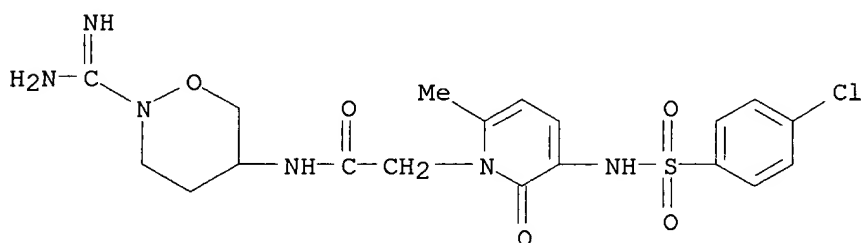
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[2-(chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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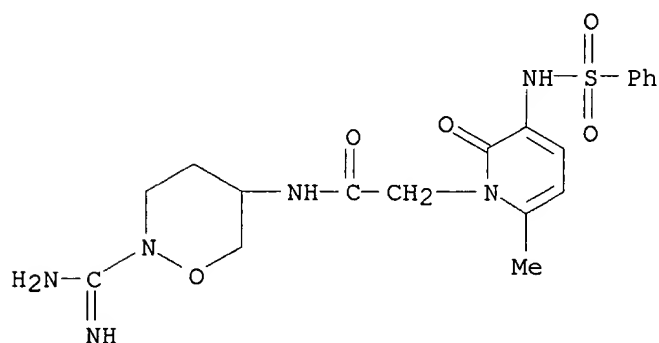
RN 311811-48-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[4-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-49-1 CAPLUS

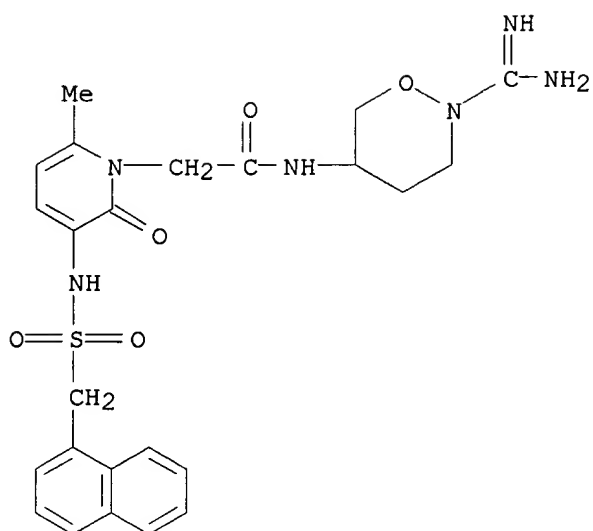
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311811-50-4 CAPLUS

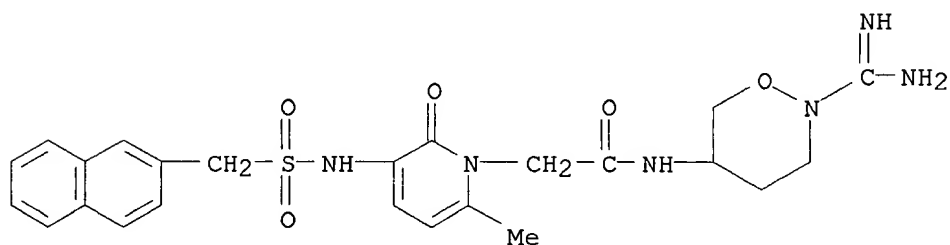
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[1-naphthalenylmethyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



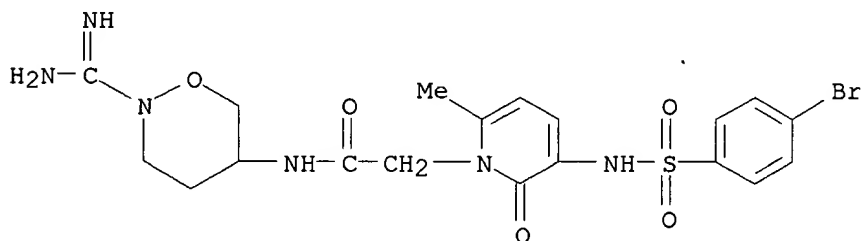
RN 311811-51-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[2-(naphthalenylmethyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-52-6 CAPLUS

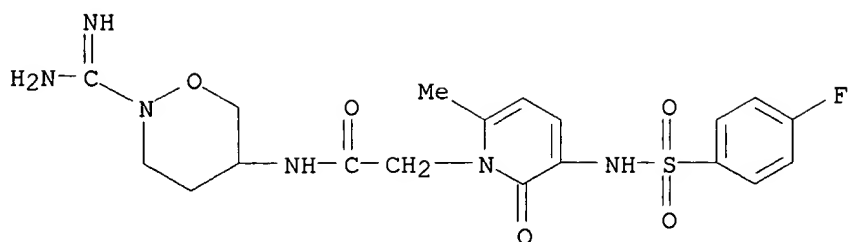
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[4-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-53-7 CAPLUS

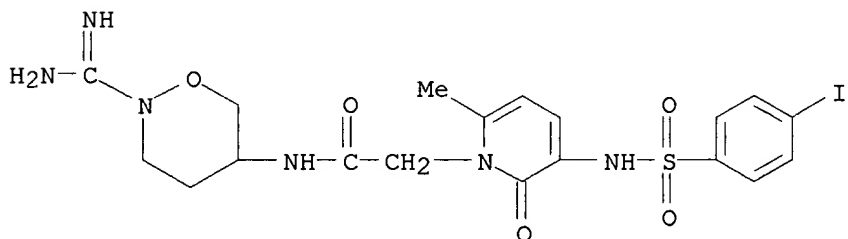
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[4-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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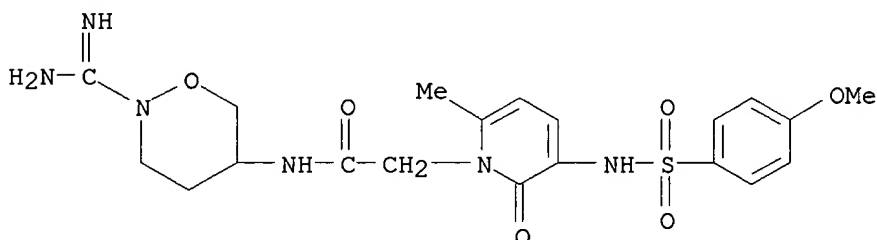
RN 311811-54-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[4-(4-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



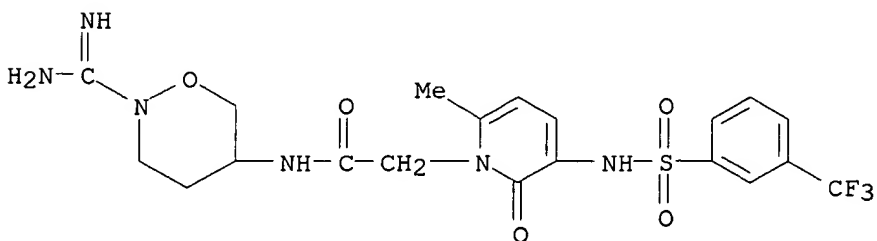
RN 311811-55-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[4-(4-methoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-56-0 CAPLUS

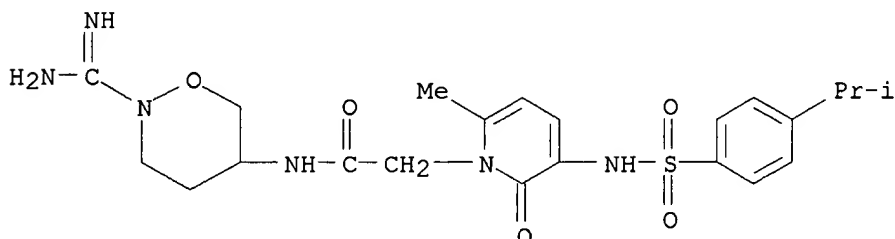
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

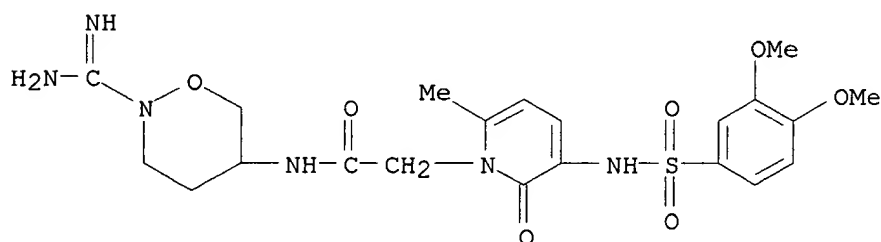
RN 311811-57-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-oxo- (9CI)  
(CA INDEX NAME)



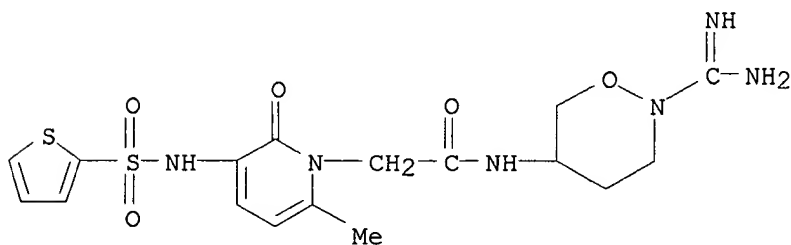
RN 311811-58-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[3,4-dimethoxyphenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-59-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)

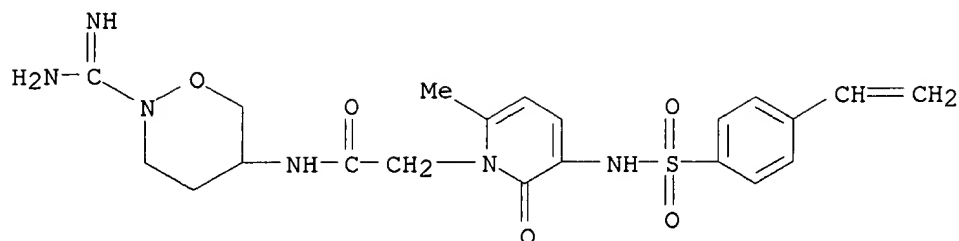


RN 311811-60-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[4-ethenylphenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

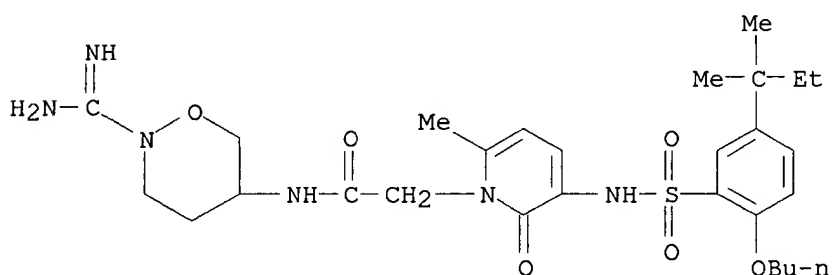


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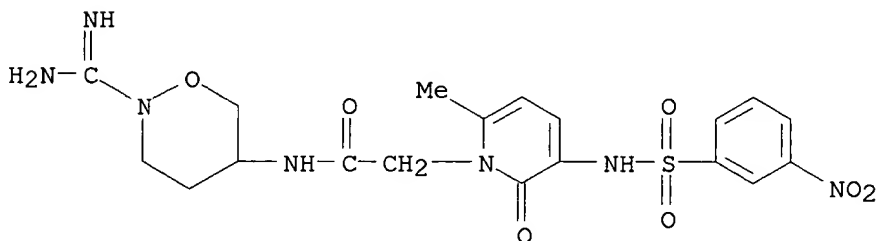
RN 311811-61-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[2-butoxy-5-(1,1-dimethylpropyl)phenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-62-8 CAPLUS

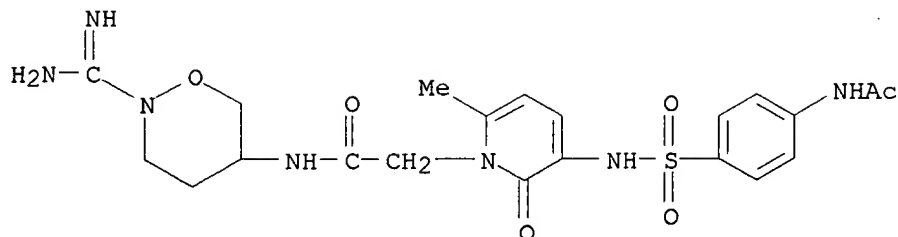
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[3-nitrophenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-63-9 CAPLUS

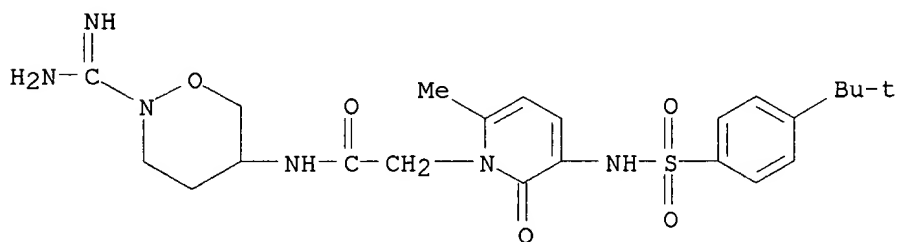
CN 1(2H)-Pyridineacetamide, 3-[[[4-(acetylamino)phenyl]sulfonyl]amino]-N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



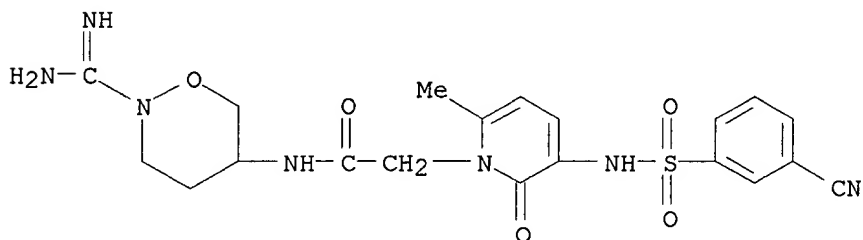
RN 311811-64-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI)  
(CA INDEX NAME)



RN 311811-65-1 CAPLUS

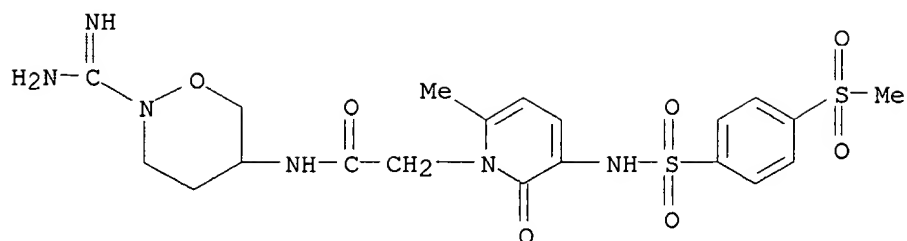
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[3-cyanophenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-66-2 CAPLUS

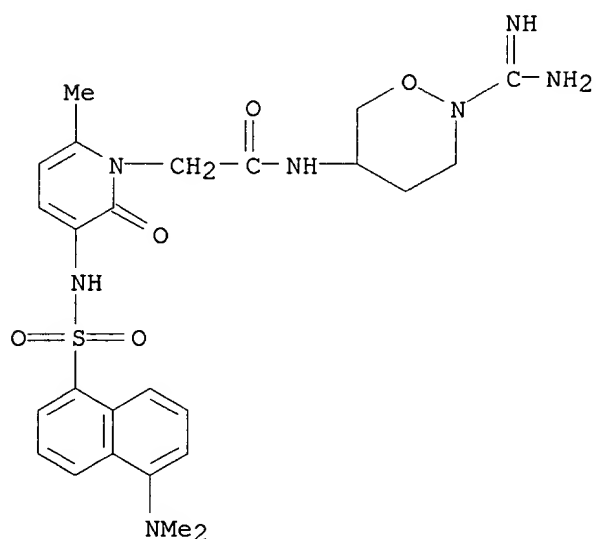
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[4-(methylsulfonyl)phenyl]sulfonyl]amino]-2-oxo- (9CI)  
(CA INDEX NAME)

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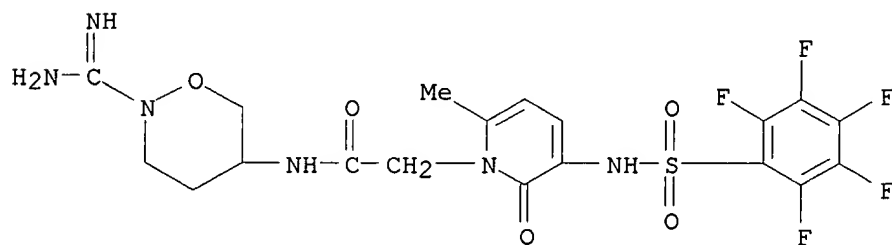
RN 311811-67-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-69-5 CAPLUS

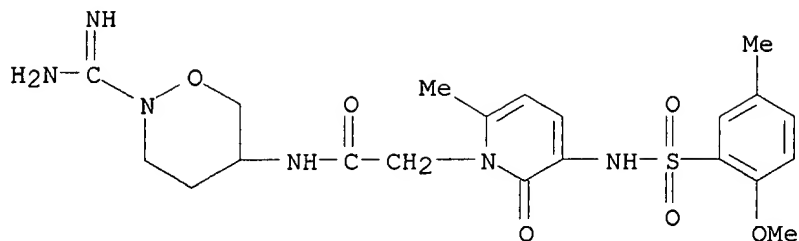
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[pentafluorophenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 311811-71-9 CAPLUS

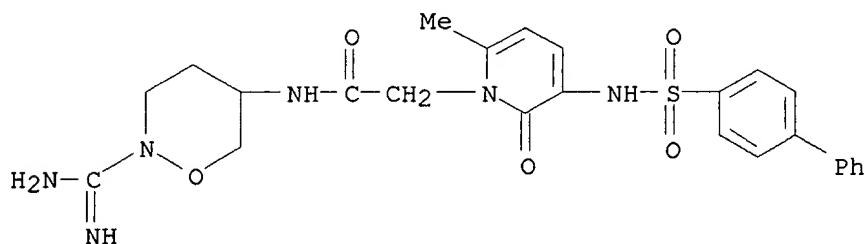
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[2-methoxy-5-methylphenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



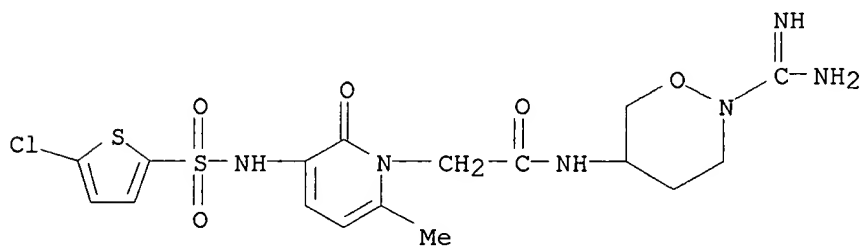
RN 311811-74-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[1,1'-biphenyl]-4-ylsulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-75-3 CAPLUS

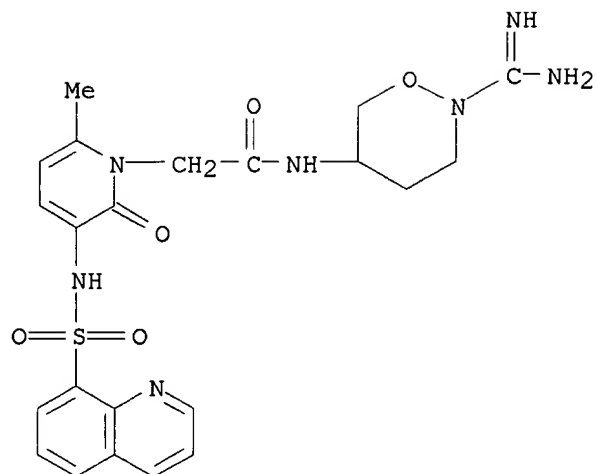
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[5-chloro-2-thienyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-76-4 CAPLUS

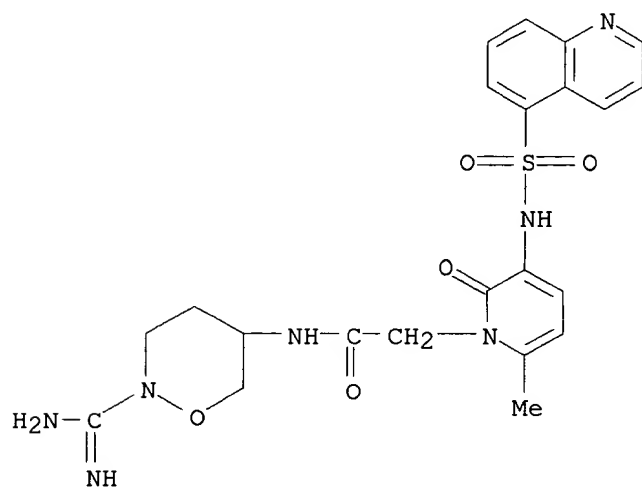
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(8-quinolinylsulfonyl)amino]- (9CI) (CA INDEX NAME)

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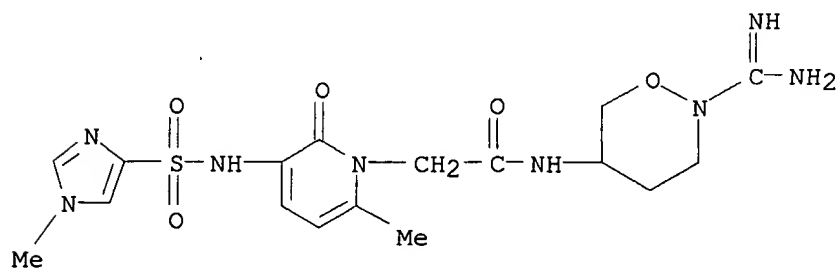
RN 311811-77-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(5-quinolinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311811-78-6 CAPLUS

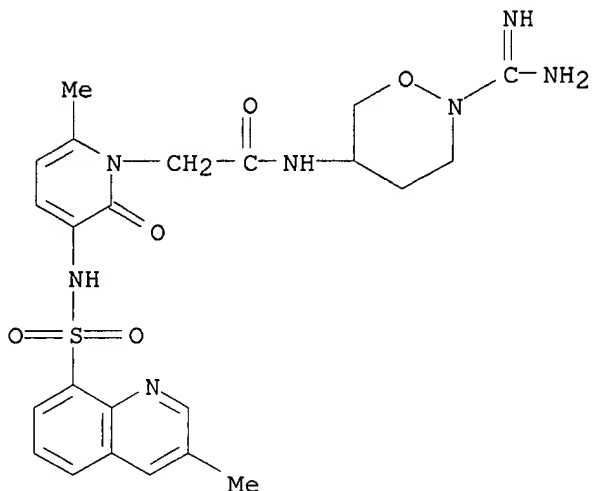
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[ (1-methyl-1H-imidazol-4-yl) sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

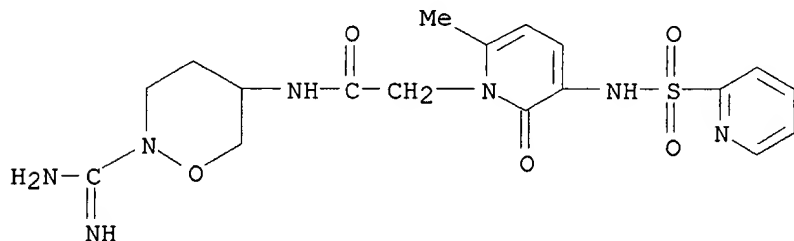
RN 311811-79-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[ (3-methyl-8-quinolinyl) sulfonyl] amino]-2-oxo- (9CI) (CA INDEX NAME)



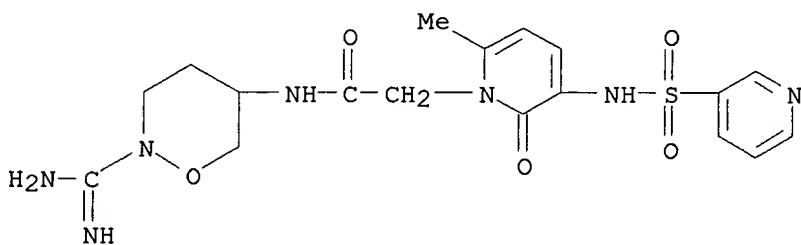
RN 311811-80-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(2-pyridinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311811-81-1 CAPLUS

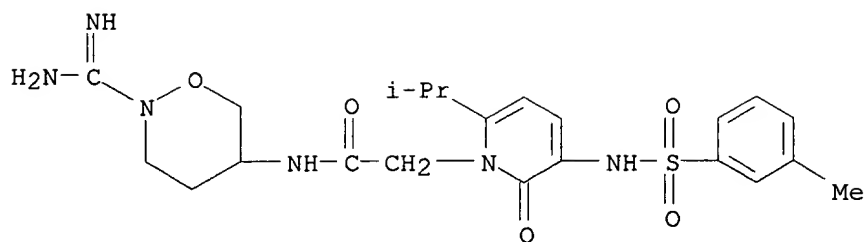
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(3-pyridinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311811-82-2 CAPLUS

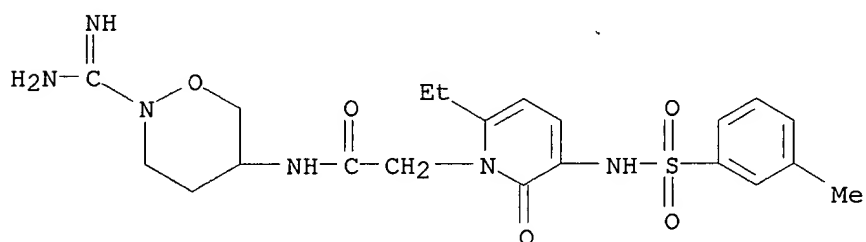
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-(1-methylethyl)-3-[[ (3-methylphenyl) sulfonyl] amino]-2-oxo- (9CI) (CA INDEX NAME)

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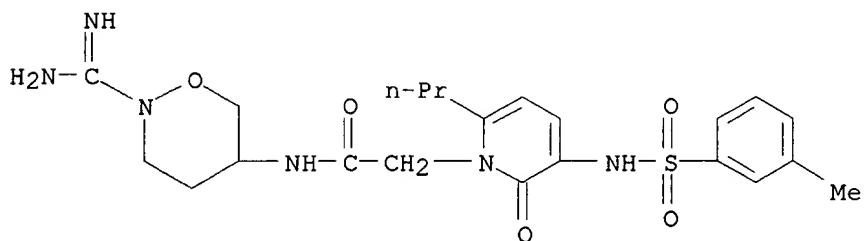
RN 311811-83-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-ethyl-3-[[3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



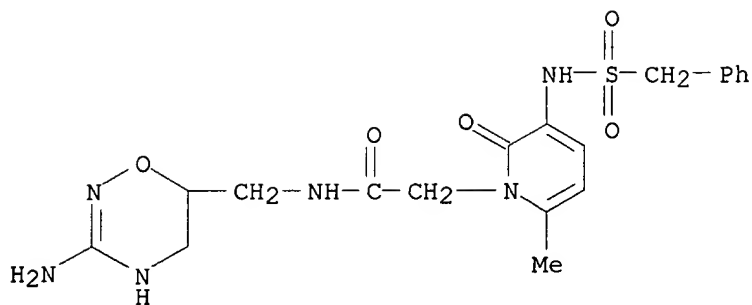
RN 311811-84-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-propyl-3-[[3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



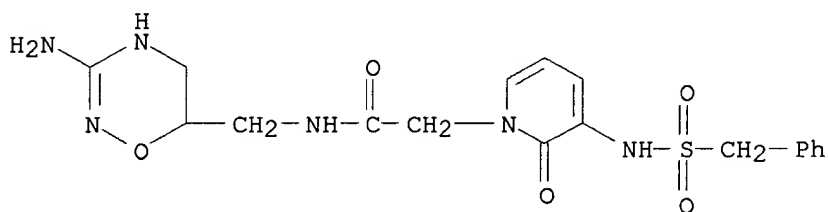
RN 311811-85-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[[3-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



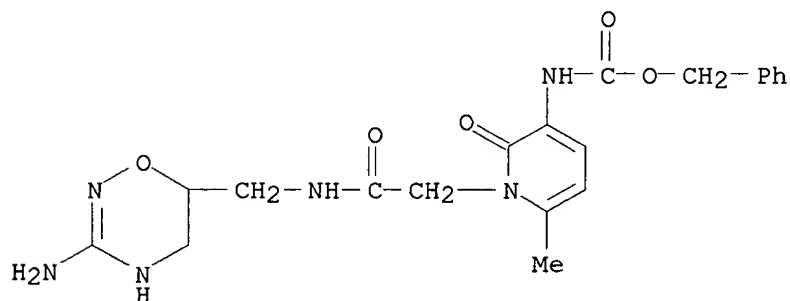
RN 311811-86-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino- (9CI) (CA INDEX NAME)



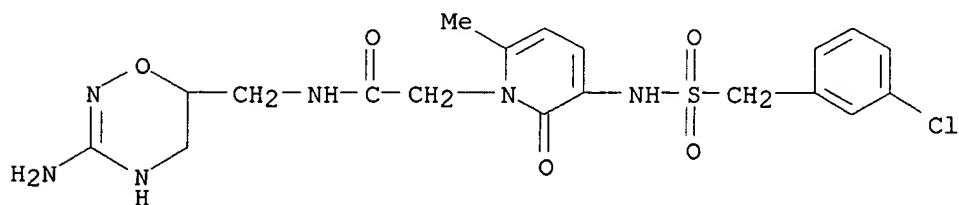
RN 311811-87-7 CAPLUS

CN Carbamic acid, [1-[2-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 311811-88-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

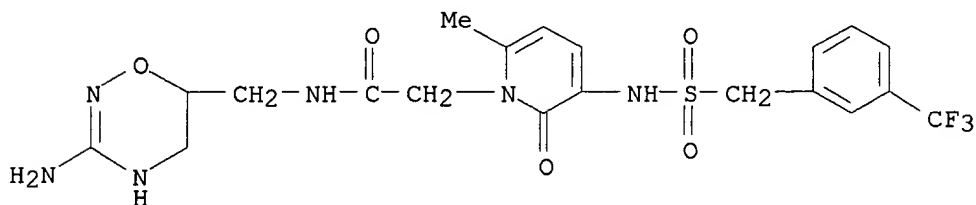




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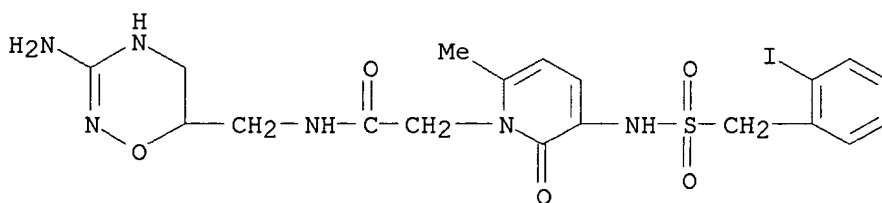
RN 311811-89-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



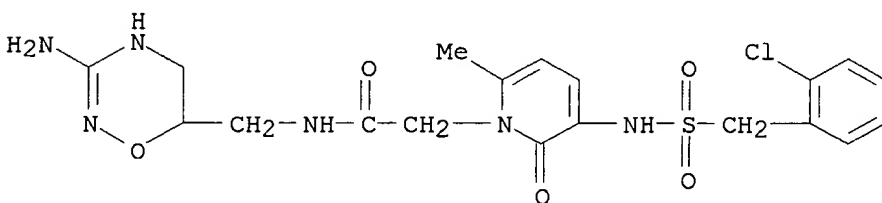
RN 311811-90-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-iodophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



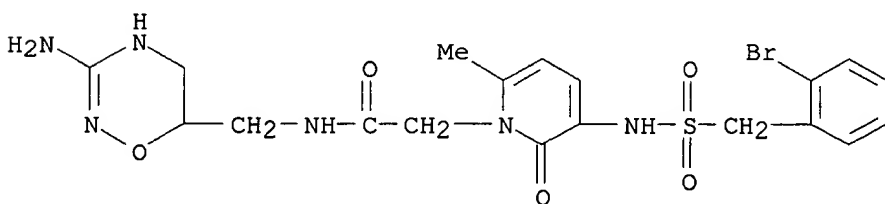
RN 311811-91-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-92-4 CAPLUS

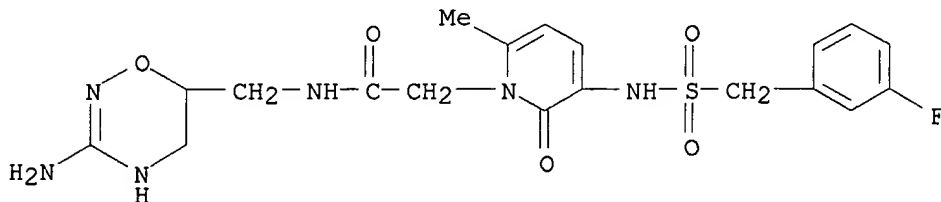
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



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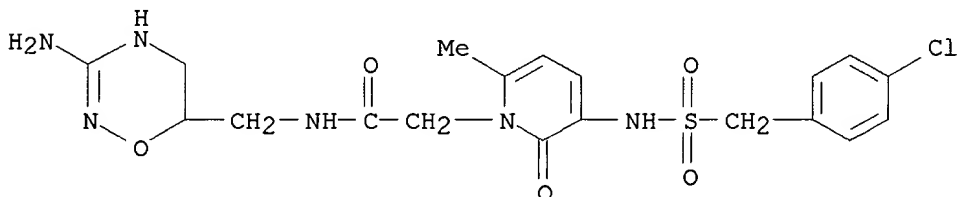
RN 311811-94-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-  
(9CI) (CA INDEX NAME)



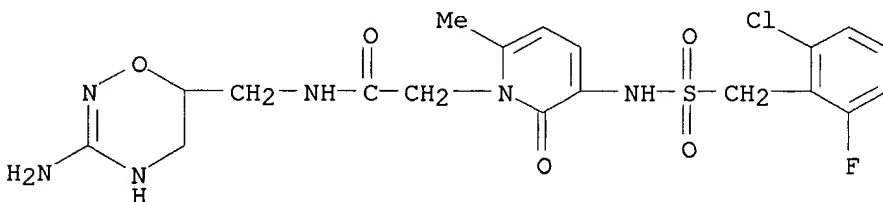
RN 311811-96-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-  
(9CI) (CA INDEX NAME)



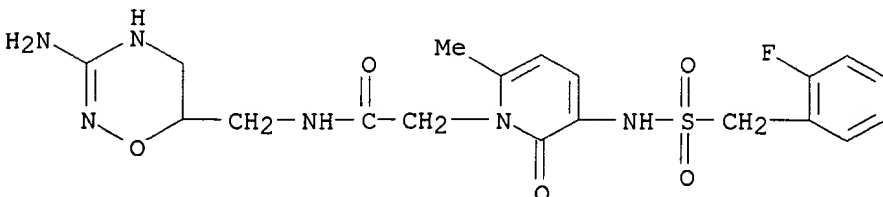
RN 311811-98-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-  
(9CI) (CA INDEX NAME)



RN 311812-00-7 CAPLUS

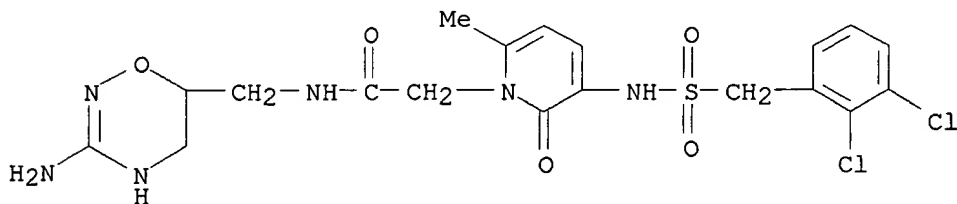
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-  
(9CI) (CA INDEX NAME)



RN 311812-01-8 CAPLUS

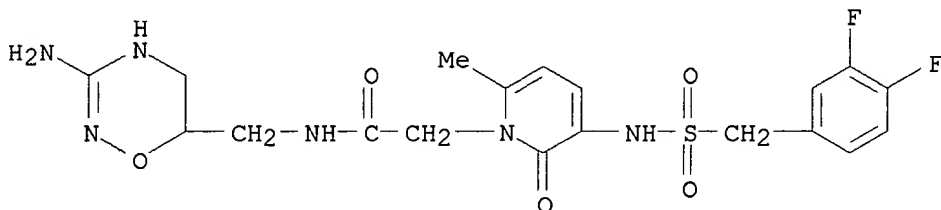
09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2,3-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



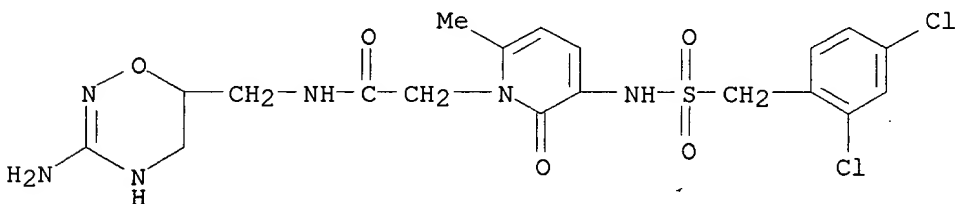
RN 311812-03-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3,4-difluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



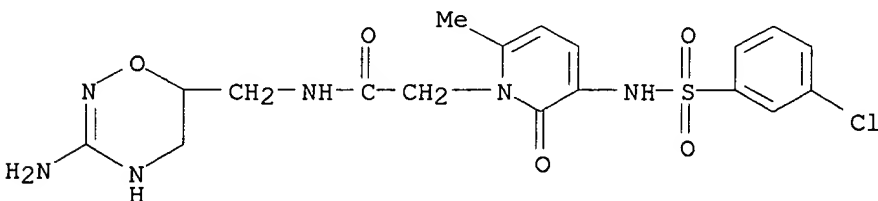
RN 311812-05-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2,4-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-06-3 CAPLUS

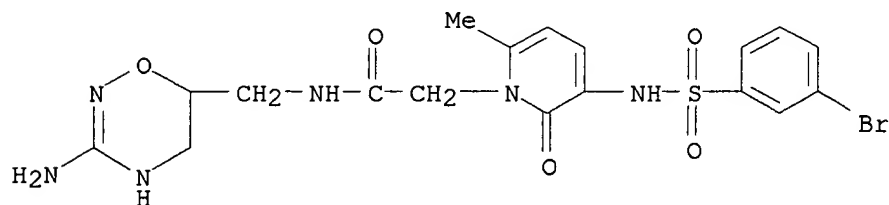
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-07-4 CAPLUS

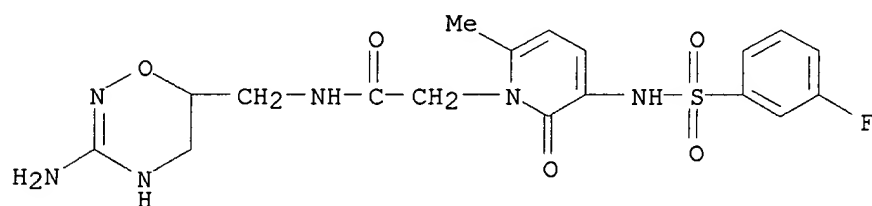
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CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



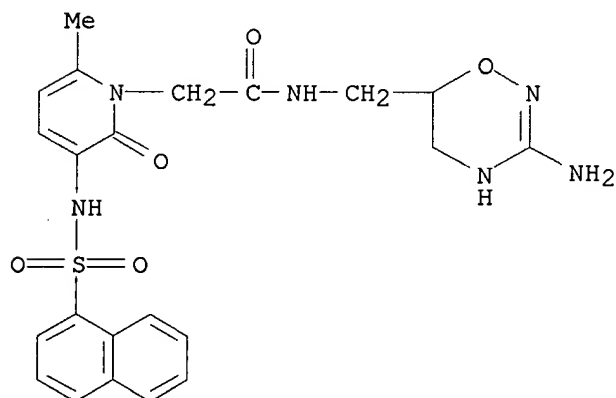
RN 311812-08-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-09-6 CAPLUS

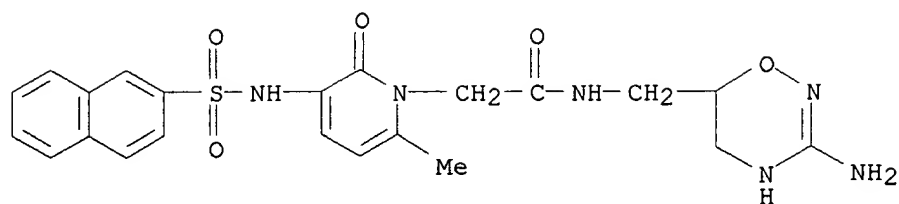
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-10-9 CAPLUS

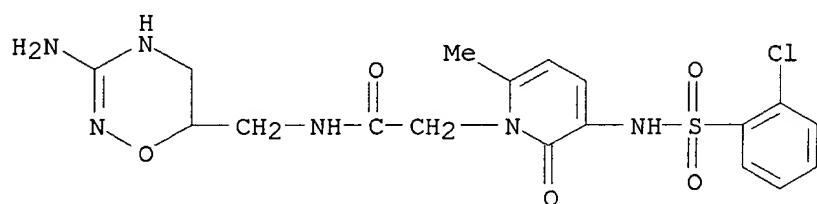
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

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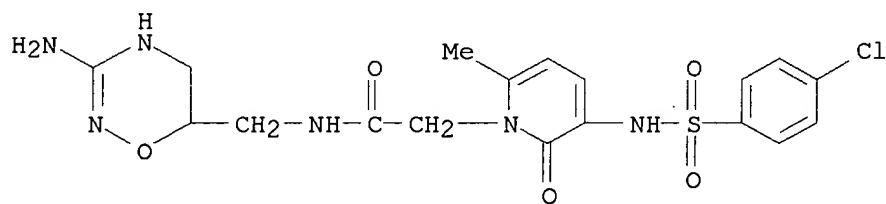
RN 311812-11-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(2-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



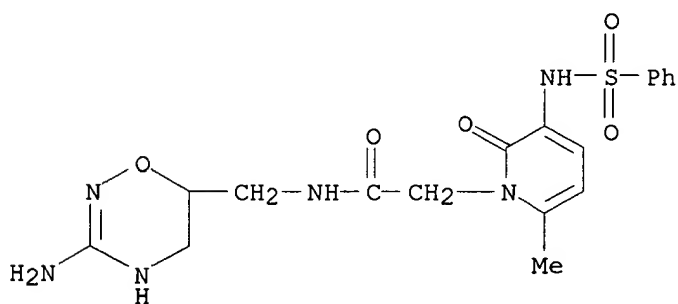
RN 311812-12-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(4-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-13-2 CAPLUS

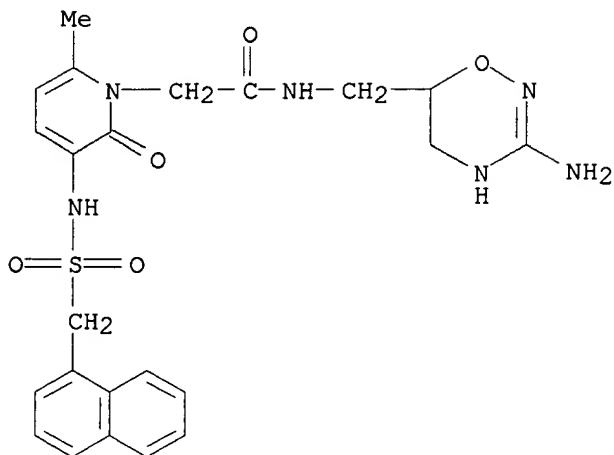
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311812-14-3 CAPLUS

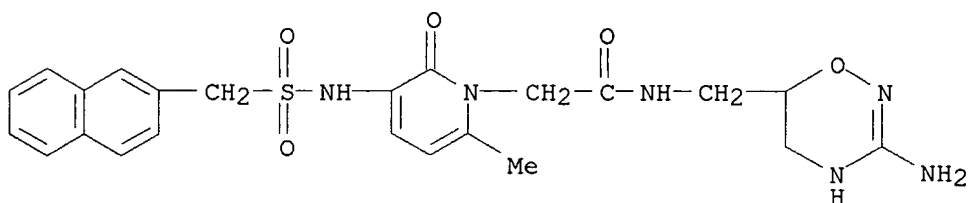
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-

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yl,methyl]-6-methyl-3-[[ (1-naphthalenyl)methyl] sulfonyl] amino]-2-oxo- (9CI)  
(CA INDEX NAME)

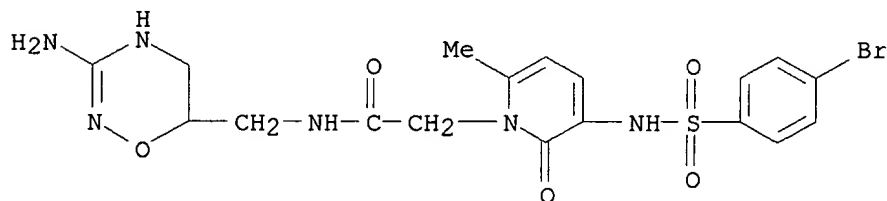
RN 311812-15-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[2-naphthalenylmethyl)sulfonyl]amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 311812-16-5 CAPLUS

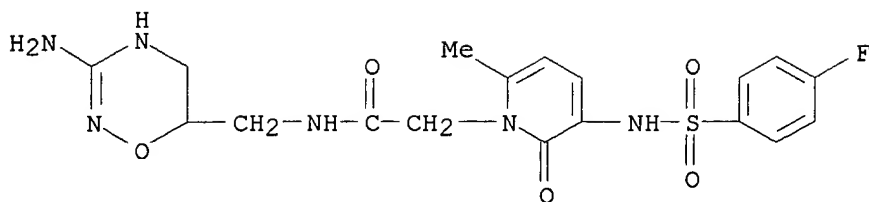
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[ (4-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-17-6 CAPLUS

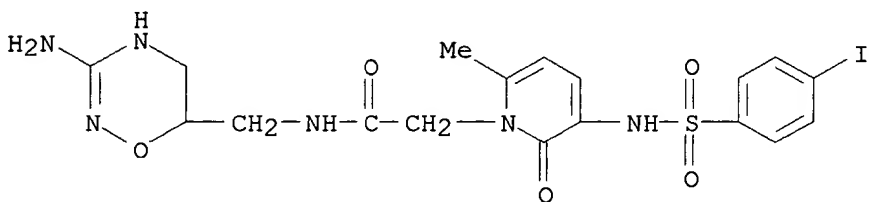
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[ (4-fluorophenyl) sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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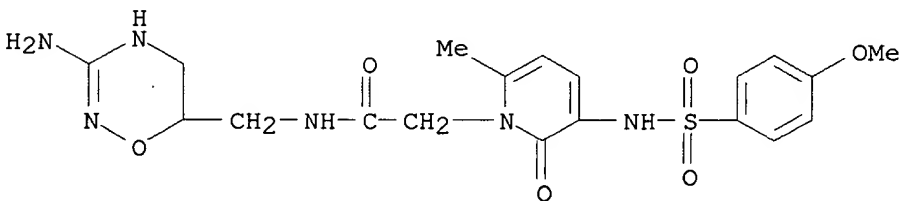
RN 311812-18-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[4-(4-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



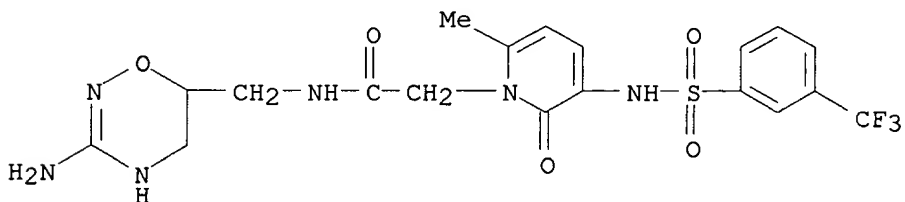
RN 311812-19-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[4-(4-methoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-20-1 CAPLUS

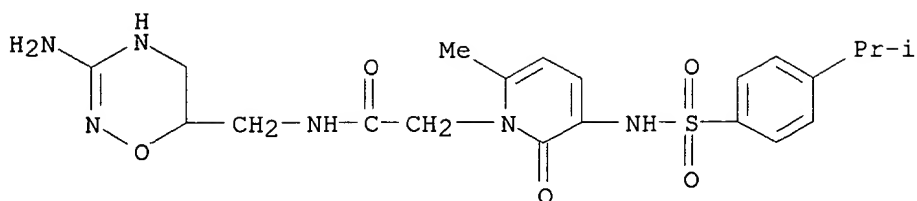
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 311812-21-2 CAPLUS

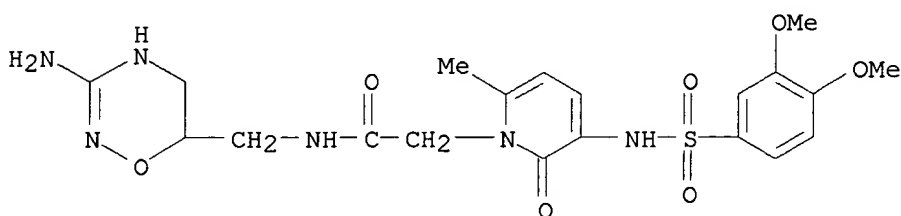
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

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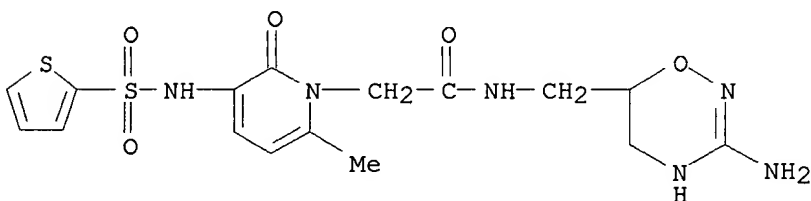
RN 311812-22-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(3,4-dimethoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



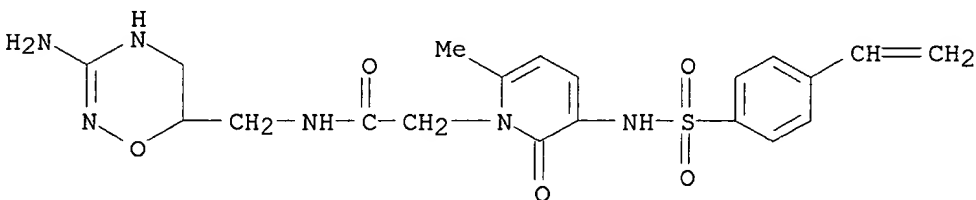
RN 311812-23-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 311812-24-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[(4-ethenylphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

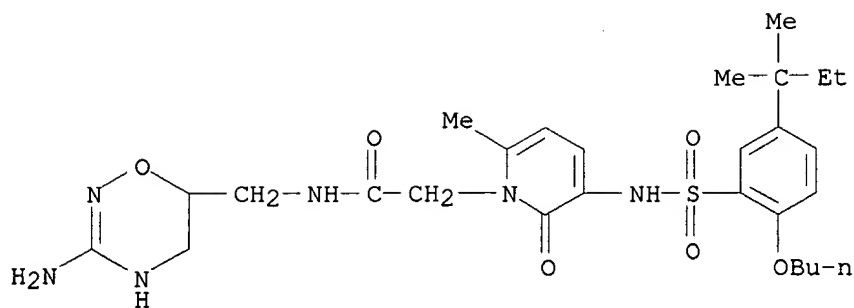


RN 311812-25-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[2-butoxy-5-(1,1-dimethylpropyl)phenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

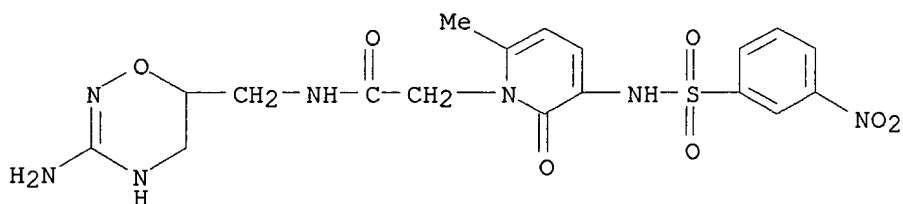


09/ 716,962



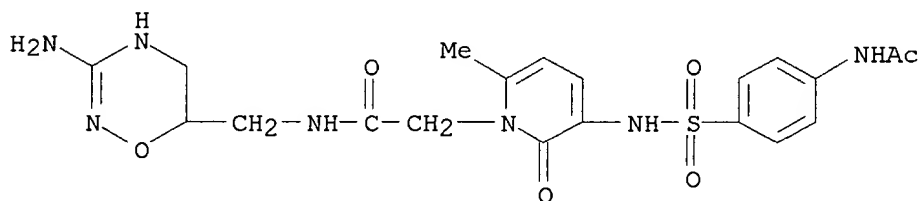
RN 311812-26-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[[3-(n-butyl)phenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



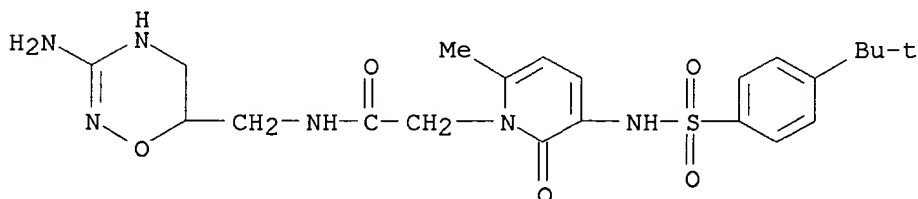
RN 311812-27-8 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[[4-(acetylamino)phenyl]sulfonyl]amino]-N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-28-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

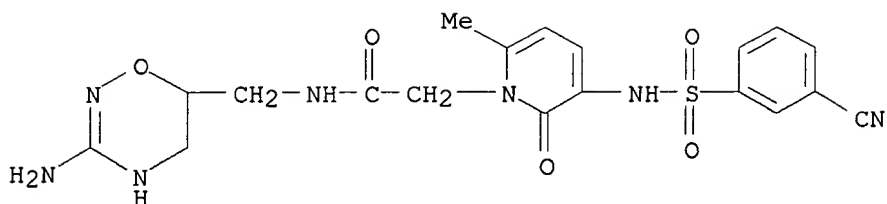


RN 311812-29-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[3-(cyanophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

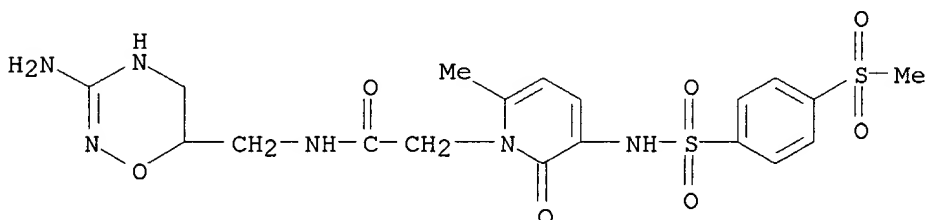
09/ 716,962

INDEX NAME)



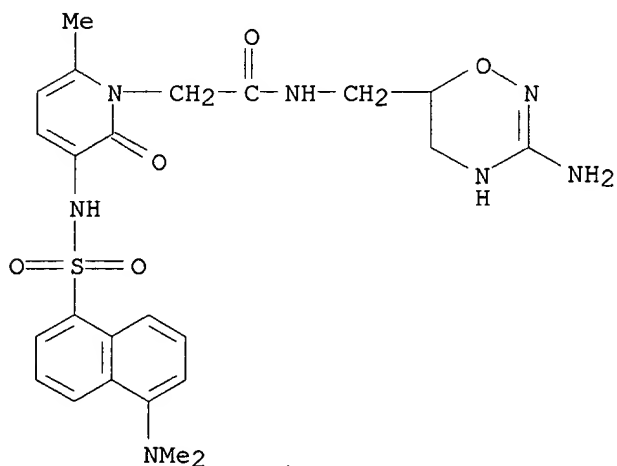
RN 311812-30-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[4-(methylsulfonyl)phenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-31-4 CAPLUS

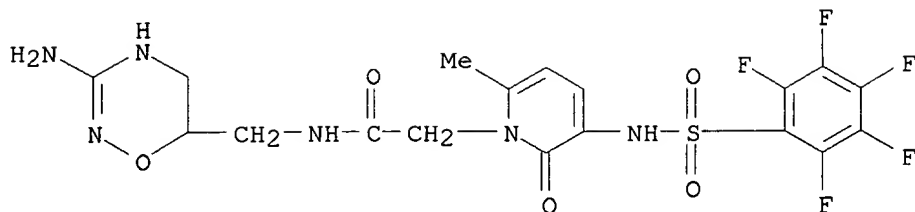
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 311812-32-5 CAPLUS

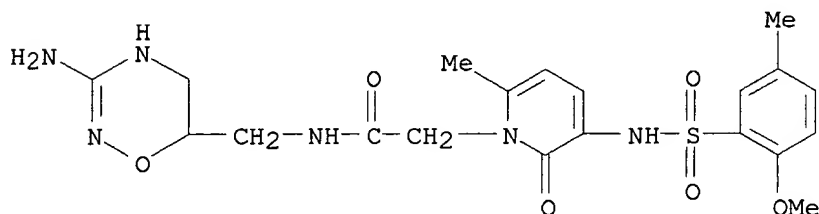
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

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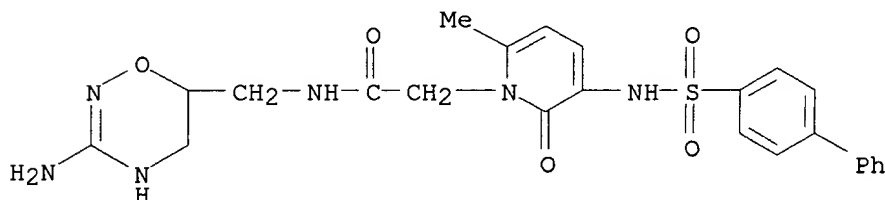
RN 311812-33-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[2-methoxy-5-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



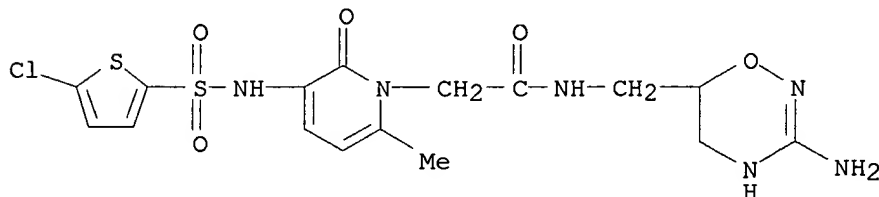
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RN 311812-35-8 CAPLUS

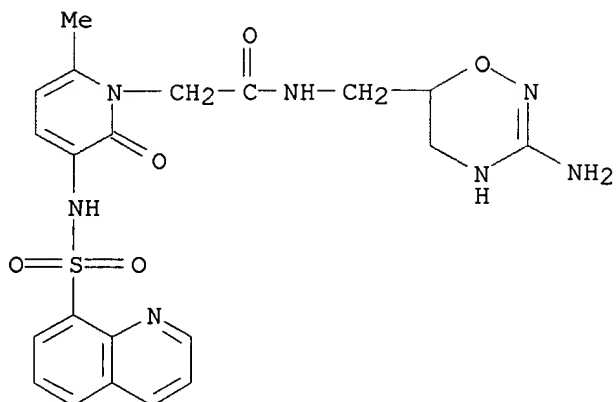
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RN 311812-36-9 CAPLUS

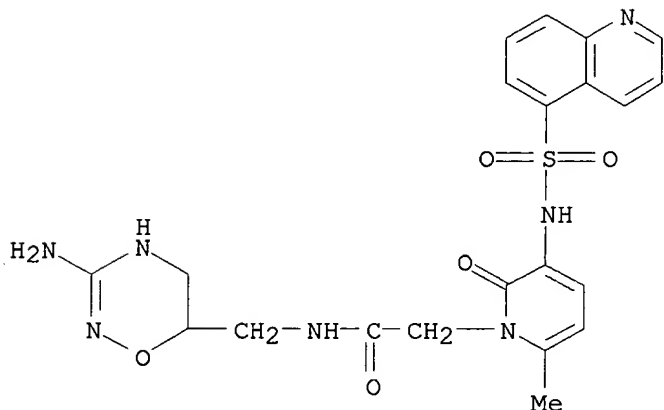
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09/ 716,962



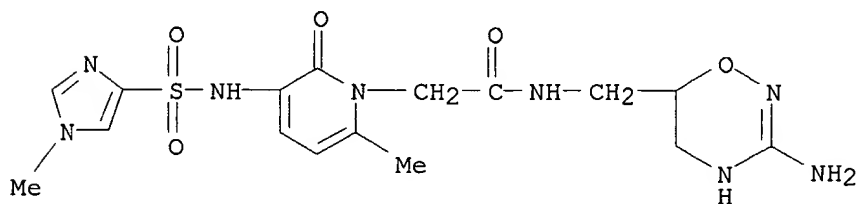
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RN 311812-38-1 CAPLUS

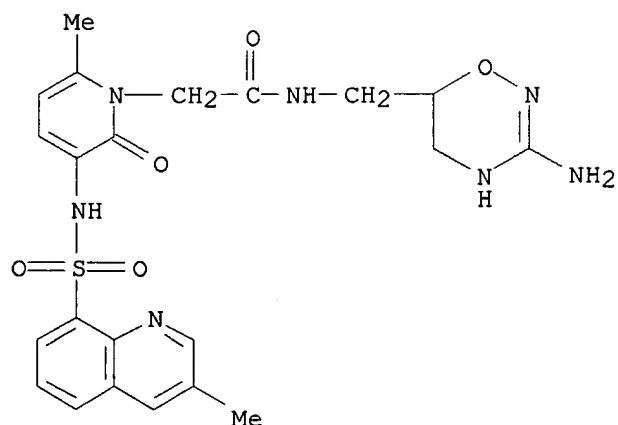
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-3-[[ (1-methyl-1H-imidazol-4-yl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



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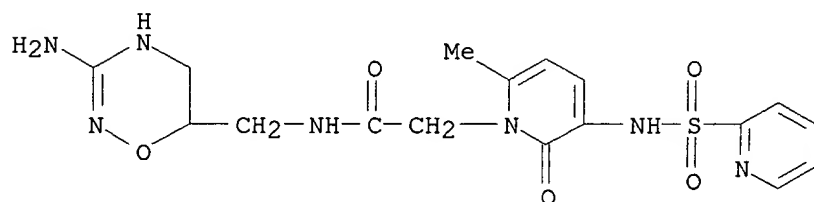
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09/ 716,962



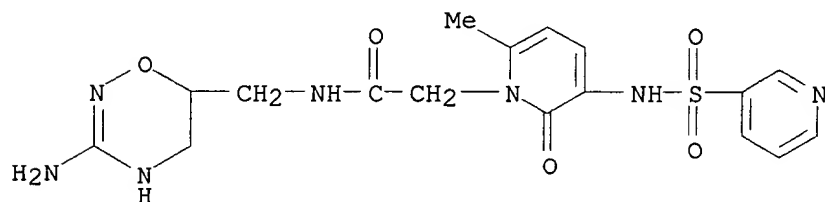
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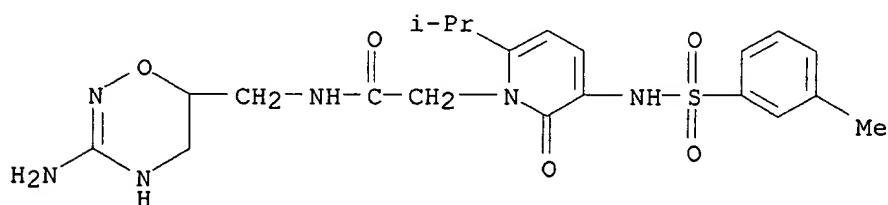
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RN 311812-42-7 CAPLUS

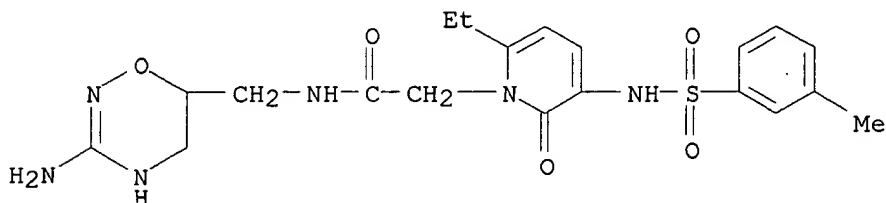
CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-(1-methylethyl)-3-[[ (3-methylphenyl) sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

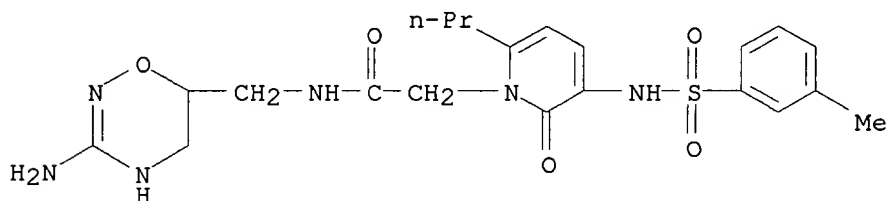
RN 311812-43-8 CAPLUS

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RN 311812-44-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-3-[[ (3-methylphenyl)sulfonyl]amino]-2-oxo-6-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

REFERENCE(S):

- (1) Cor Therapeutics Inc; WO 9816547 A 1998 CAPLUS
  - (2) Corvas International Inc; WO 9618644 A 1996 CAPLUS
  - (3) Corvas International Inc; WO 9746207 A 1997 CAPLUS
  - (4) Merck & Co Inc; WO 9701338 A 1997 CAPLUS
  - (5) Merck & Co Inc; WO 9730708 A 1997 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:824225 CAPLUS

DOCUMENT NUMBER: 134:4870

TITLE: Preparation of (heteroaryl)pyridones as

**coagulation** cascade serine protease inhibitors

INVENTOR(S): South, Michael S.; Zeng, Qingpeng; Rueppel, Melvin L.

PATENT ASSIGNEE(S): Monsanto Company, USA

SOURCE: PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069826	A1	20001123	WO 2000-US8220	20000515

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,

*Applicant's*

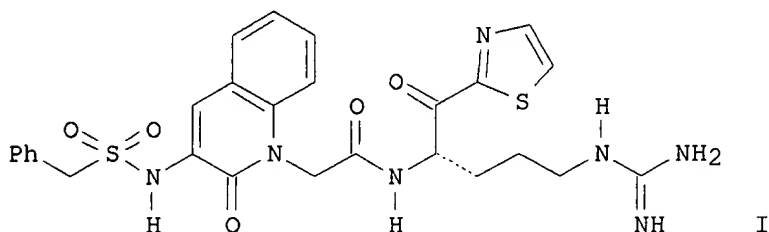
09/ 716,962

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DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-134811 P 19990519

OTHER SOURCE(S): MARPAT 134:4870

GI



AB Title compd. I.CF3CO2H was prepd. starting from 3-nitro-2(1H)-quinolinone.  
Data for biol. activity of title compds. were given.

IT 308131-01-3P 308131-04-6P 308131-07-9P  
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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of (heteroaryl)pyridones as **coagulation** cascade  
serine protease inhibitors)

RN 308131-01-3 CAPLUS

CN 1(2H)-Quinolineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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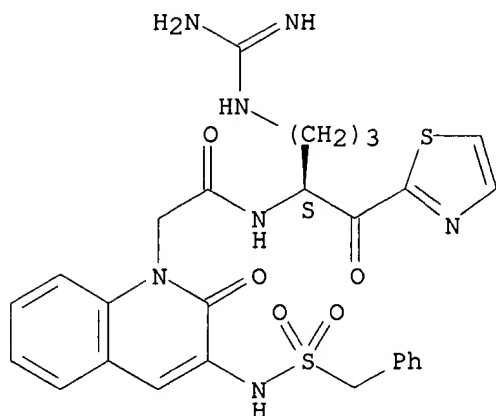
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Absolute stereochemistry.



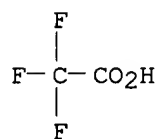
09/ 716,962



CM 2

CRN 76-05-1

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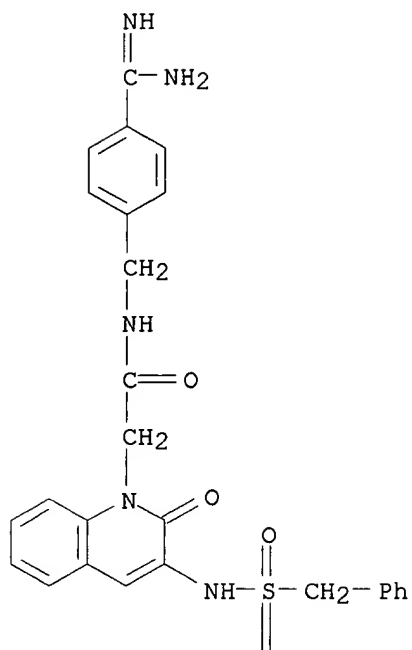
RN 308131-04-6 CAPLUS

CN 1(2H)-Quinolineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-, mono(4-methylbenzenesulfonate) (9CI)  
(CA INDEX NAME)

CM 1

CRN 308131-03-5

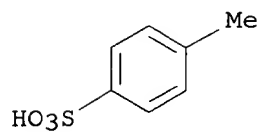
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RN 308131-07-9 CAPLUS

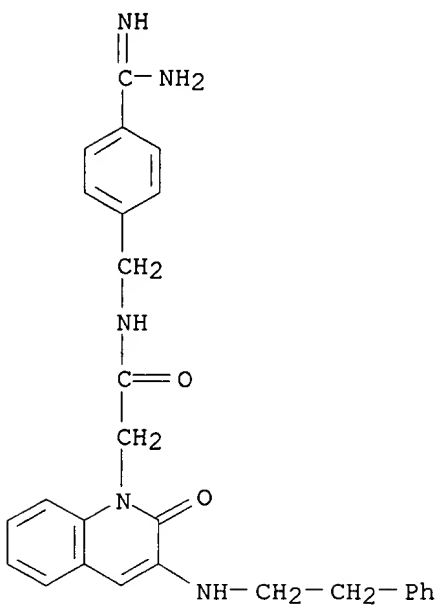
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CRN 308131-06-8

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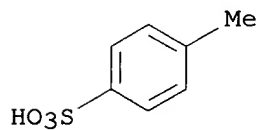
09/ 716,962



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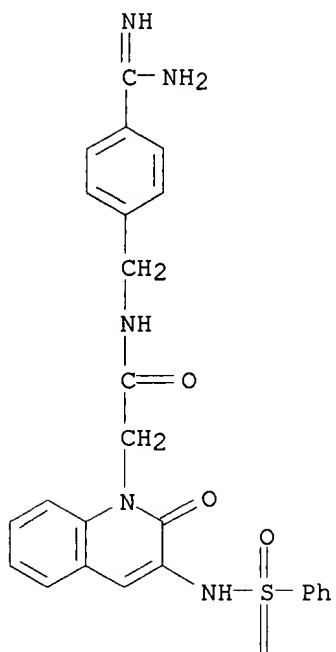
RN 308131-10-4 CAPLUS

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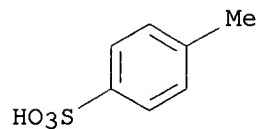
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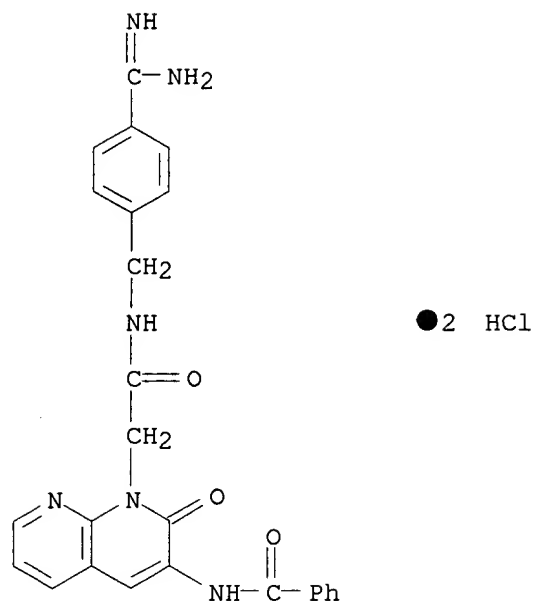
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RN 308131-12-6 CAPLUS  
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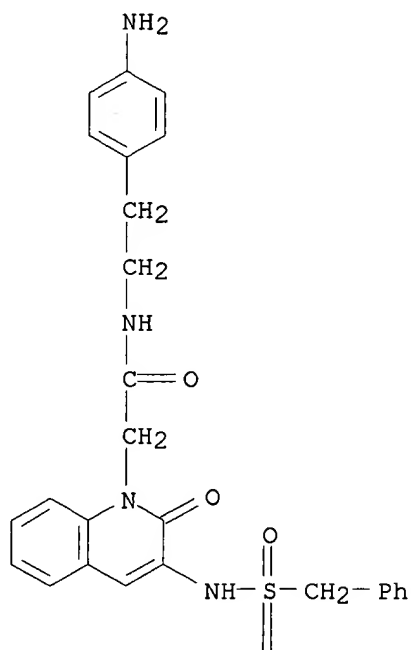
09/ 716,962



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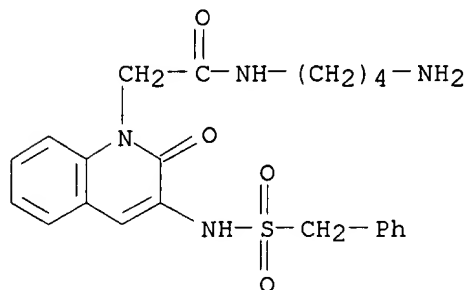
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[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

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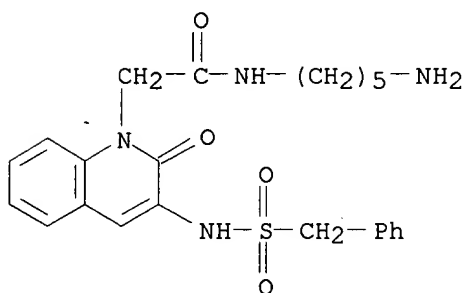




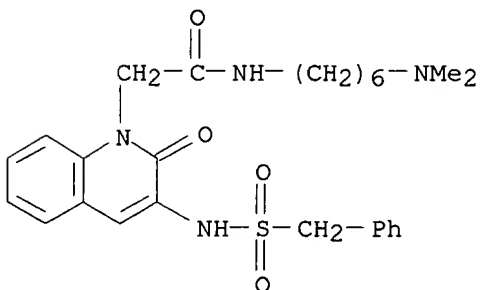
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RN 308131-18-2 CAPLUS  
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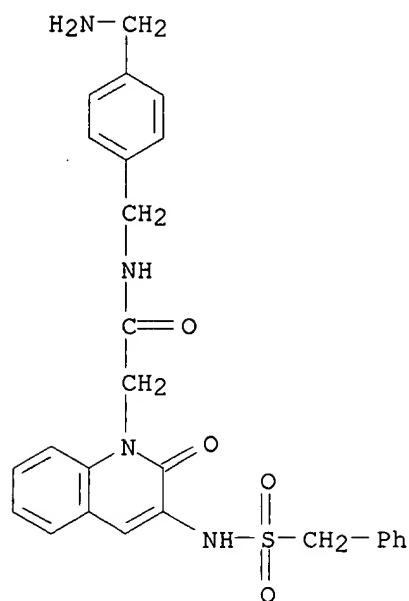


RN 308131-20-6 CAPLUS  
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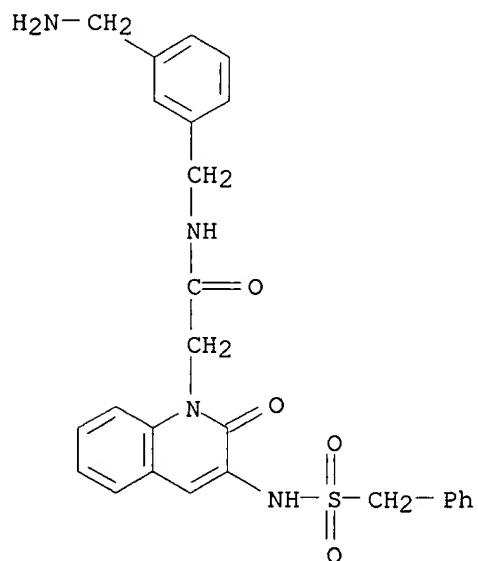
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09/ 716,962



RN 308131-24-0 CAPLUS

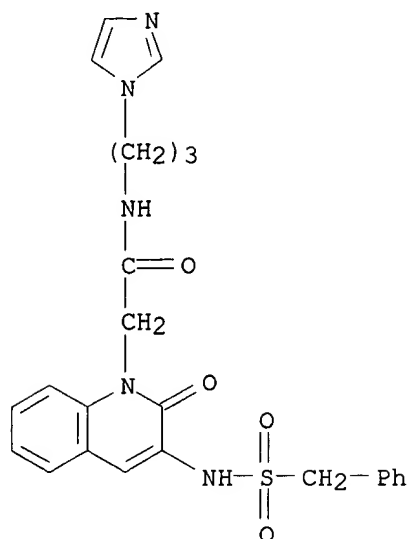
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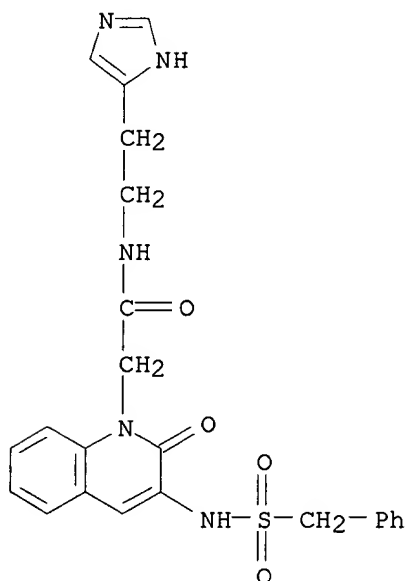
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09/ 716,962



RN 308131-29-5 CAPLUS

CN 1(2H)-Quinolineacetamide, N-[2-(1H-imidazol-4-yl)ethyl]-2-oxo-3-  
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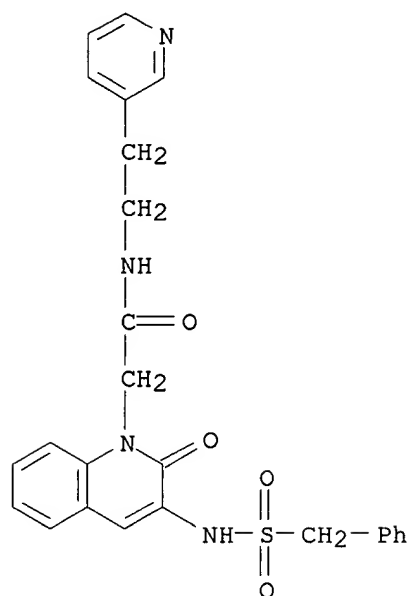


RN 308131-31-9 CAPLUS

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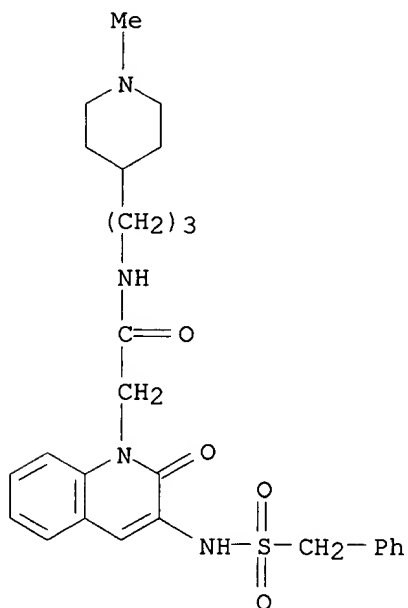


09/ 716,962



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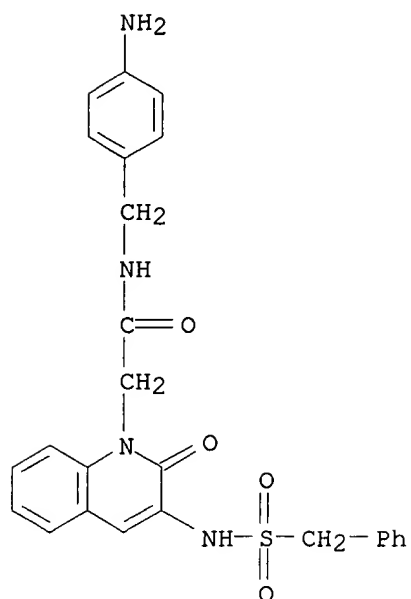
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RN 308131-35-3 CAPLUS

CN 1(2H)-Quinolineacetamide, N-[(4-aminophenyl)methyl]-2-oxo-3-  
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09/ 716,962



RN 308131-38-6 CAPLUS

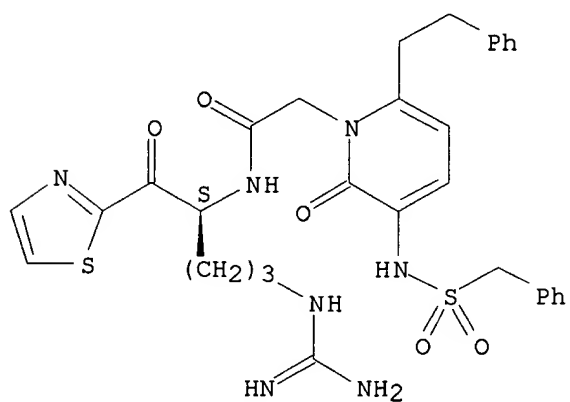
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CM 1

CRN 308131-37-5

CMF C31 H35 N7 O5 S2

Absolute stereochemistry.

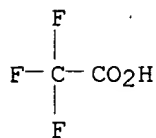


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 308131-41-1 CAPLUS

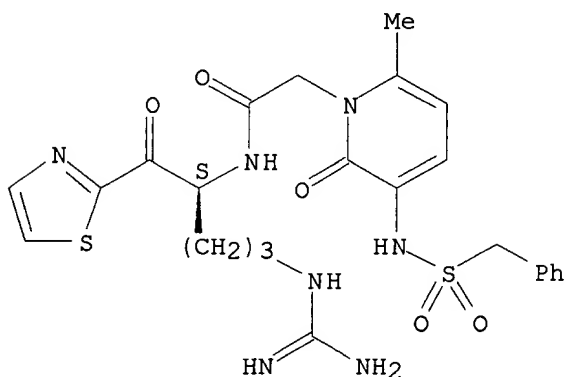
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 308131-40-0

CMF C24 H29 N7 O5 S2

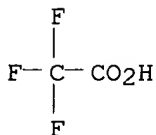
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 308131-44-4 CAPLUS

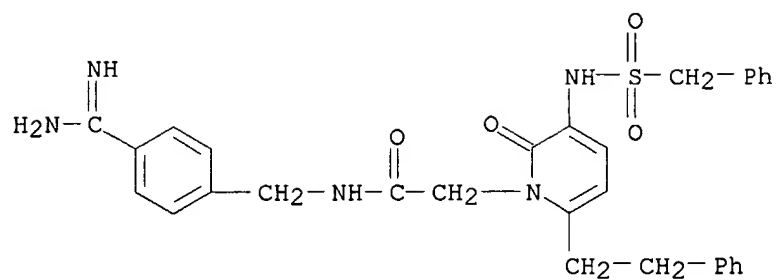
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-6-(2-phenylethyl)-3-[[ (phenylmethyl) sulfonyl] amino]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 308131-43-3

CMF C30 H31 N5 O4 S

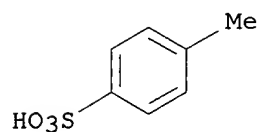
09/ 716,962



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



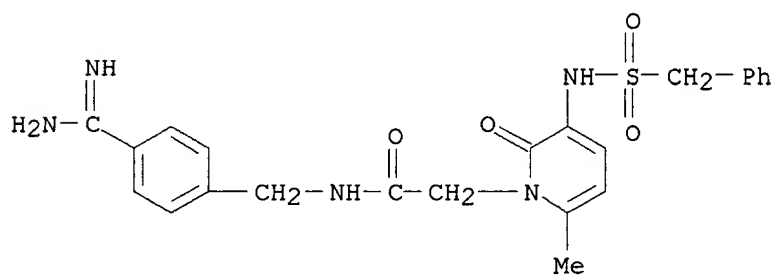
RN 308131-46-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 273754-42-0

CMF C23 H25 N5 O4 S

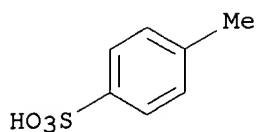


CM 2

CRN 104-15-4

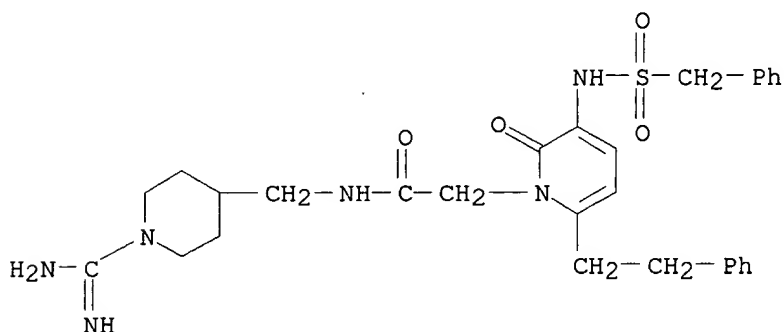
CMF C7 H8 O3 S

09/ 716,962



RN 308131-48-8 CAPLUS

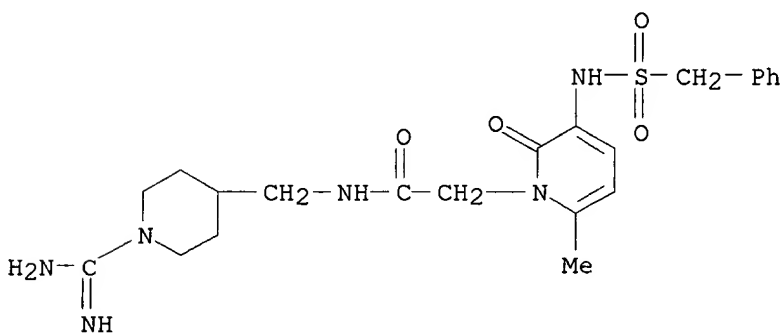
CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidiny]methyl]-2-oxo-6-(2-phenylethyl)-3-[[ (phenylmethyl) sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 308131-50-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidiny]methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

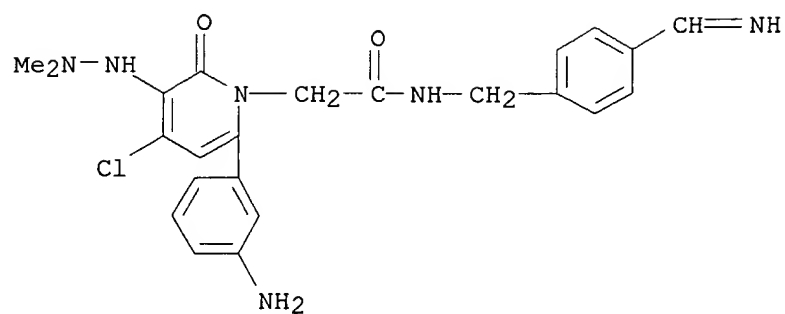


● HCl

RN 308131-86-4 CAPLUS

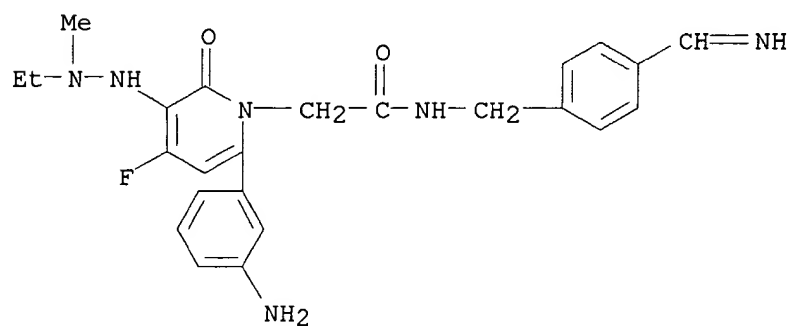
CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-4-chloro-3-(2,2-dimethylhydrazino)-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



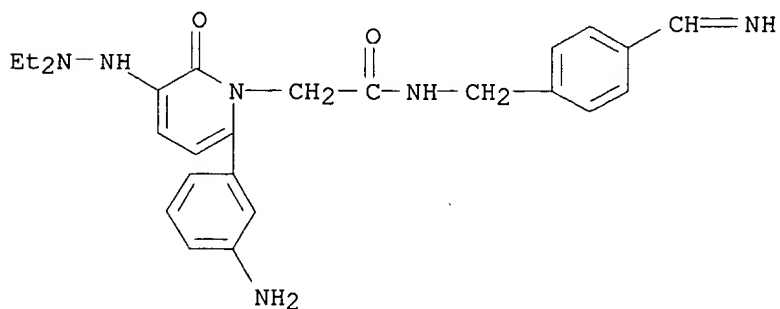
RN 308131-87-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-3-(2-ethyl-2-methylhydrazino)-4-fluoro-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 308131-88-6 CAPLUS

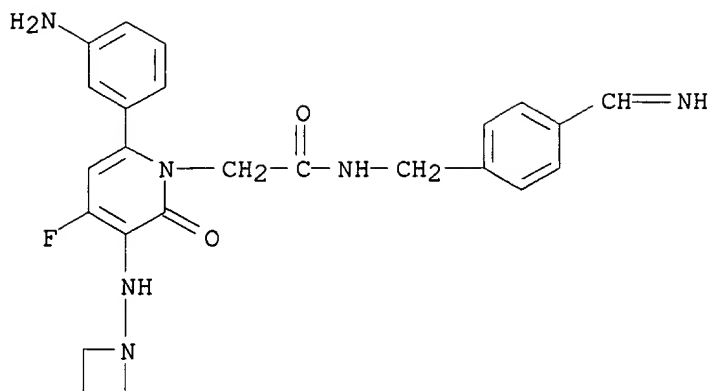
CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-3-(1-azetidinylamino)-4-fluoro-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 308131-89-7 CAPLUS

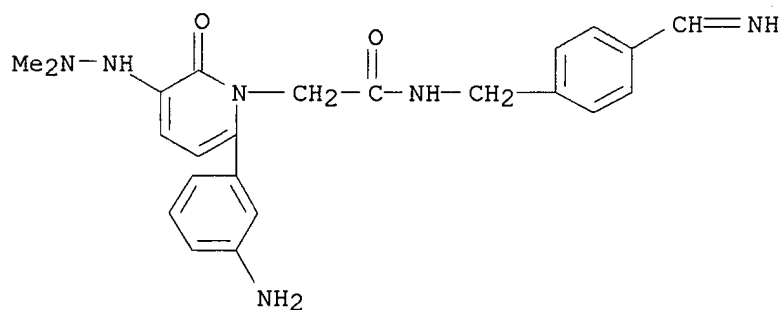
CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-3-(1-azetidinylamino)-4-fluoro-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



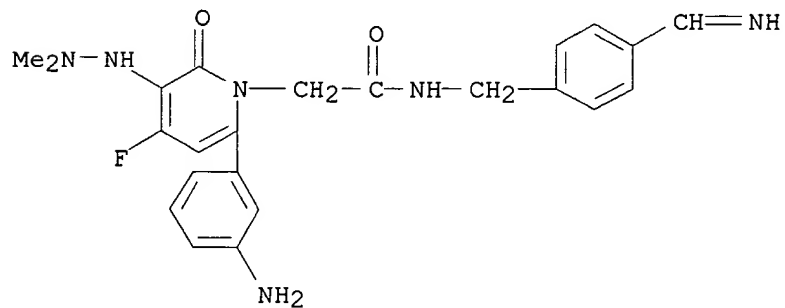
RN 308131-90-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-3-(2,2-dimethylhydrazino)-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 308131-91-1 CAPLUS

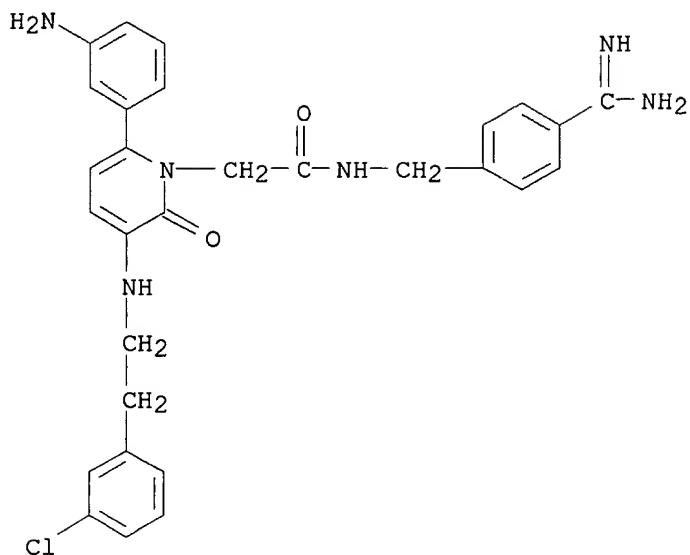
CN 1(2H)-Pyridineacetamide, 6-(3-aminophenyl)-3-(2,2-dimethylhydrazino)-4-fluoro-N-[[4-(iminomethyl)phenyl]methyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 308131-92-2 CAPLUS

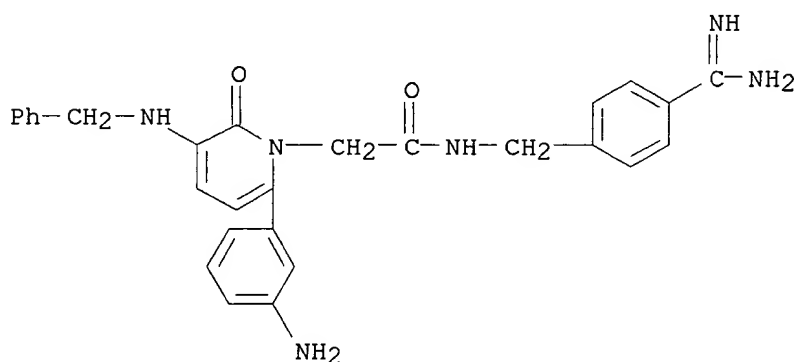
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



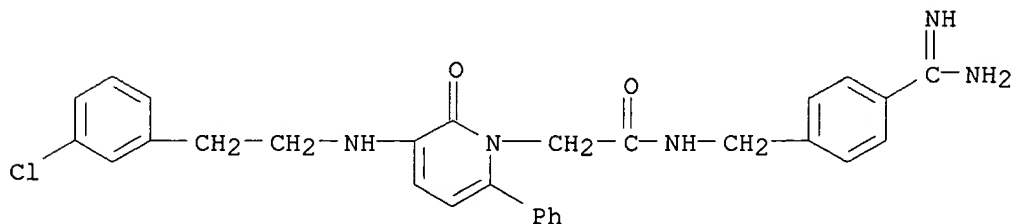
RN 308131-93-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308131-94-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

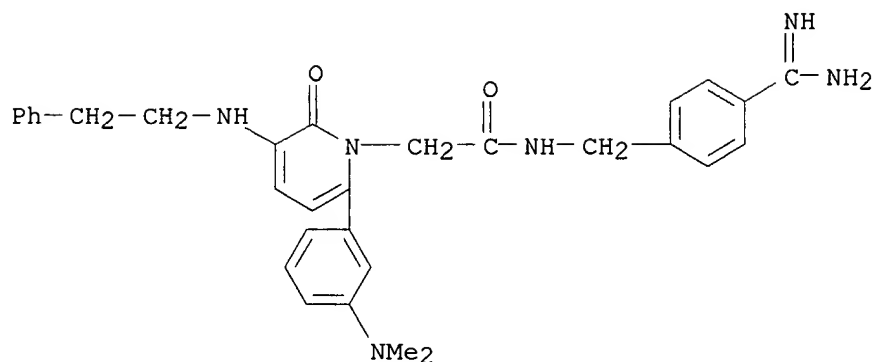


RN 308131-95-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(dimethylamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

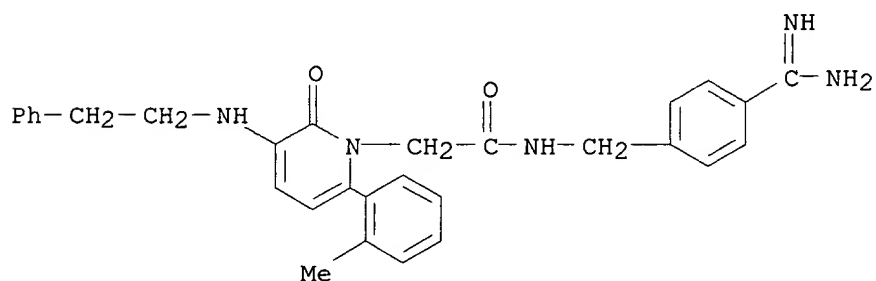


09/ 716,962



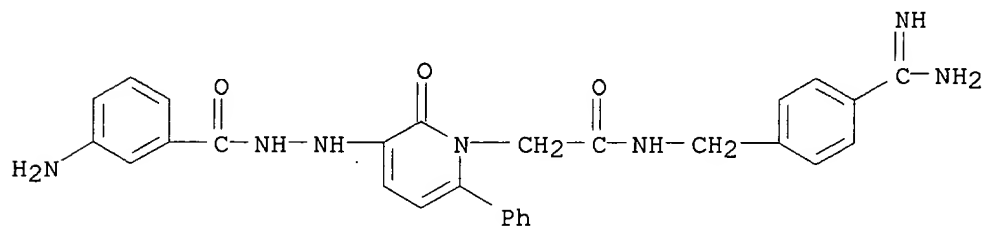
RN 308131-96-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(2-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308131-97-7 CAPLUS

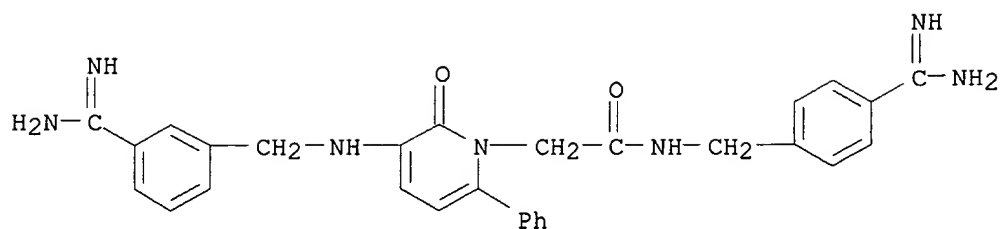
CN Benzoic acid, 3-amino-, 2-[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-6-phenyl-3-pyridinyl]hydrazide (9CI) (CA INDEX NAME)



RN 308131-98-8 CAPLUS

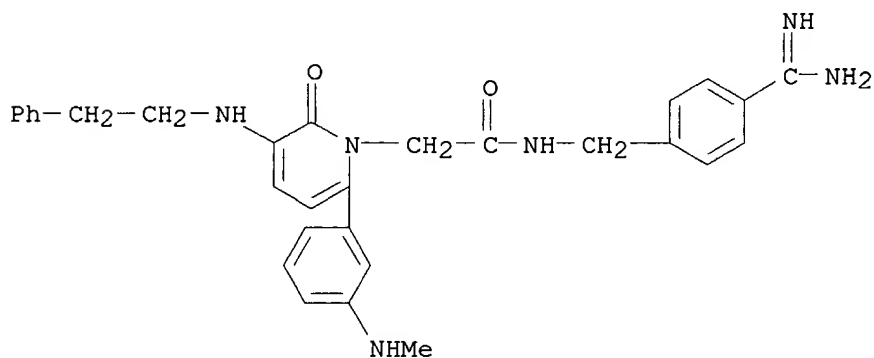
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[3-(aminoiminomethyl)phenyl]methyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

09/ 716,962



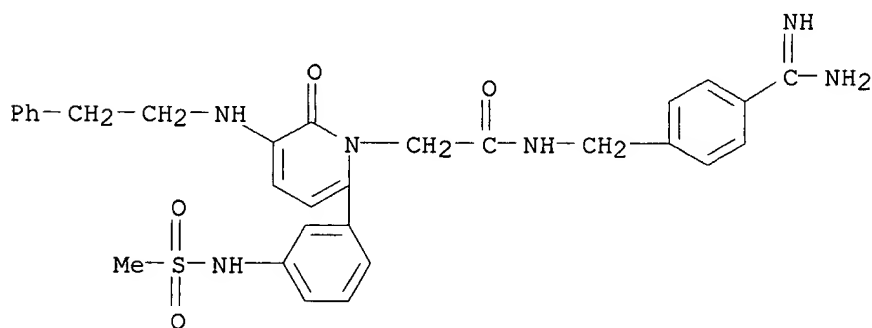
RN 308131-99-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(methylamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-00-5 CAPLUS

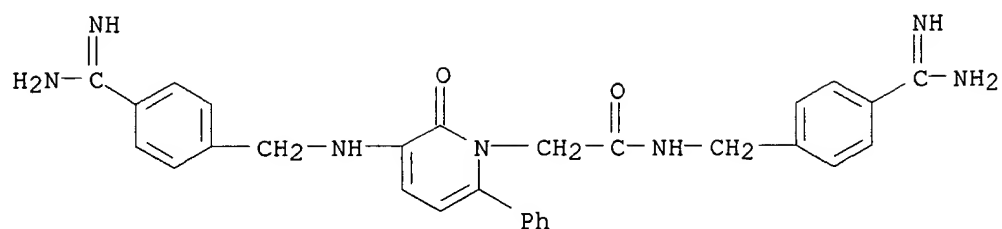
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-[(methylsulfonyl)amino]phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-01-6 CAPLUS

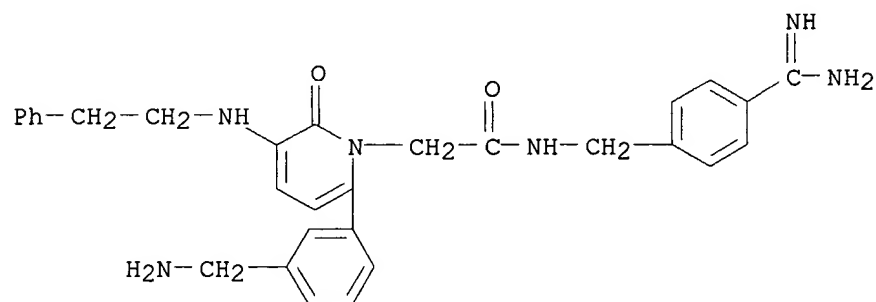
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

09/ 716,962



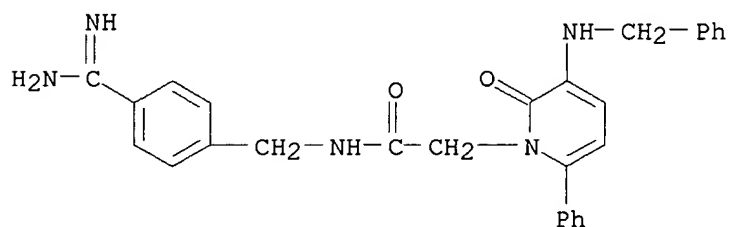
RN 308132-02-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(aminomethyl)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-03-8 CAPLUS

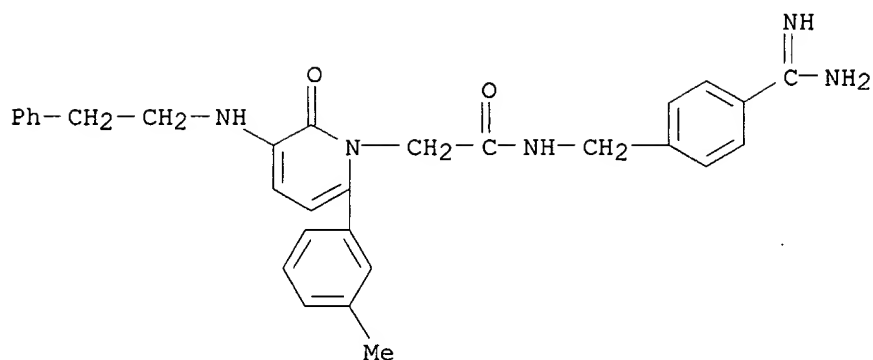
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-6-phenyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-04-9 CAPLUS

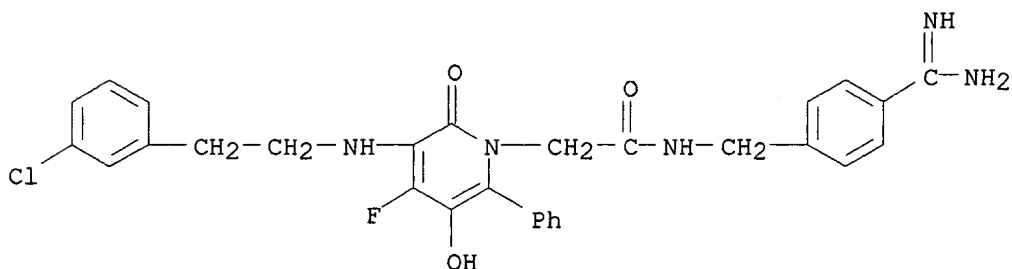
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



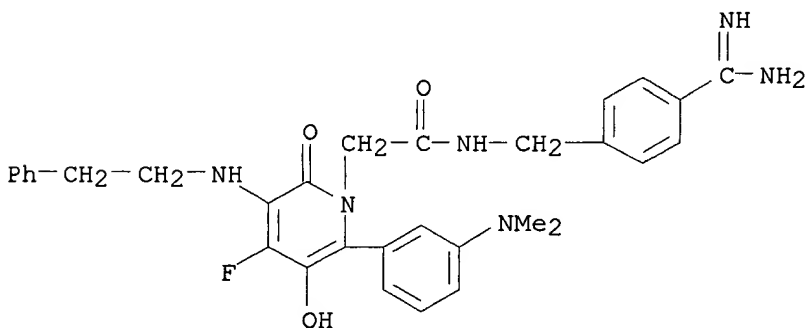
RN 308132-08-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[2-(3-chlorophenyl)ethyl]amino]-4-fluoro-5-hydroxy-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 308132-10-7 CAPLUS

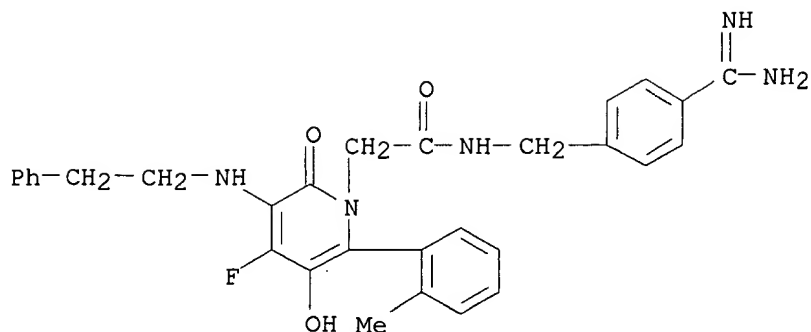
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(dimethylamino)phenyl]-4-fluoro-5-hydroxy-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-11-8 CAPLUS

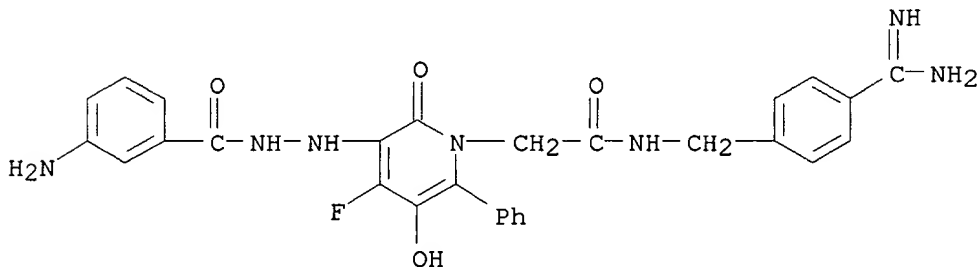
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-fluoro-5-hydroxy-6-(2-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



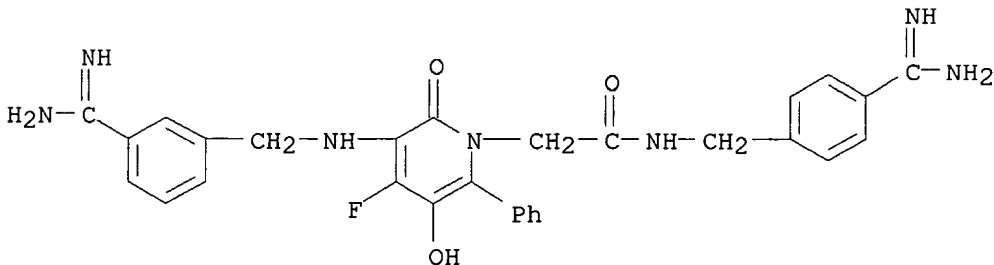
RN 308132-12-9 CAPLUS

CN Benzoic acid, 3-amino-, 2-[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4-fluoro-1,2-dihydro-5-hydroxy-2-oxo-6-phenyl-3-pyridinyl]hydrazide (9CI) (CA INDEX NAME)



RN 308132-13-0 CAPLUS

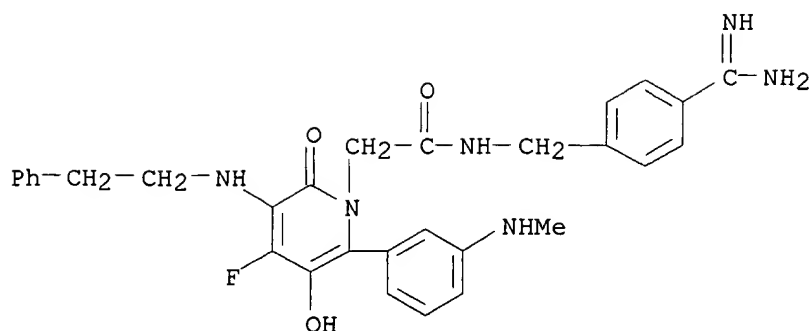
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[3-(aminoiminomethyl)phenyl]methyl]amino]-4-fluoro-5-hydroxy-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 308132-14-1 CAPLUS

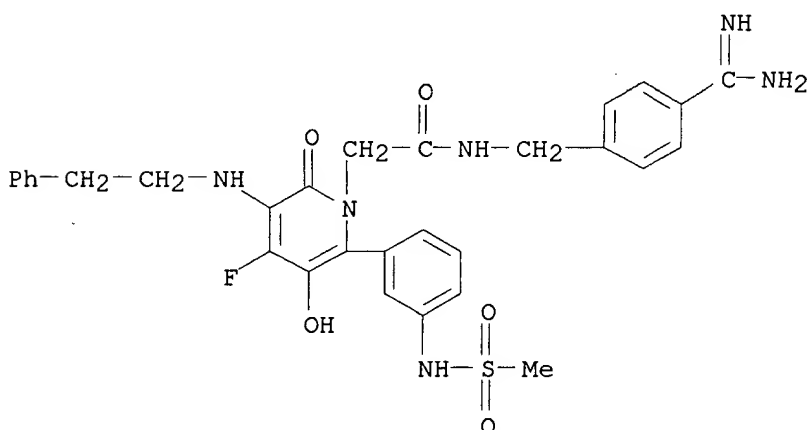
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-fluoro-5-hydroxy-6-[3-(methylanino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



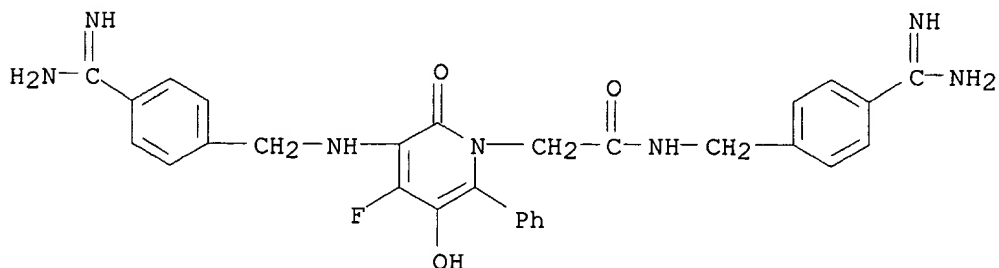
RN 308132-15-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-fluoro-5-hydroxy-6-[3-[(methylsulfonyl)amino]phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-16-3 CAPLUS

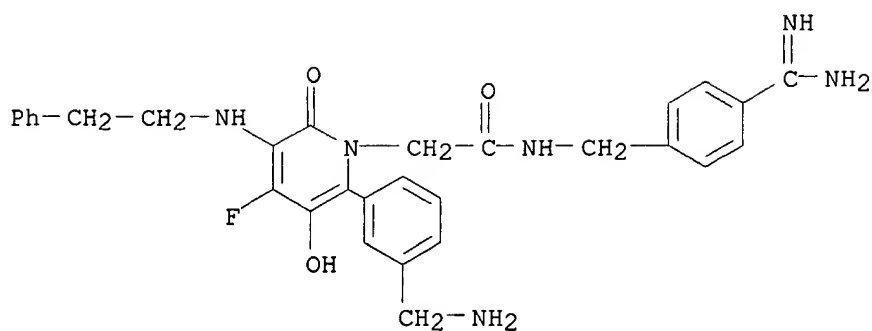
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-4-fluoro-5-hydroxy-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 308132-17-4 CAPLUS

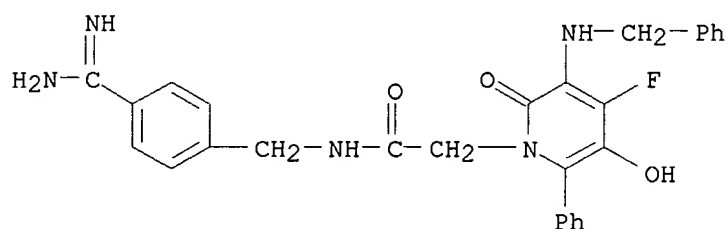
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(aminomethyl)phenyl]-4-fluoro-5-hydroxy-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



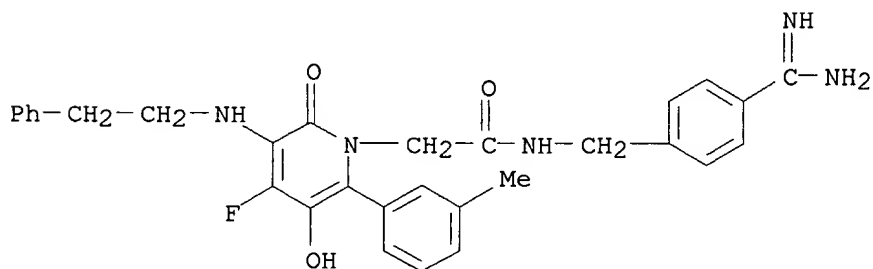
RN 308132-18-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-fluoro-5-hydroxy-2-oxo-6-phenyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-19-6 CAPLUS

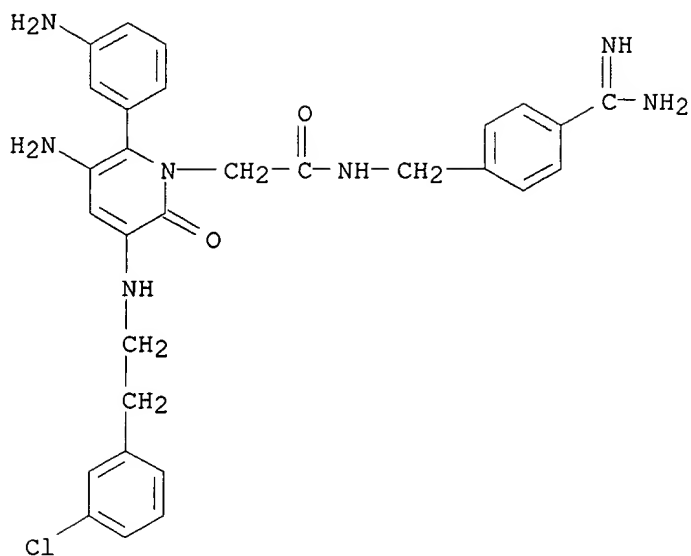
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-fluoro-5-hydroxy-6-(3-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-20-9 CAPLUS

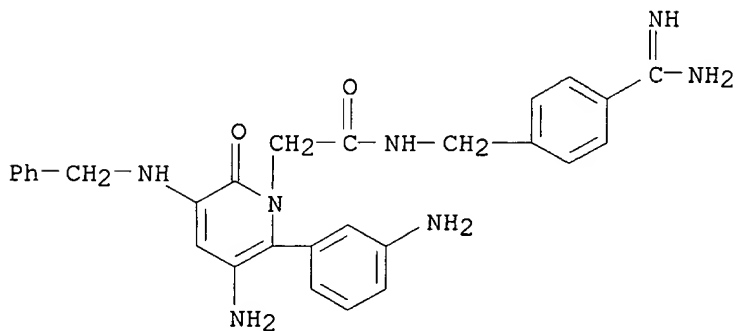
CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



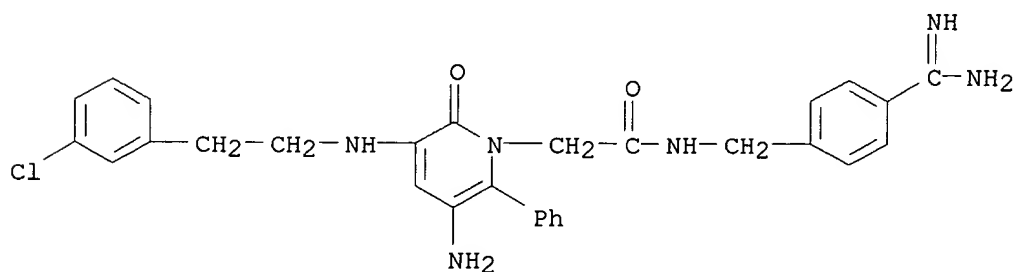
RN 308132-21-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-22-1 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



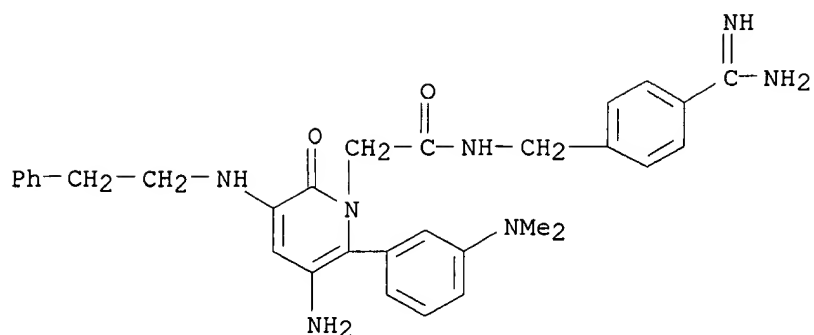
RN 308132-23-2 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[[3-(dimethylamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



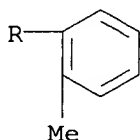
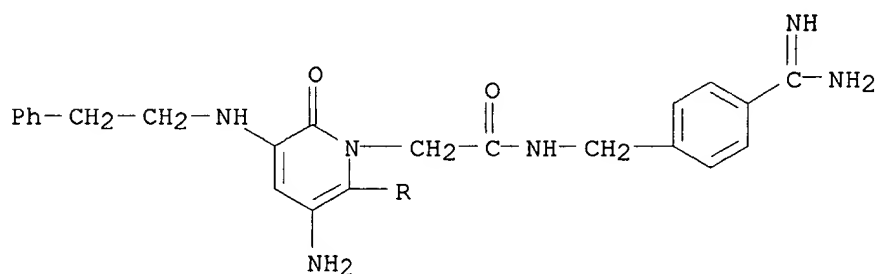
09/ 716,962

NAME)



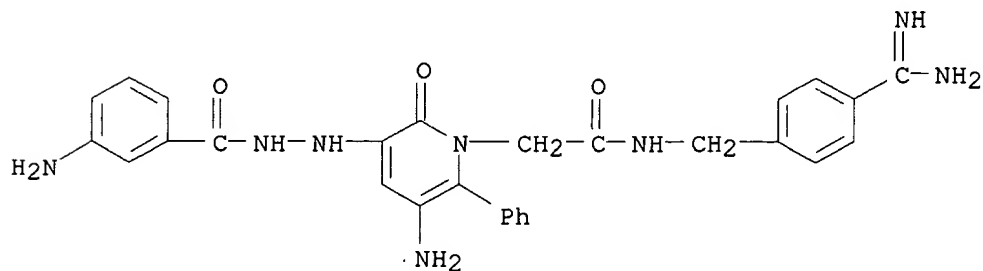
RN 308132-24-3 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(2-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-25-4 CAPLUS

CN Benzoic acid, 3-amino-, 2-[5-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-6-phenyl-3-pyridinyl]hydrazide (9CI) (CA INDEX NAME)

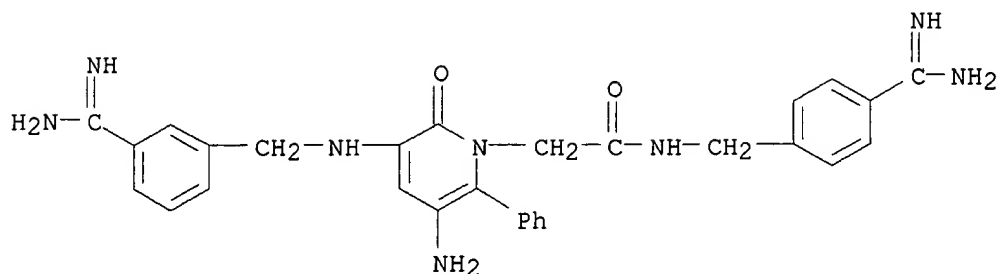


RN 308132-26-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-

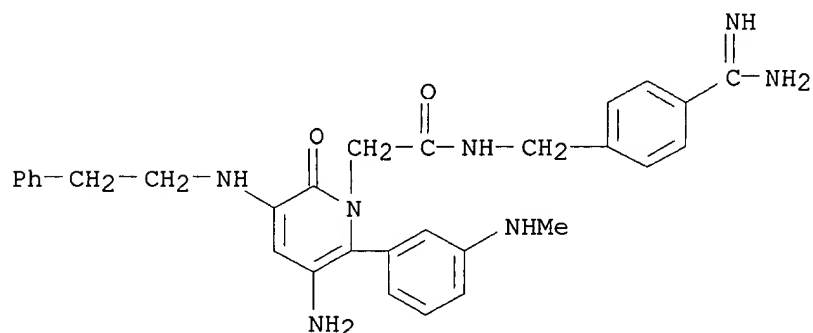
09/ 716,962

[[[3-(aminoiminomethyl)phenyl]methyl]amino]-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



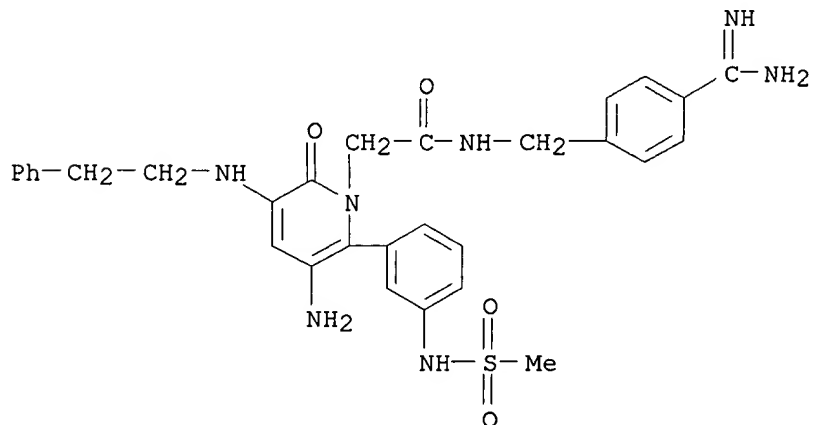
RN 308132-27-6 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(methylamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-28-7 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-[(methylsulfonyl)amino]phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

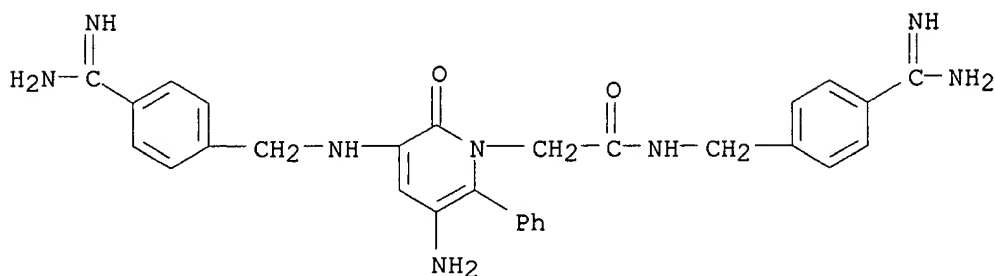


RN 308132-29-8 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-

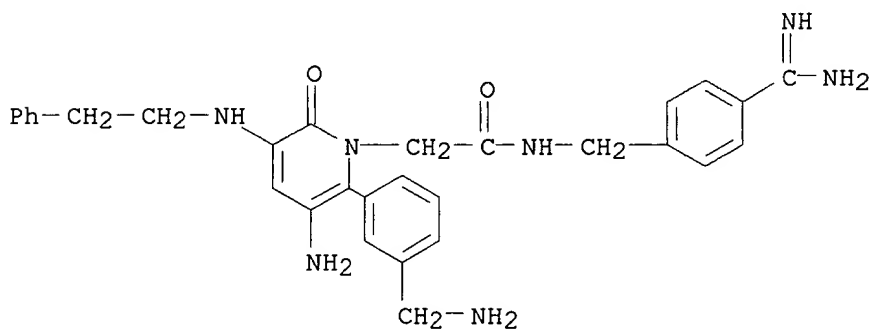
09/ 716,962

[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxo-6-phenyl- (9CI). (CA INDEX NAME)



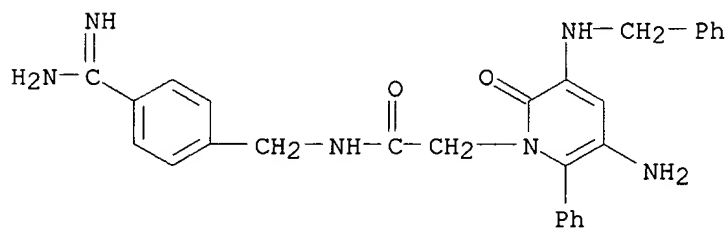
RN 308132-30-1 CAPLUS

CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-[3-(aminomethyl)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-31-2 CAPLUS

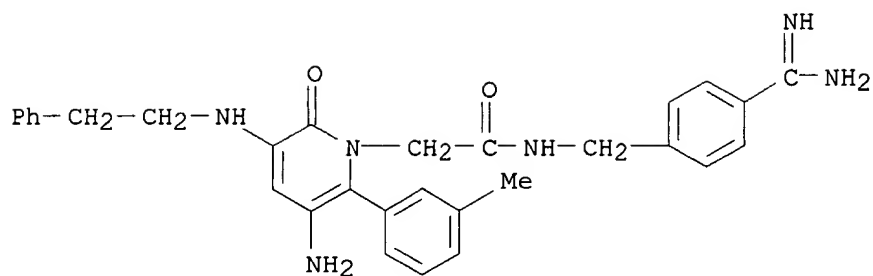
CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-6-phenyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-32-3 CAPLUS

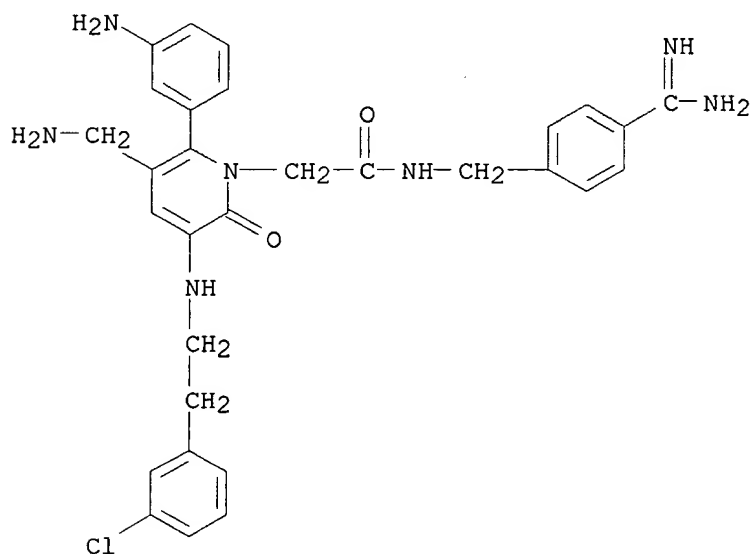
CN 1(2H)-Pyridineacetamide, 5-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

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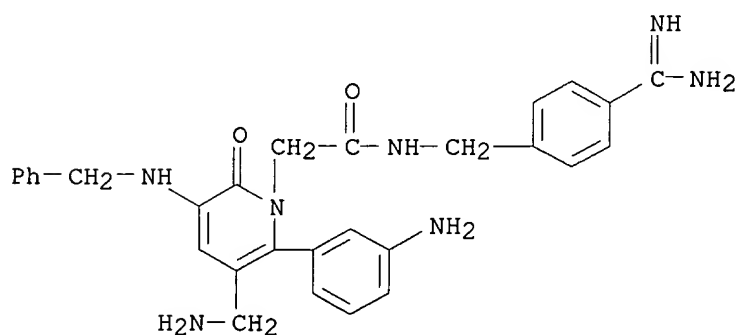
RN 308132-33-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-34-5 CAPLUS

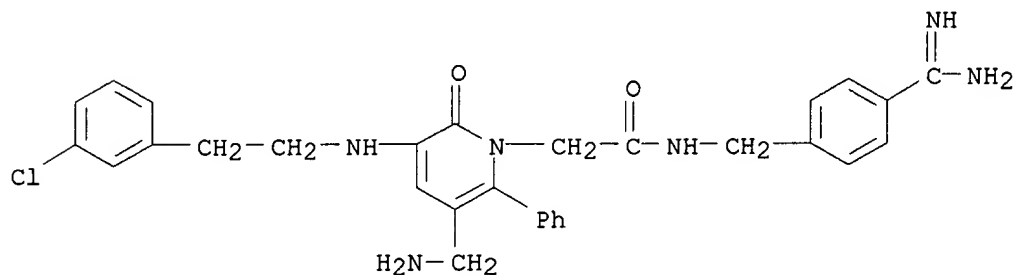
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-35-6 CAPLUS

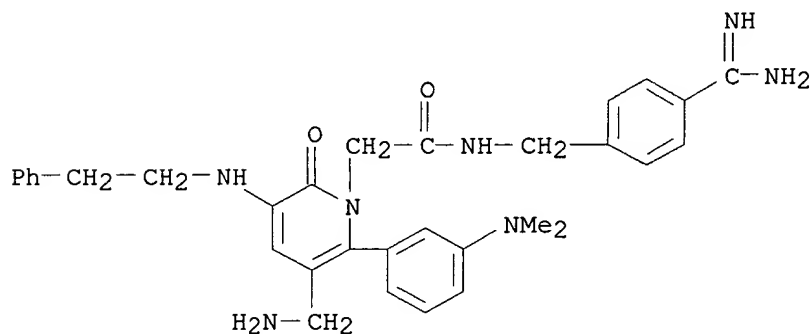
09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-[[2-(3-chlorophenyl)ethyl]amino]-2-oxo-6-phenyl- (9CI)  
(CA INDEX NAME)



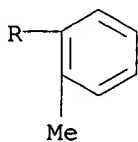
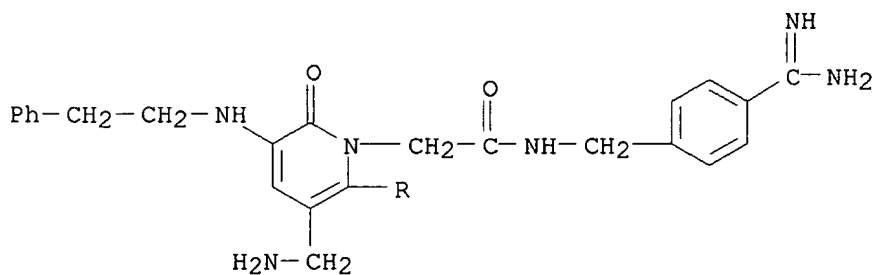
RN 308132-36-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-[3-(dimethylamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-37-8 CAPLUS

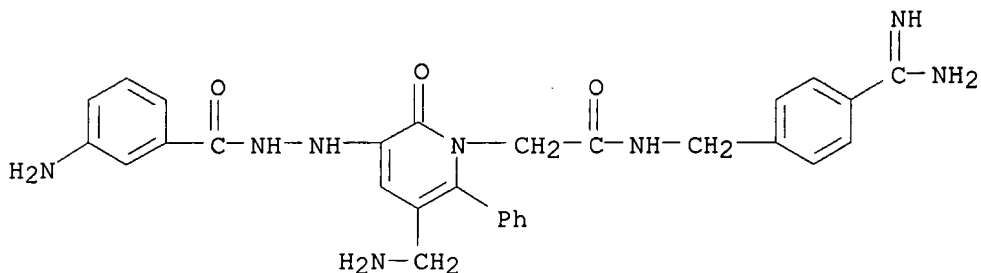
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(2-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI)  
(CA INDEX NAME)



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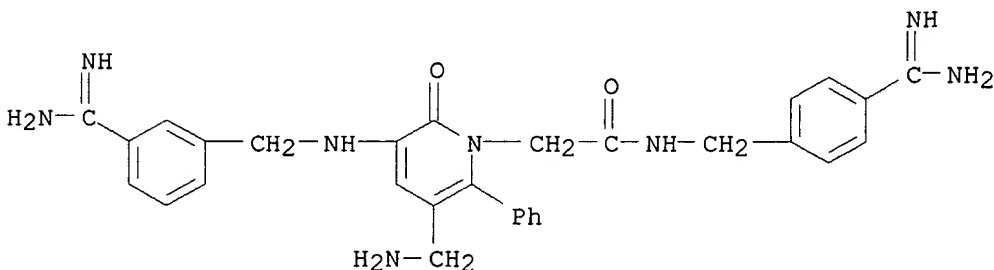
RN 308132-38-9 CAPLUS

CN Benzoic acid, 3-amino-, 2-[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-5-(aminomethyl)-1,2-dihydro-2-oxo-6-phenyl-3-pyridinyl]hydrazide (9CI) (CA INDEX NAME)



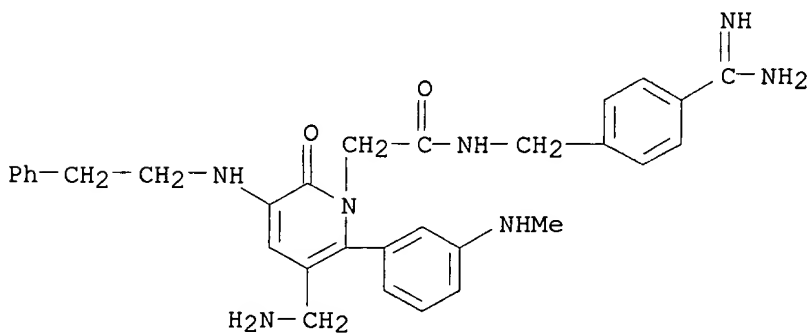
RN 308132-39-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[3-(aminoiminomethyl)phenyl]methyl]amino]-5-(aminomethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 308132-40-3 CAPLUS

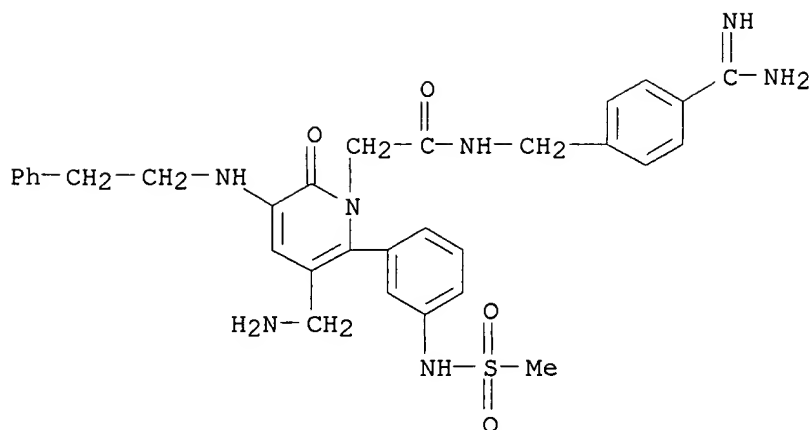
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-[3-(methalamino)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-41-4 CAPLUS

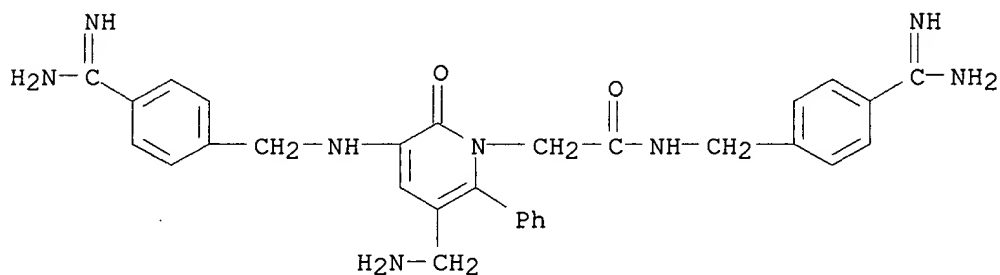
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-[3-[(methylsulfonyl)amino]phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



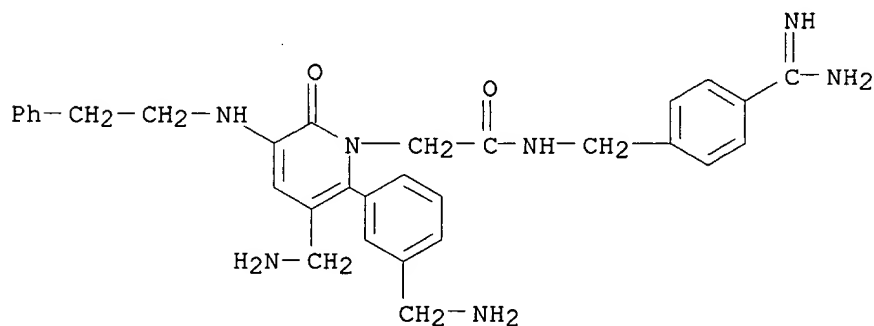
RN 308132-42-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-5-(aminomethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 308132-43-6 CAPLUS

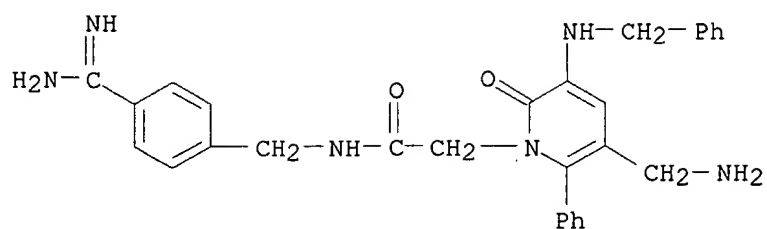
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-[3-(aminomethyl)phenyl]-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308132-44-7 CAPLUS

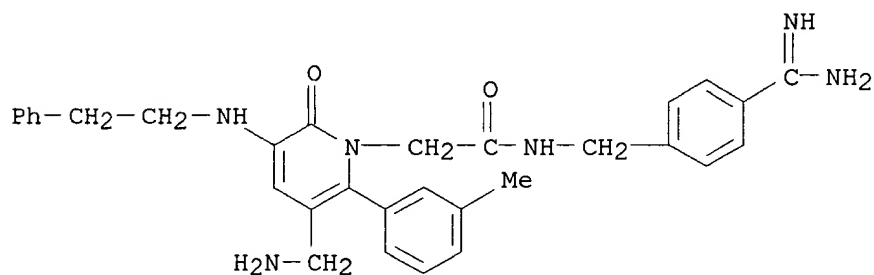
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-2-oxo-6-phenyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



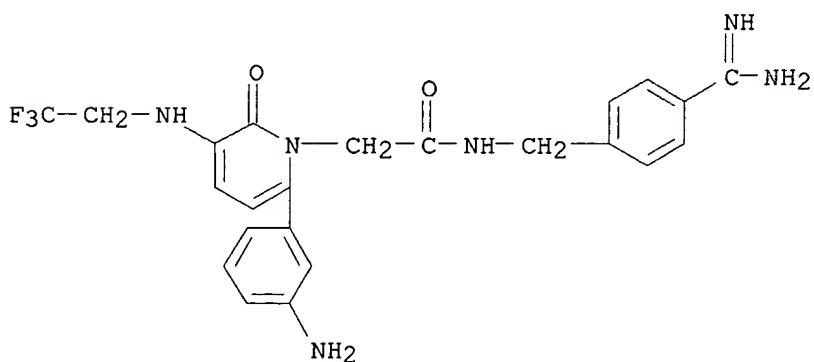
RN 308132-45-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-methylphenyl)-2-oxo-3-[(2-phenylethyl)amino]- (9CI)  
(CA INDEX NAME)



RN 308132-46-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-[(2,2,2-trifluoroethyl)amino]- (9CI) (CA INDEX NAME)



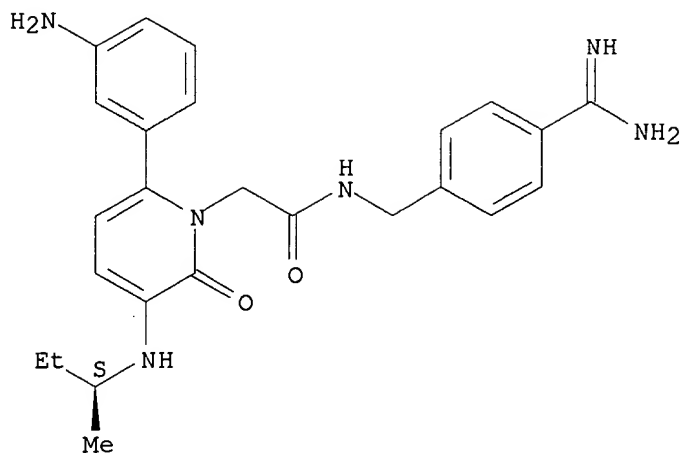
RN 308132-47-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[[[(1S)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

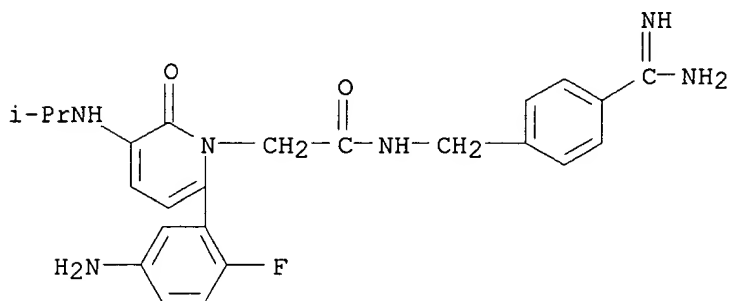


09/ 716,962



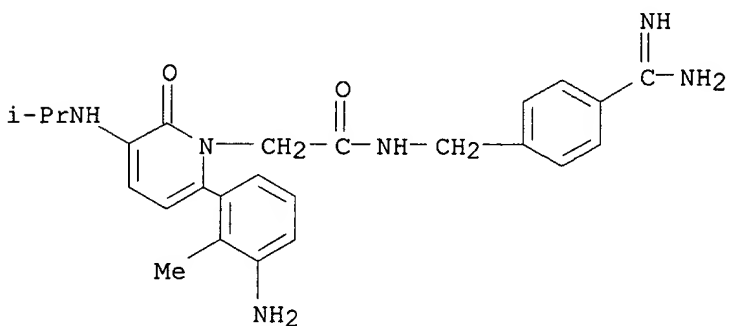
RN 308132-48-1 CAPLUS

CN 1(2H)-Pyridineacetamide, 6-(5-amino-2-fluorophenyl)-N-[[4-(aminomethyl)phenyl]methyl]-3-[(1-methylethyl)amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308132-49-2 CAPLUS

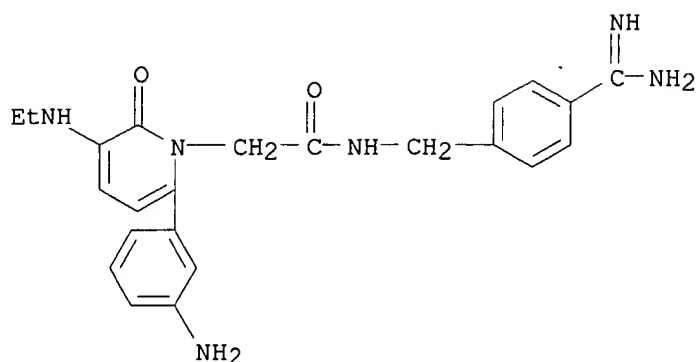
CN 1(2H)-Pyridineacetamide, N-[[4-(aminomethyl)phenyl]methyl]-6-(3-amino-2-methylphenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-50-5 CAPLUS

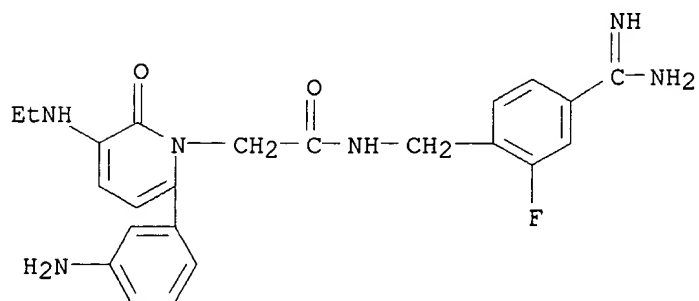
CN 1(2H)-Pyridineacetamide, N-[[4-(aminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(ethylamino)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



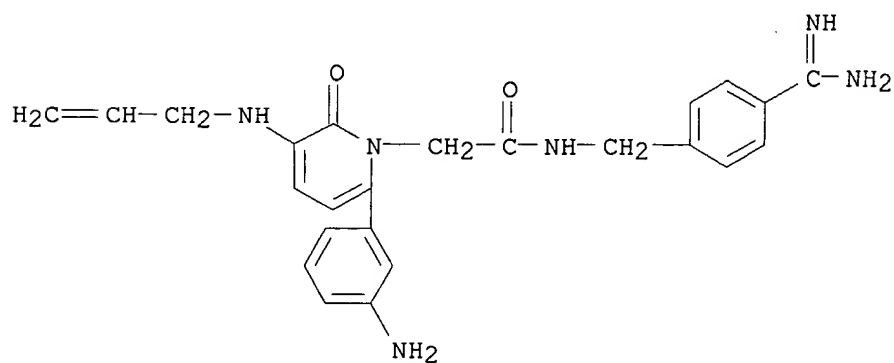
RN 308132-51-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(ethylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-52-7 CAPLUS

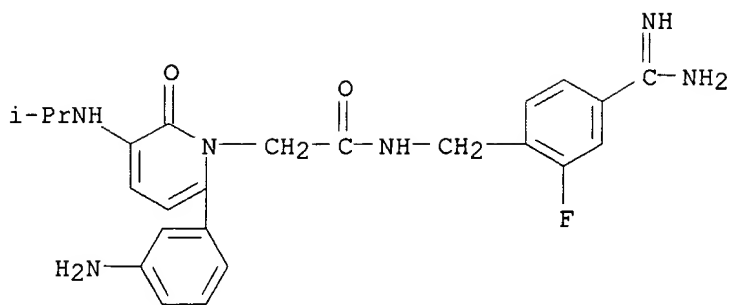
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-(2-propenylamino)- (9CI) (CA INDEX NAME)



RN 308132-53-8 CAPLUS

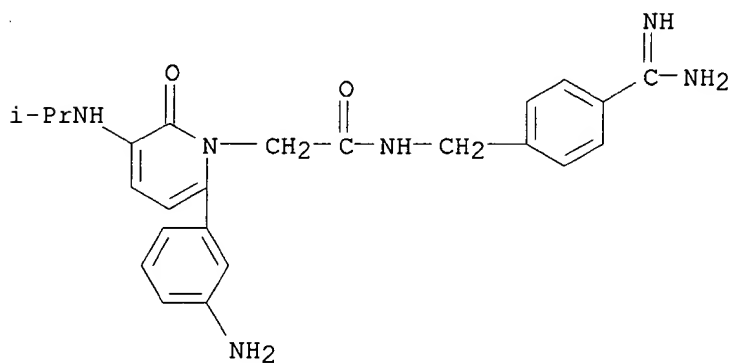
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



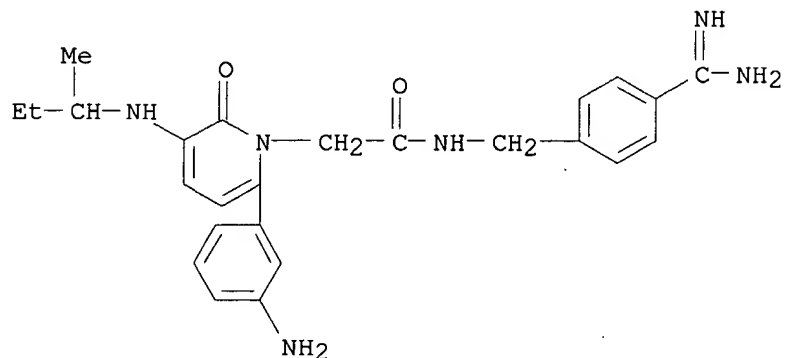
RN 308132-54-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-55-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

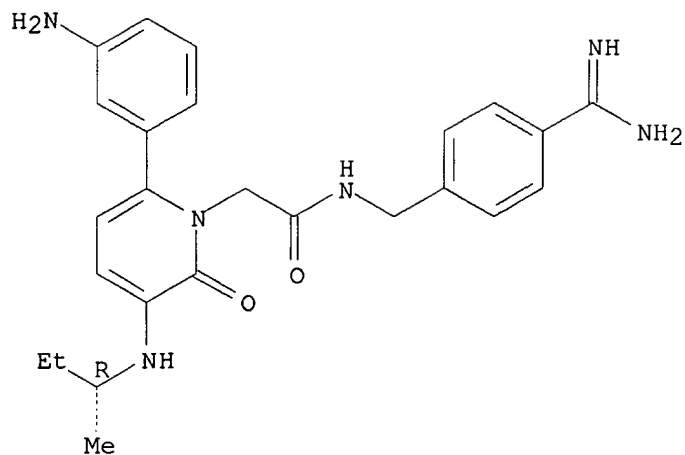


RN 308132-56-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1R)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

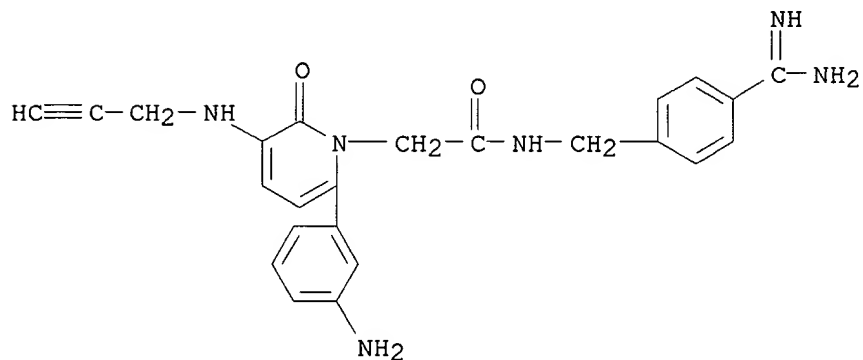
Absolute stereochemistry.

09/ 716,962



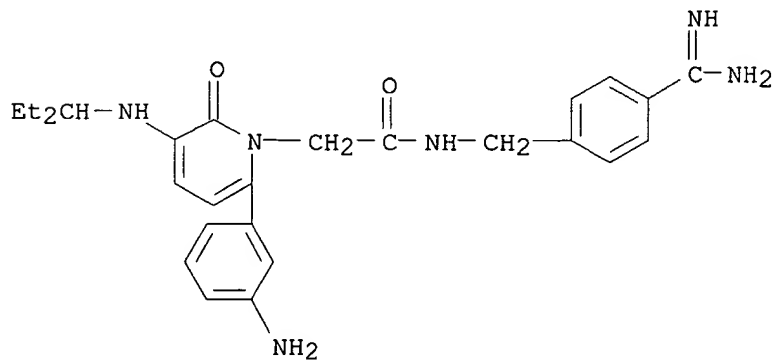
RN 308132-57-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-(2-propynylamino)- (9CI) (CA INDEX NAME)



RN 308132-58-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1-ethylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

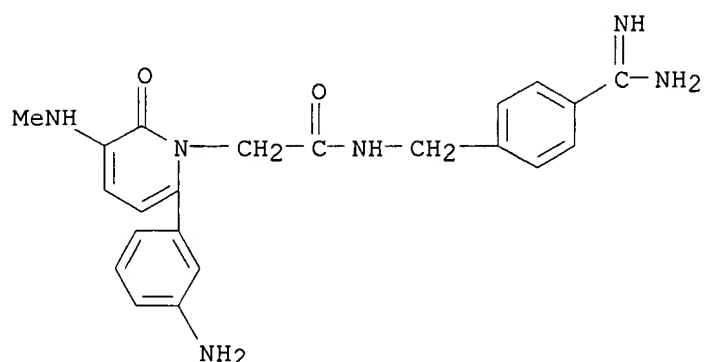


RN 308132-59-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-

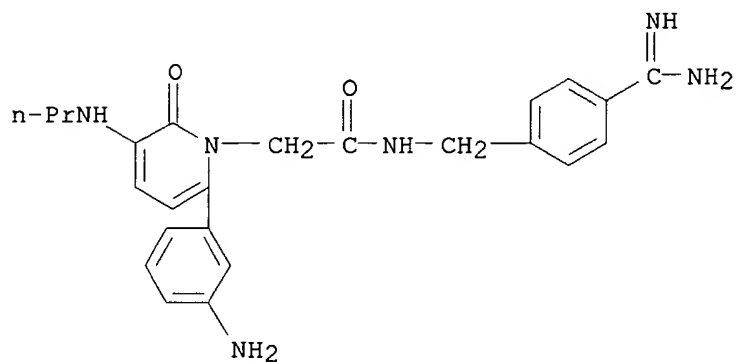
09/ 716,962

aminophenyl)-3-(methylamino)-2-oxo- (9CI) (CA INDEX NAME)



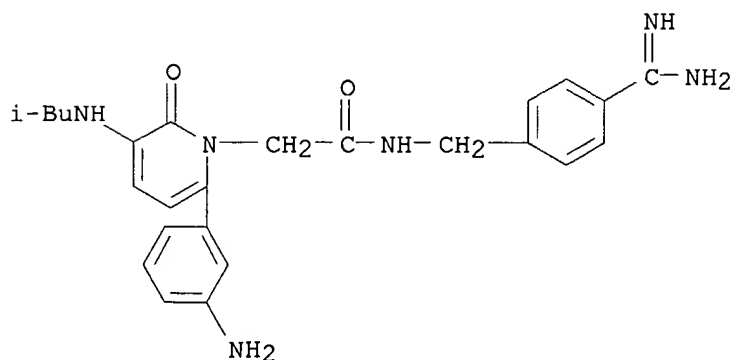
RN 308132-60-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-(propylamino)- (9CI) (CA INDEX NAME)



RN 308132-61-8 CAPLUS

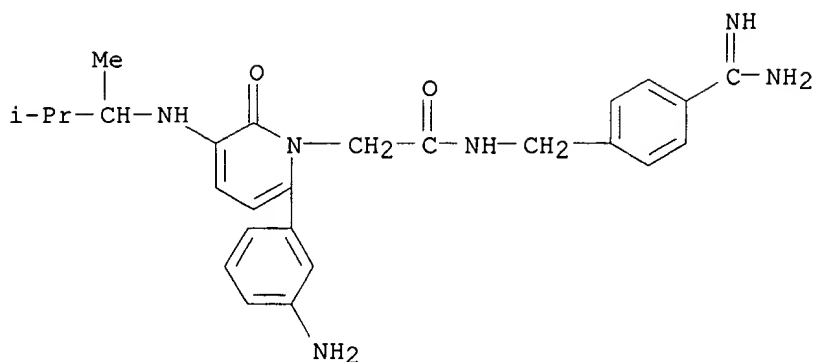
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-62-9 CAPLUS

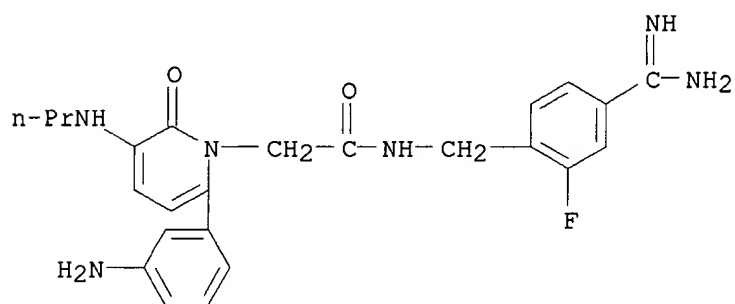
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1,2-dimethylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



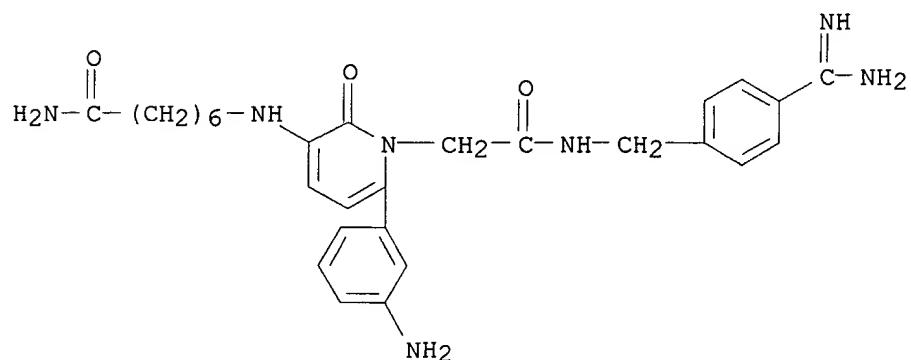
RN 308132-63-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-2-oxo-3-(propylamino)- (9CI) (CA INDEX NAME)



RN 308132-64-1 CAPLUS

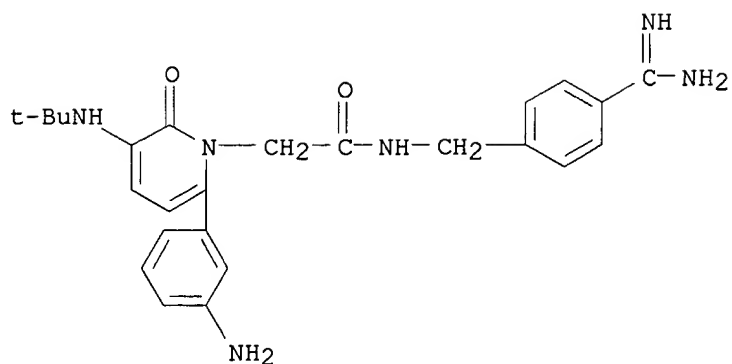
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[(7-amino-7-oxoheptyl)amino]-6-(3-aminophenyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-65-2 CAPLUS

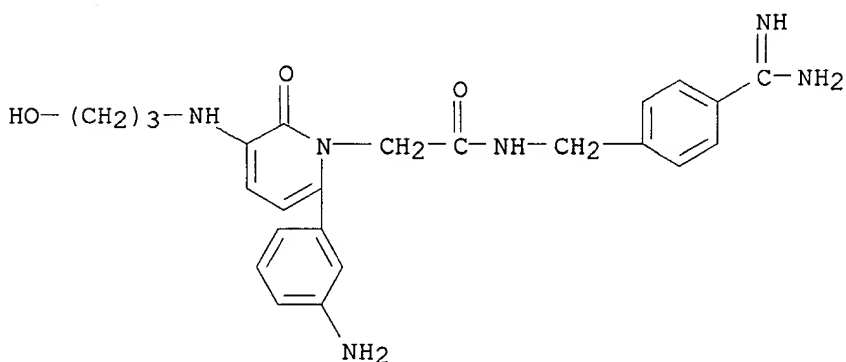
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1,1-dimethylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



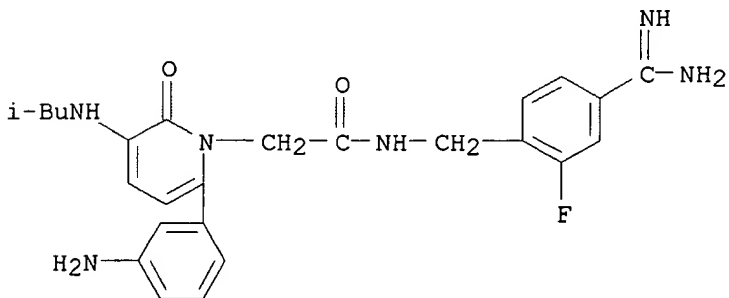
RN 308132-66-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(3-hydroxypropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-67-4 CAPLUS

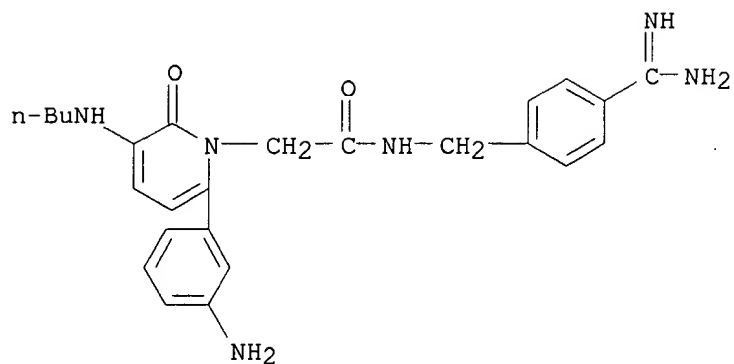
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-[(2-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-68-5 CAPLUS

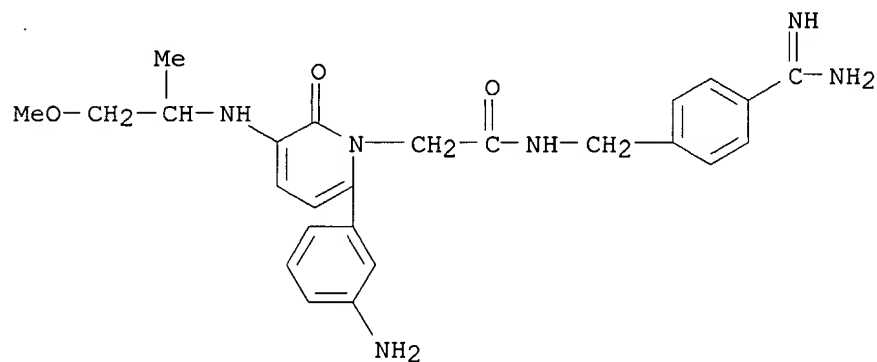
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(butylamino)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



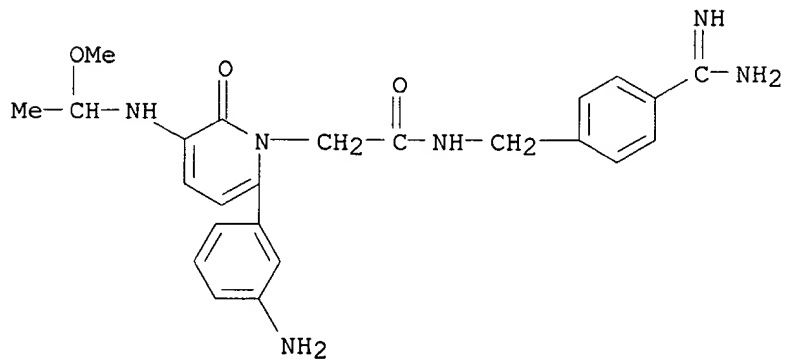
RN 308132-69-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2-methoxy-1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-70-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(1-methoxyethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

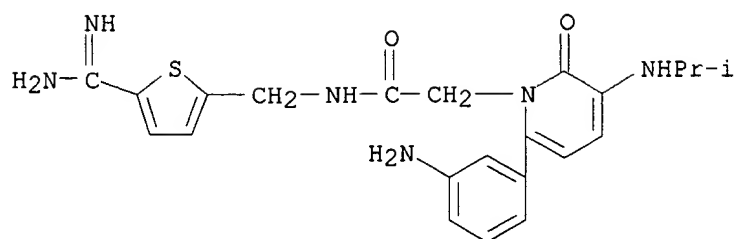


RN 308132-71-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[5-(aminoiminomethyl)-2-thienyl]methyl]-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

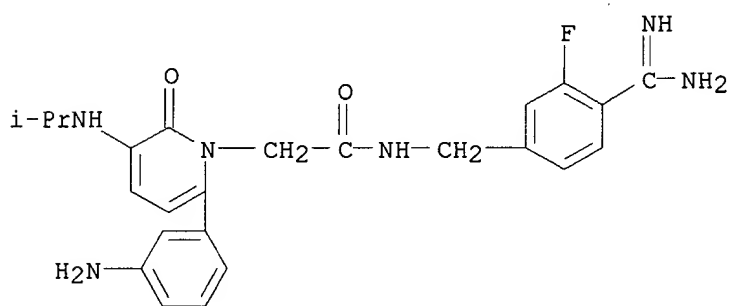


09/ 716,962



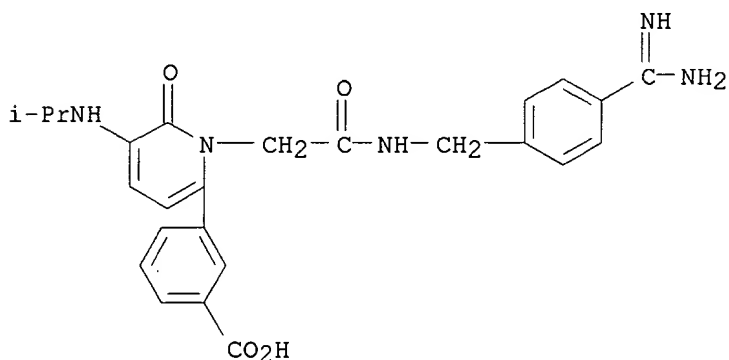
RN 308132-72-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-73-2 CAPLUS

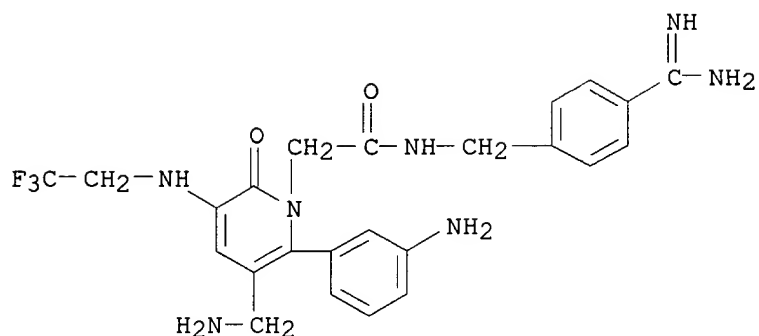
CN Benzoic acid, 3-[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,6-dihydro-5-[(1-methylethyl)amino]-6-oxo-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 308132-74-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-[(2,2,2-trifluoroethyl)amino]- (9CI) (CA INDEX NAME)

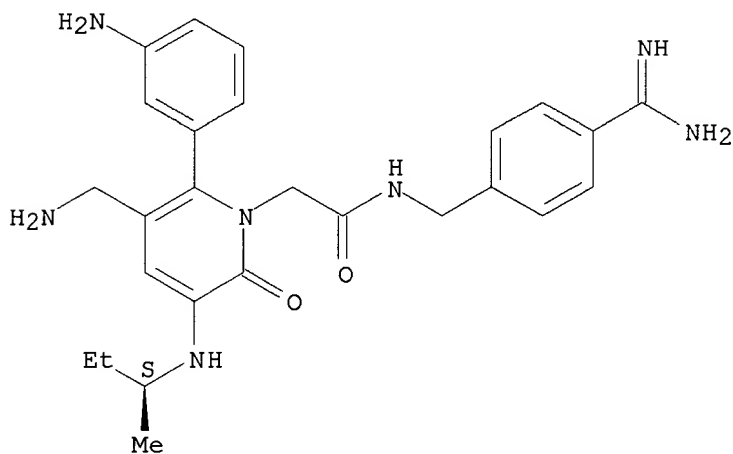
09/ 716,962



RN 308132-75-4 CAPLUS

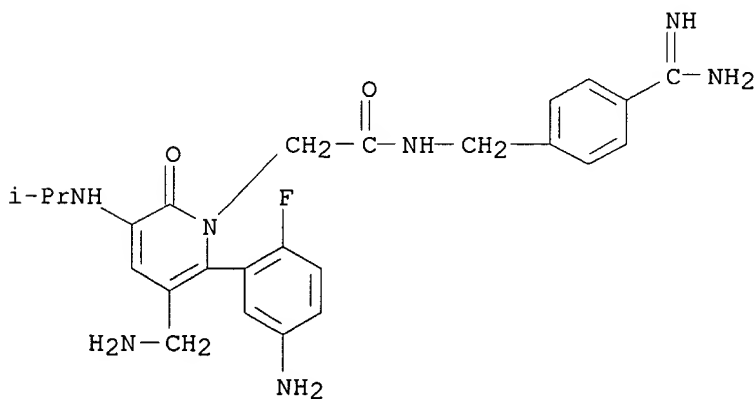
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[[ (1S)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308132-76-5 CAPLUS

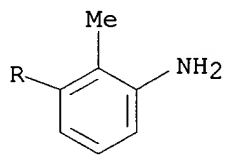
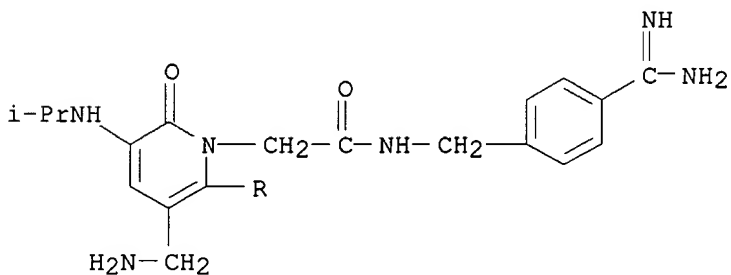
CN 1(2H)-Pyridineacetamide, 6-(5-amino-2-fluorophenyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-[[ (1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

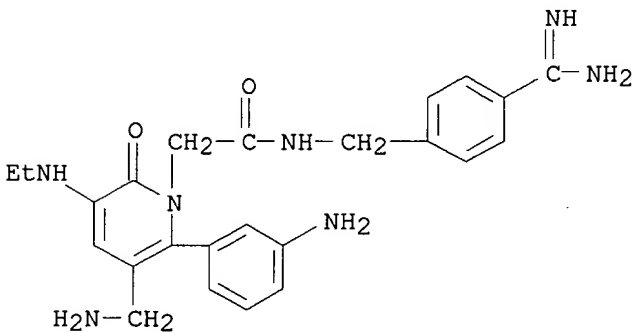
RN 308132-77-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-amino-2-methylphenyl)-3-[(1-methylethyl)amino]-2-oxo-(9CI) (CA INDEX NAME)



RN 308132-78-7 CAPLUS

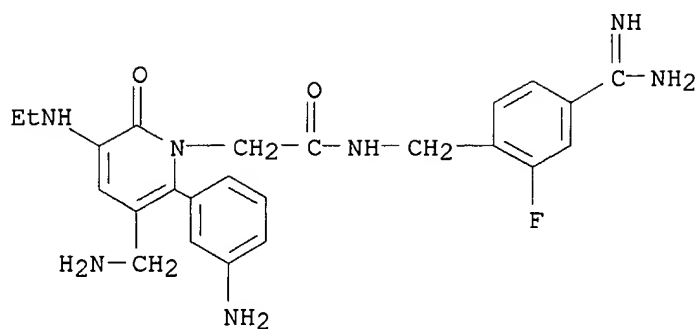
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(ethylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-79-8 CAPLUS

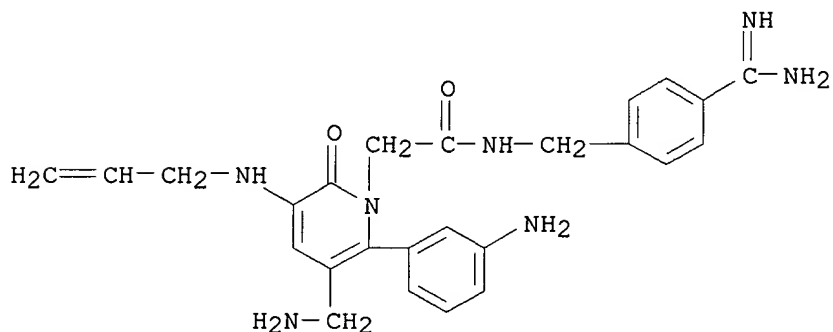
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(ethylamino)-2-oxo- (9CI) (CA INDEX NAME)

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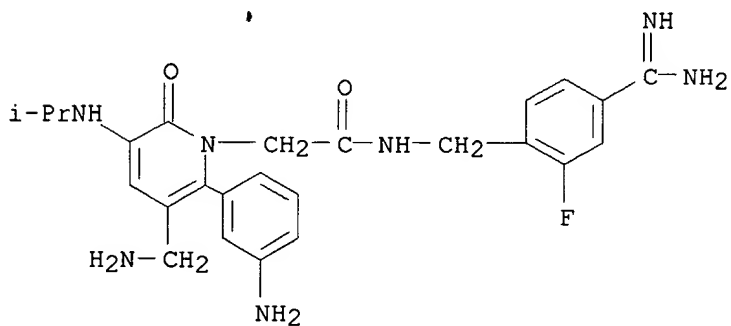
RN 308132-80-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-(2-propenylamino)- (9CI) (CA INDEX NAME)



RN 308132-81-2 CAPLUS

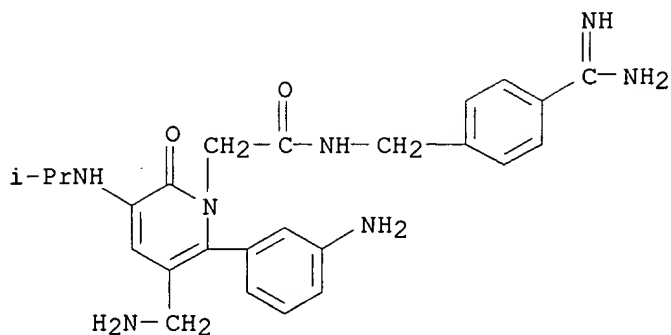
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-82-3 CAPLUS

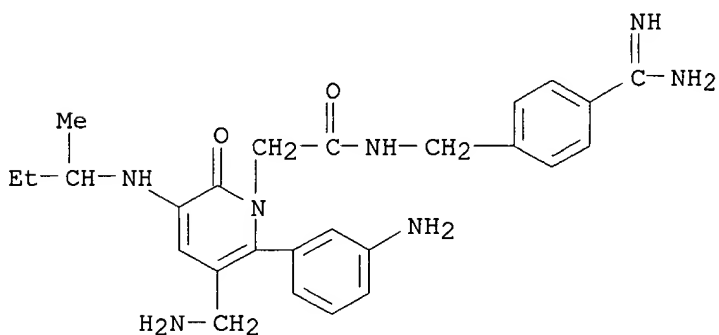
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308132-83-4 CAPLUS

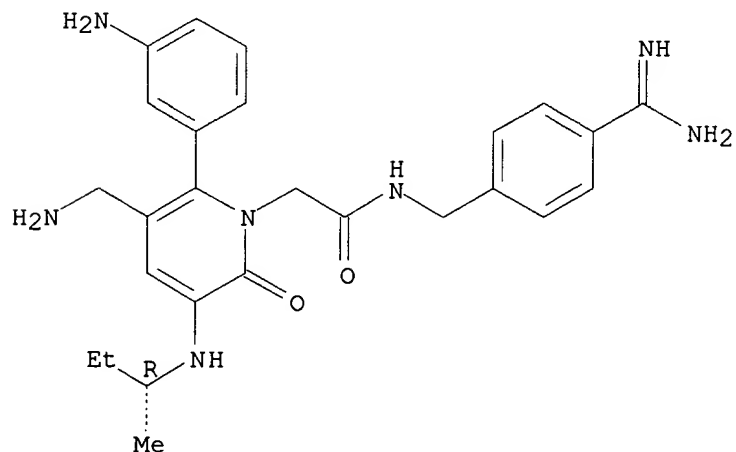
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methylpropyl)amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308132-84-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[[ (1R)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

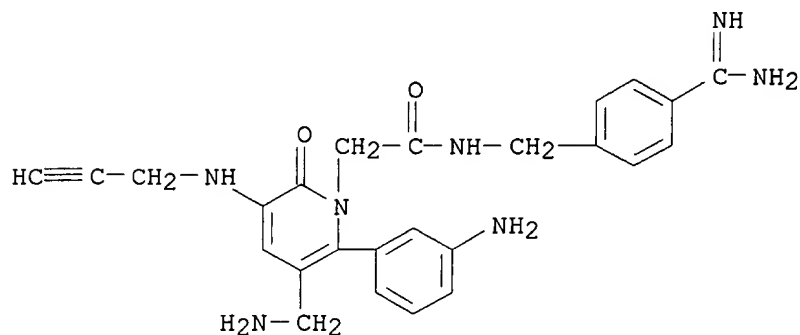
Absolute stereochemistry.



RN 308132-85-6 CAPLUS

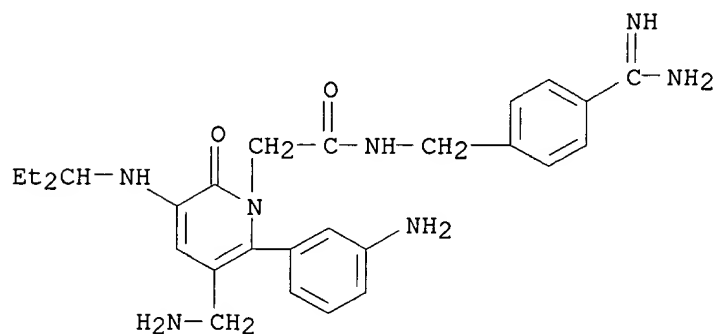
09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-(2-propynylamino)- (9CI) (CA INDEX NAME)



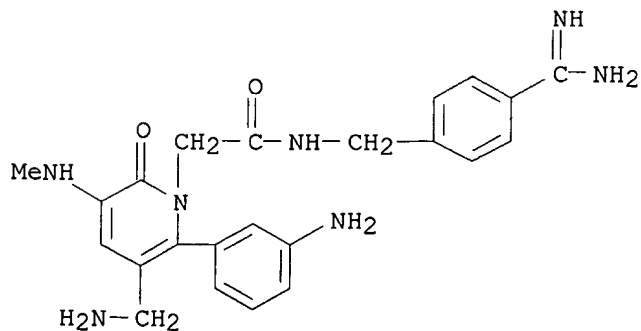
RN 308132-86-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-ethylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-87-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(methylamino)-2-oxo- (9CI) (CA INDEX NAME)

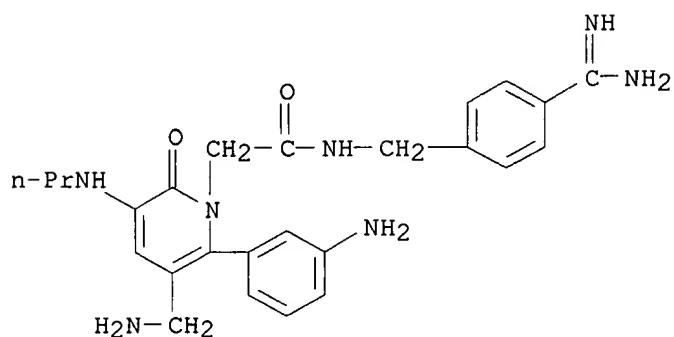


RN 308132-88-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-

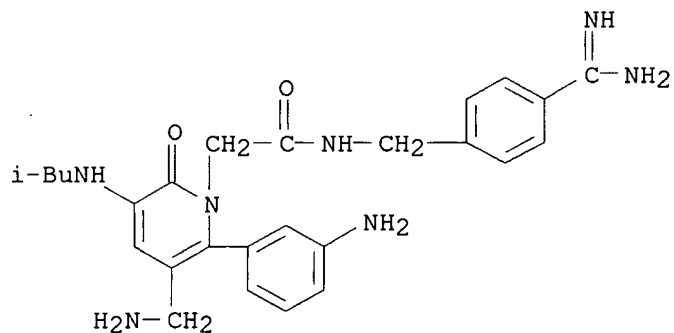
09/ 716,962

(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-(propylamino)- (9CI) (CA INDEX NAME)



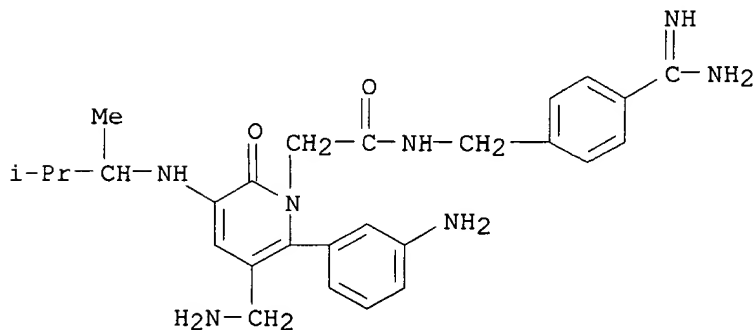
RN 308132-89-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(2-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-90-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1,2-dimethylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

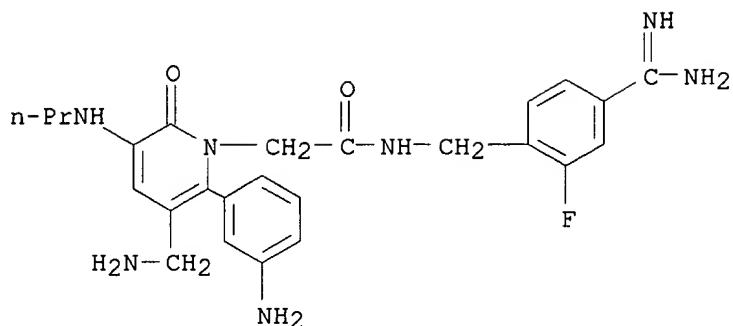


RN 308132-91-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-2-oxo-3-(propylamino)- (9CI) (CA INDEX NAME)

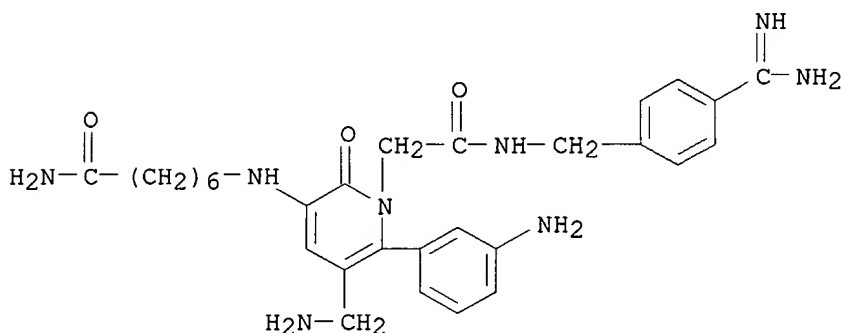
09/ 716,962

NAME)



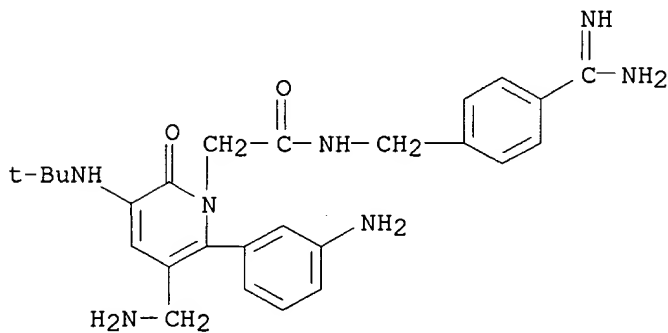
RN 308132-93-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-[(7-amino-7-oxoheptyl)amino]-6-(3-aminophenyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-94-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1,1-dimethylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

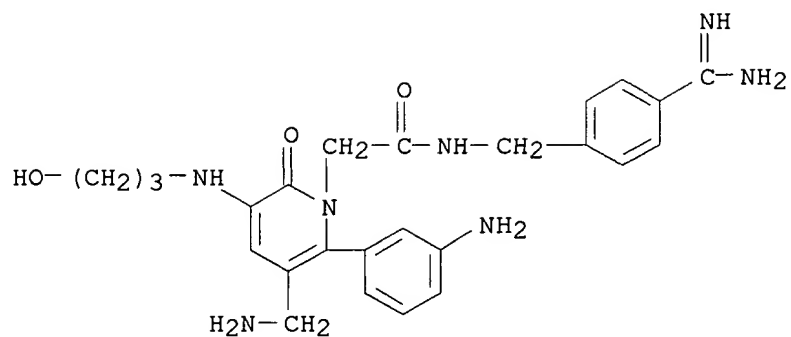


RN 308132-95-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(3-hydroxypropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

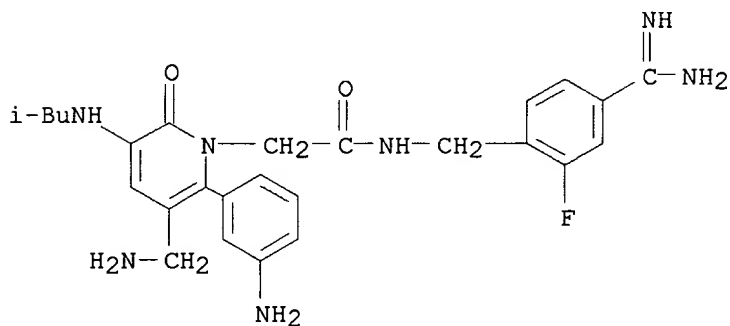


09/ 716,962



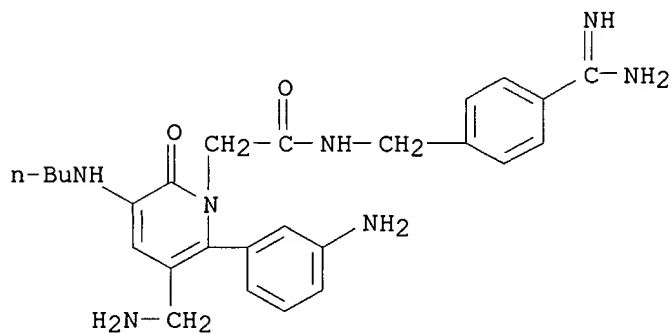
RN 308132-96-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(2-methylpropyl)amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308132-98-1 CAPLUS

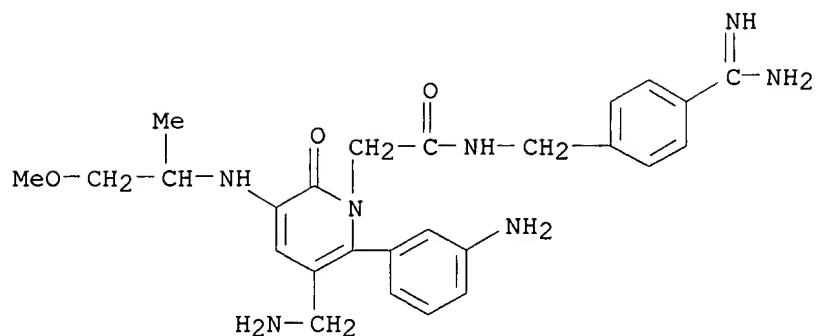
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(butylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308132-99-2 CAPLUS

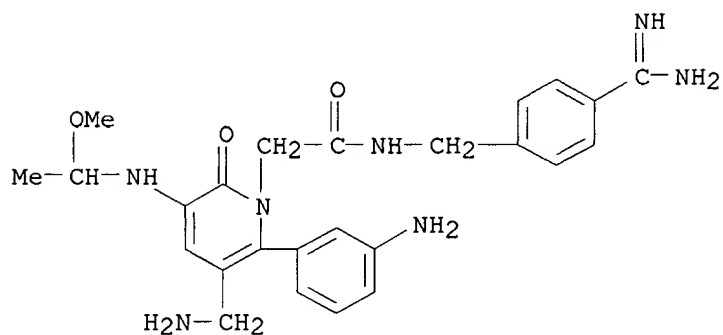
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(2-methoxy-1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



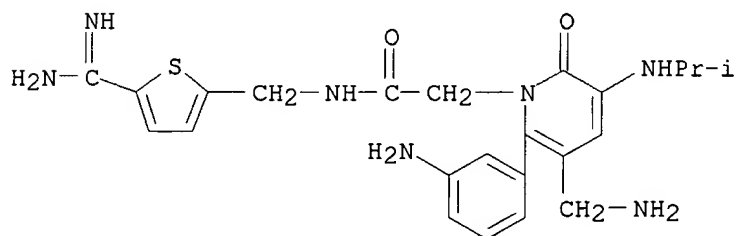
RN 308133-00-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methoxyethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-01-9 CAPLUS

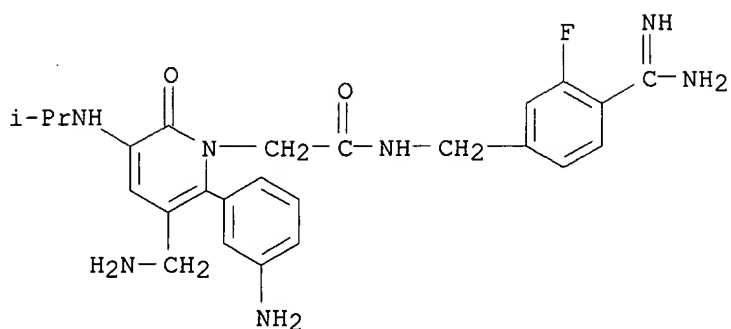
CN 1(2H)-Pyridineacetamide, N-[[5-(aminoiminomethyl)-2-thienyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-03-1 CAPLUS

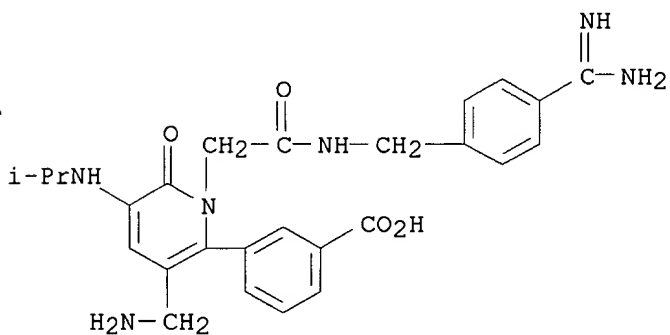
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



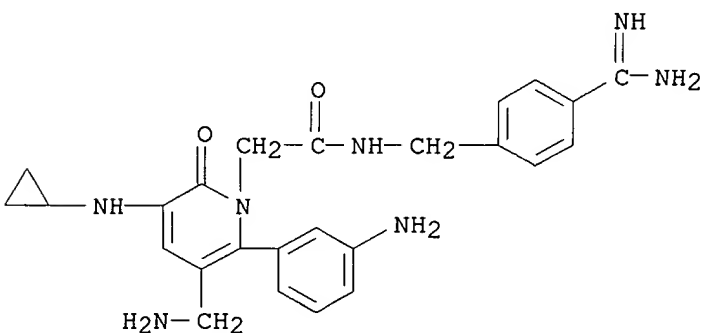
RN 308133-04-2 CAPLUS

CN Benzoic acid, 3-[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-(aminomethyl)-1,6-dihydro-5-[(1-methylethyl)amino]-6-oxo-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 308133-05-3 CAPLUS

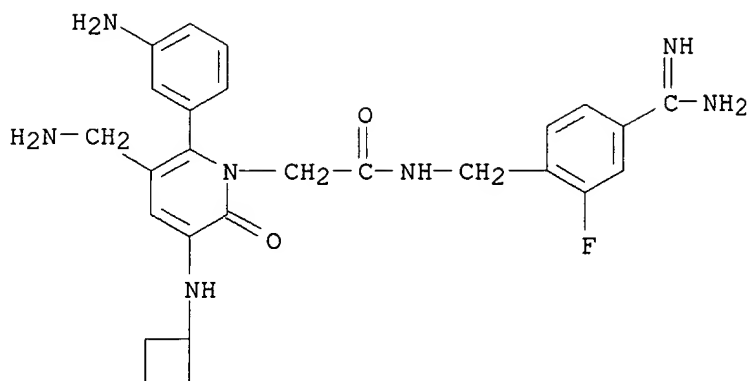
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclopropylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-06-4 CAPLUS

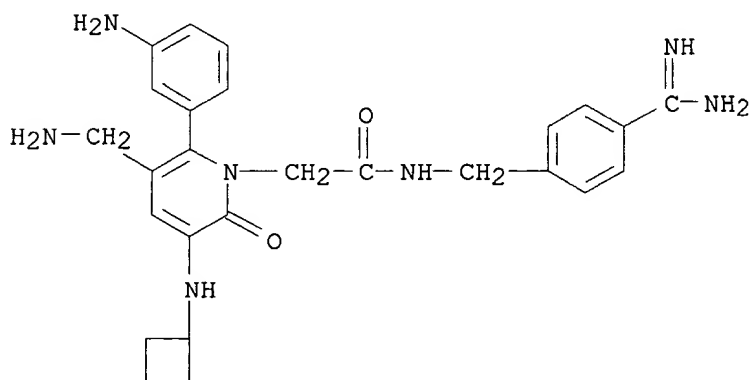
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclobutylamino)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



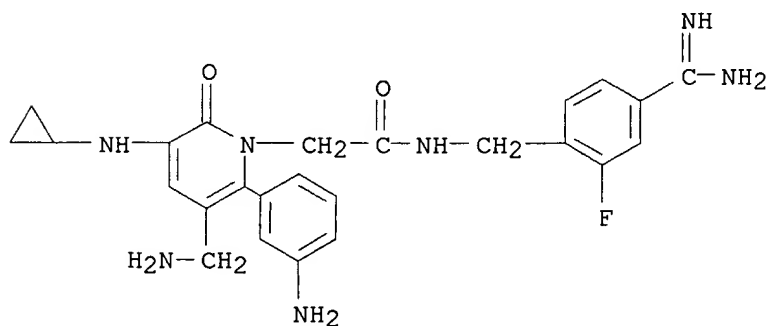
RN 308133-08-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclobutylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-09-7 CAPLUS

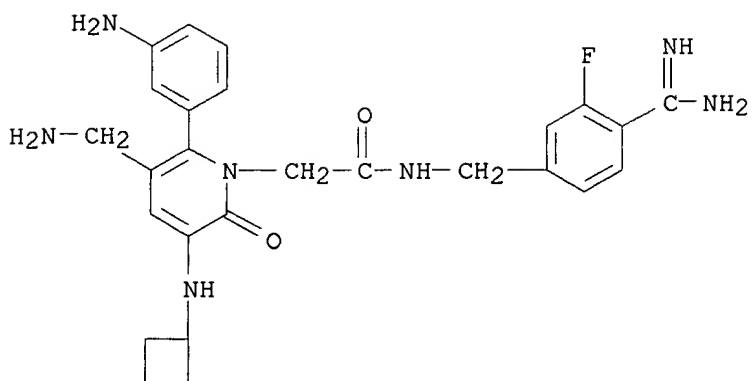
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclopropylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-10-0 CAPLUS

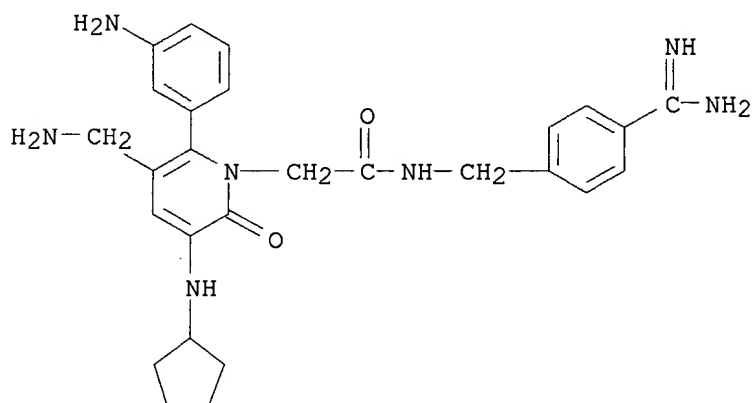
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclobutylamino)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



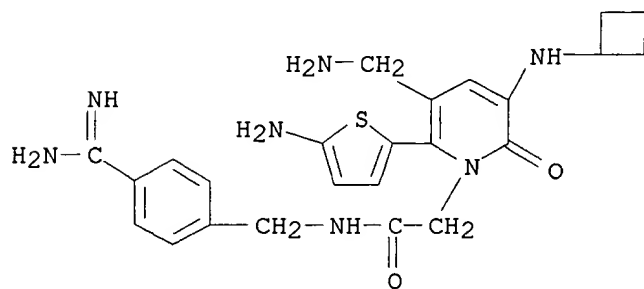
RN 308133-11-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclopentylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-13-3 CAPLUS

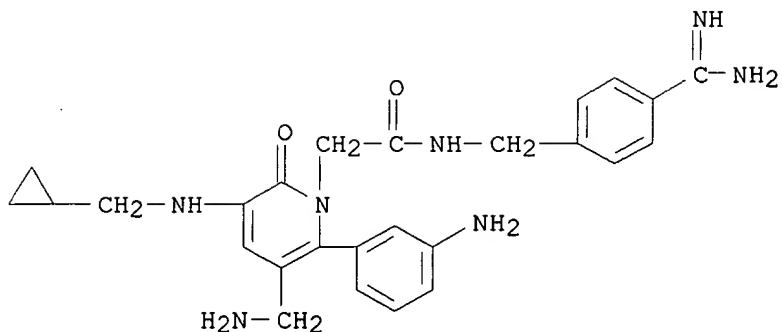
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(5-amino-2-thienyl)-3-(cyclobutylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-14-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(cyclopropylmethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

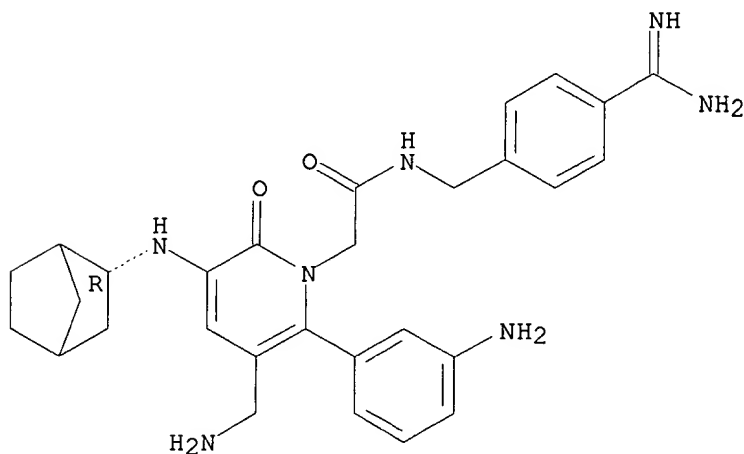
09/ 716,962



RN 308133-15-5 CAPLUS

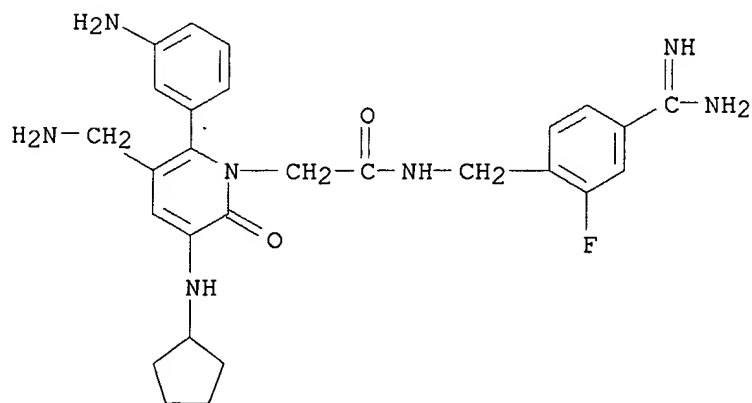
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(2R)-bicyclo[2.2.1]hept-2-ylamino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308133-17-7 CAPLUS

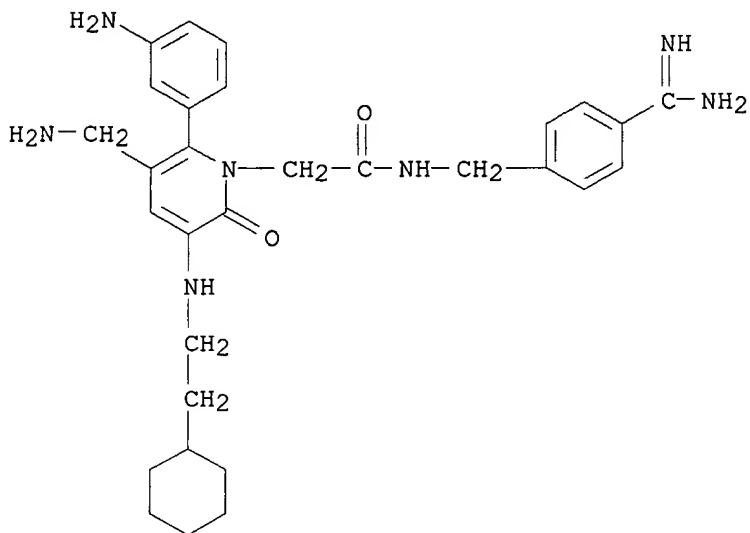
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-(cyclopentylamino)-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

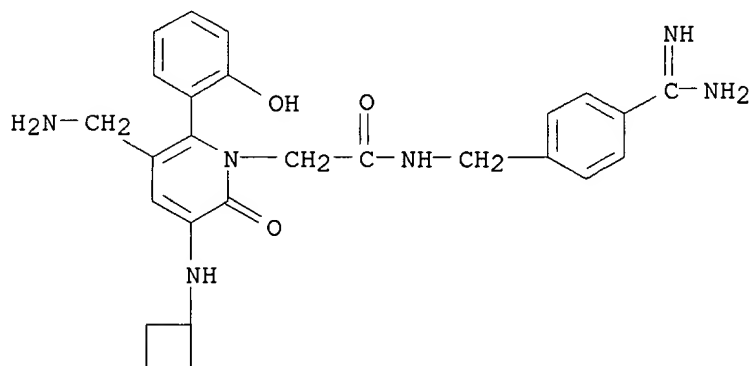
RN 308133-19-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-6-(3-aminophenyl)-3-[(2-cyclohexylethyl)amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-21-3 CAPLUS

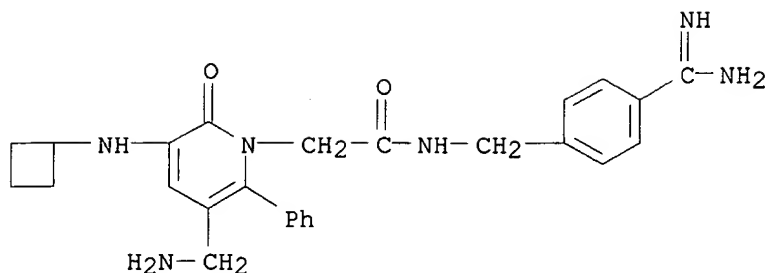
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-(cyclobutylamino)-6-(2-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-22-4 CAPLUS

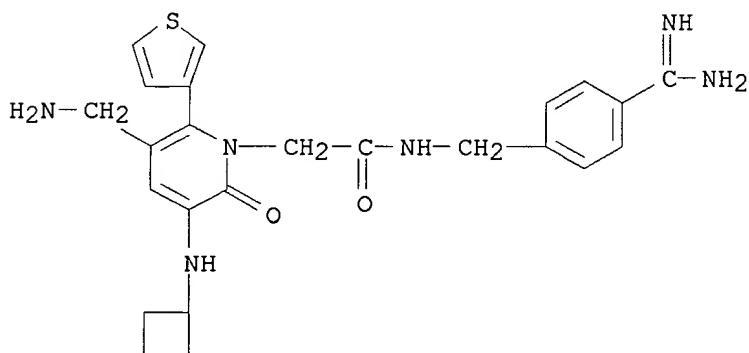
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-(cyclobutylamino)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

09/ 716,962



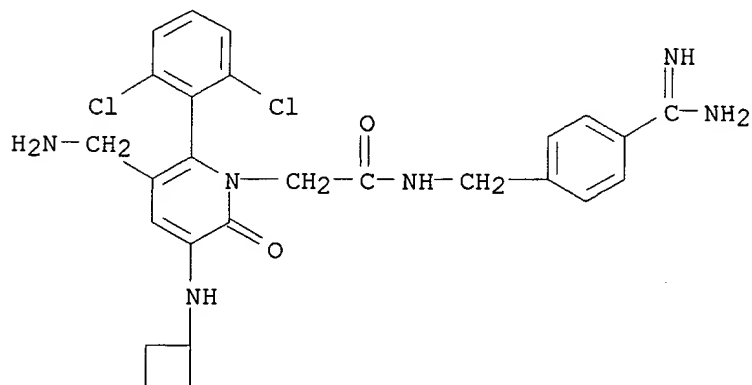
RN 308133-23-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-(cyclobutylamino)-2-oxo-6-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 308133-25-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-5-(aminomethyl)-3-(cyclobutylamino)-6-(2,6-dichlorophenyl)-2-oxo- (9CI) (CA INDEX NAME)

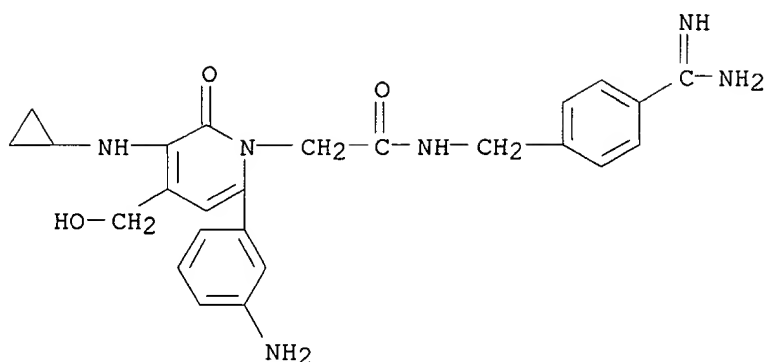


RN 308133-26-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclopropylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

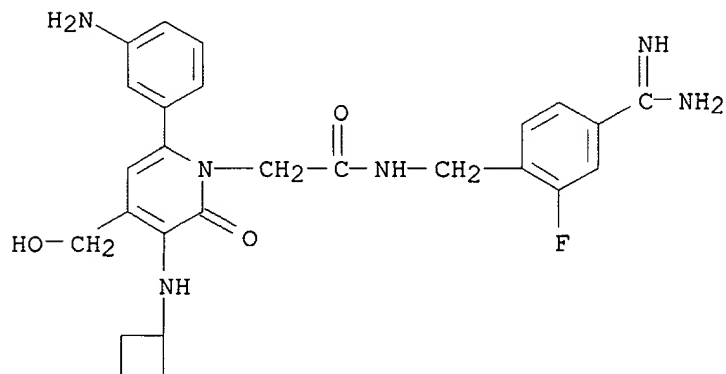


09/ 716,962



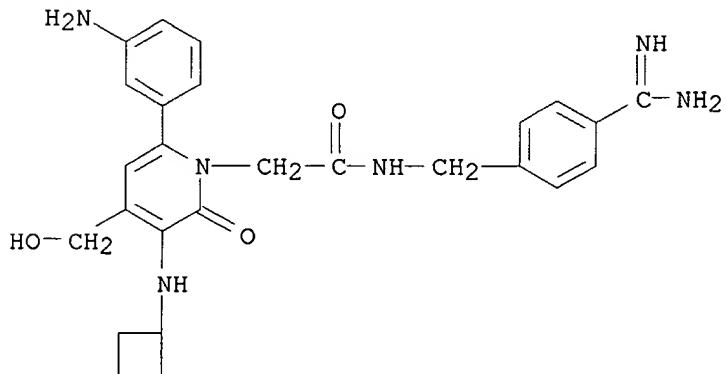
RN 308133-27-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-28-0 CAPLUS

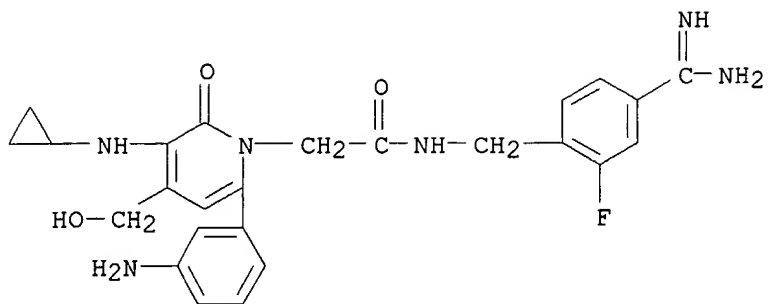
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-29-1 CAPLUS

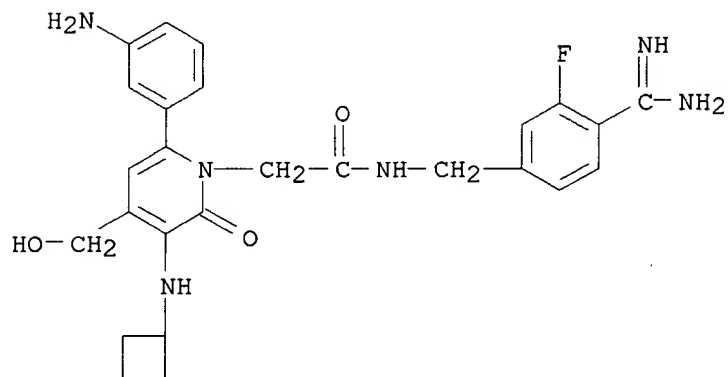
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclopropylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



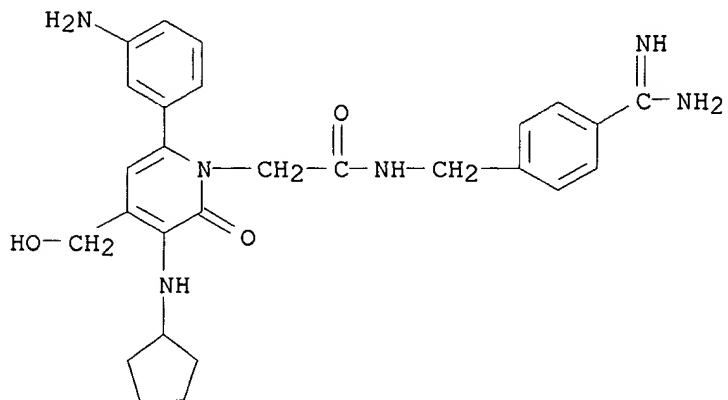
RN 308133-30-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-31-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclopentylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

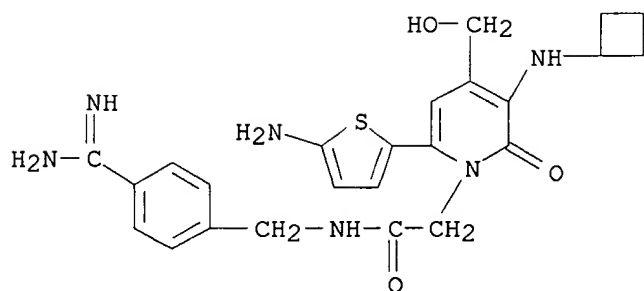


RN 308133-32-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(5-amino-2-thienyl)-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962

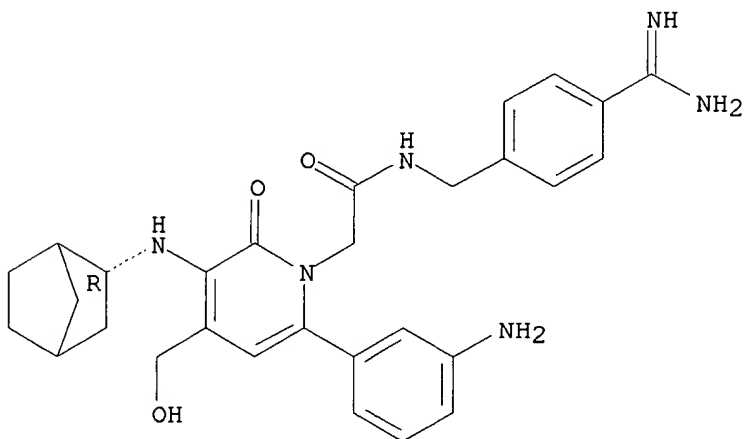
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RN 308133-33-7 CAPLUS

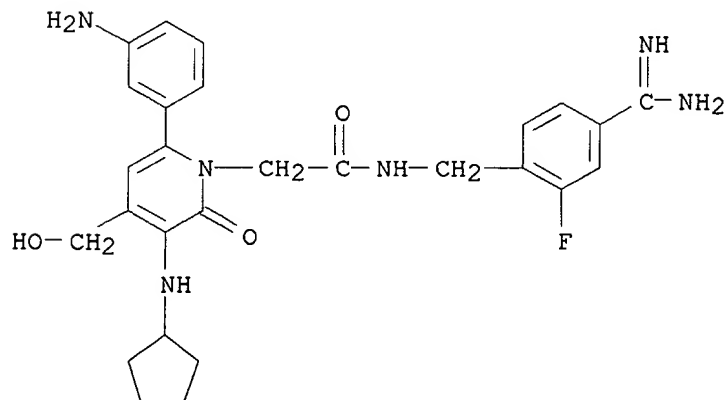
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2R)-bicyclo[2.2.1]hept-2-ylamino]-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308133-34-8 CAPLUS

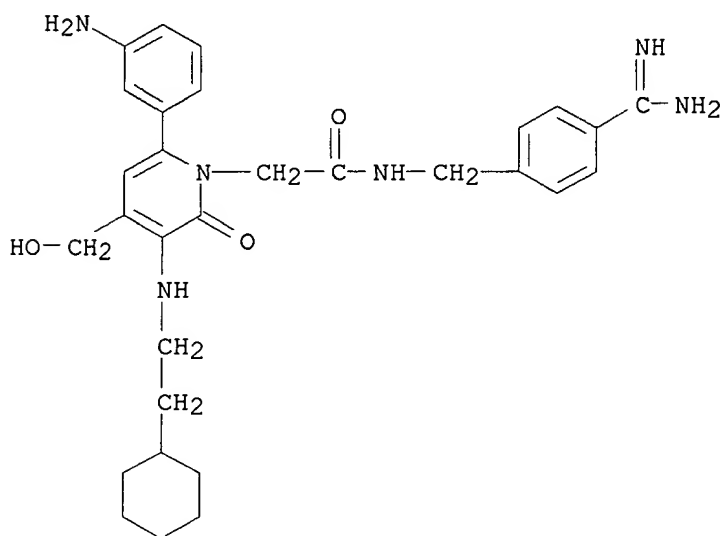
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclopentylamino)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

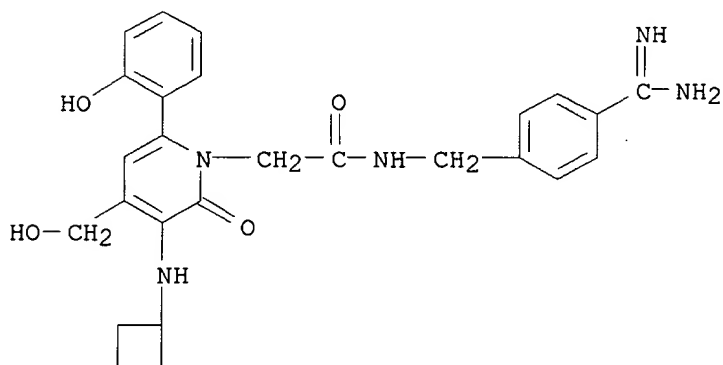
RN 308133-35-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2-cyclohexylethyl)amino]-4-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-36-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-4-(hydroxymethyl)-6-(2-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

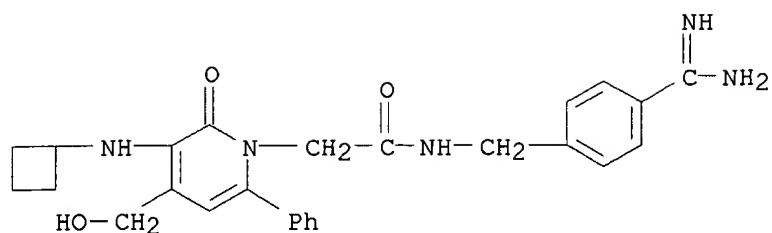


RN 308133-37-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

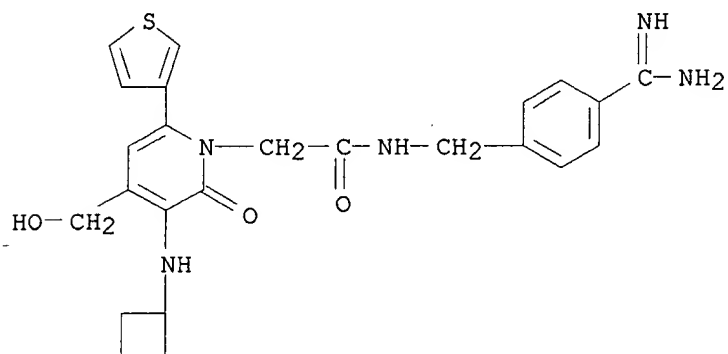
2/3

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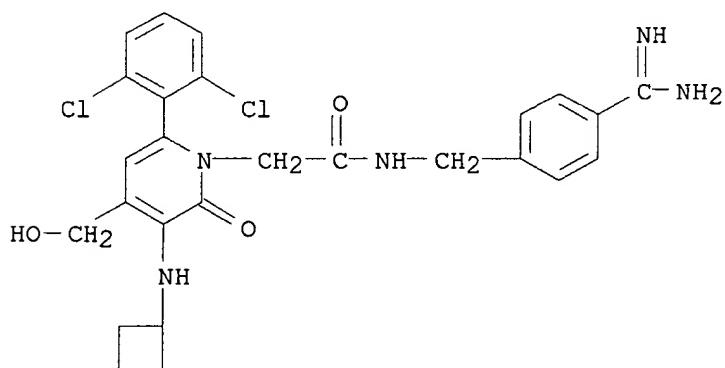
RN 308133-38-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-4-(hydroxymethyl)-2-oxo-6-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 308133-39-3 CAPLUS

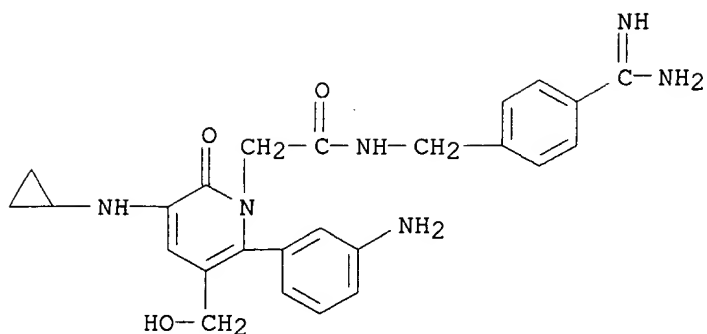
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-6-(2,6-dichlorophenyl)-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-40-6 CAPLUS

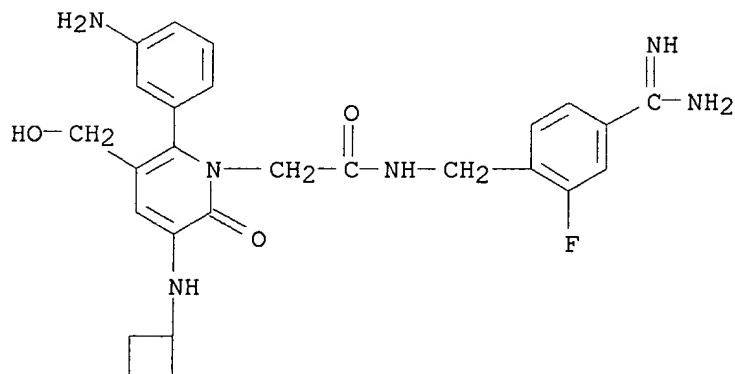
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclopropylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

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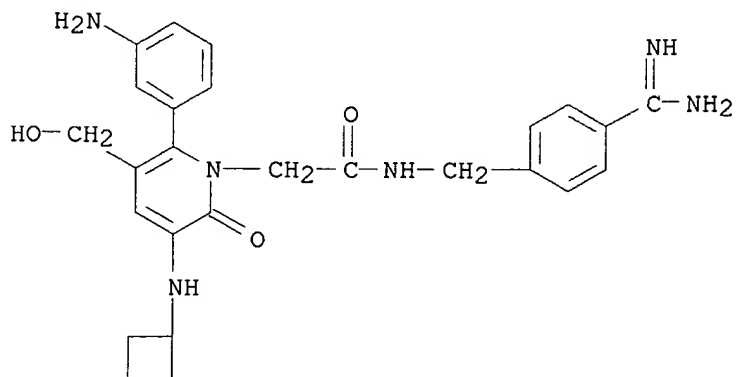
RN 308133-41-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-42-8 CAPLUS

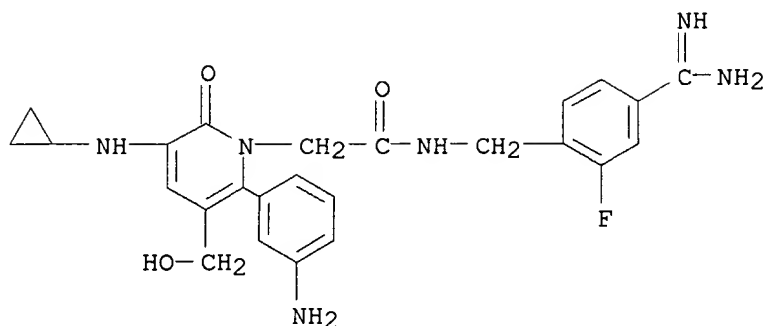
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-43-9 CAPLUS

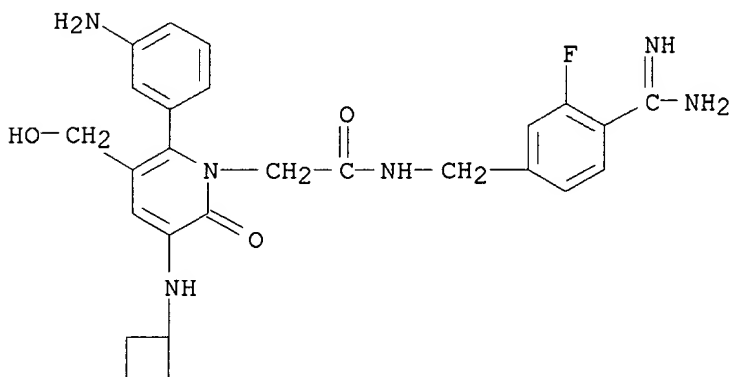
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclopropylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

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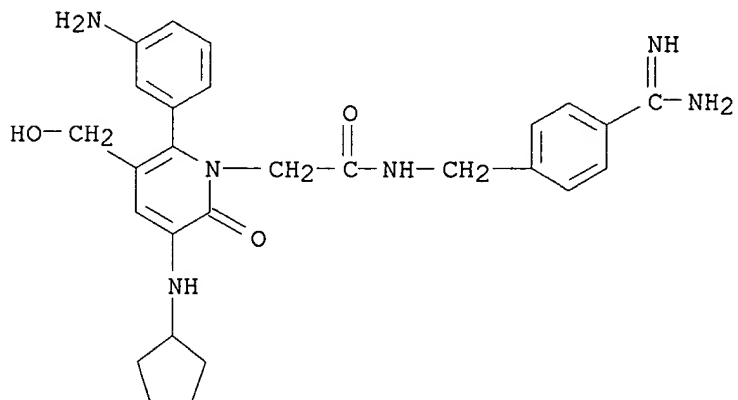
RN 308133-44-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-45-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-(cyclopentylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

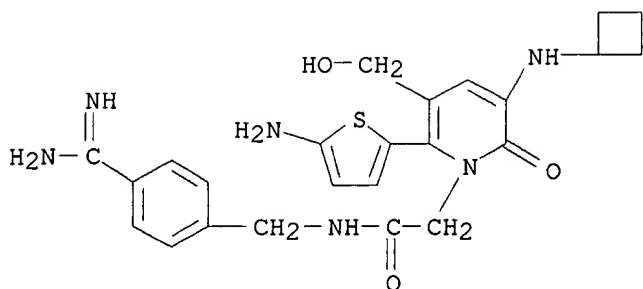


RN 308133-46-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(5-amino-2-thienyl)-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

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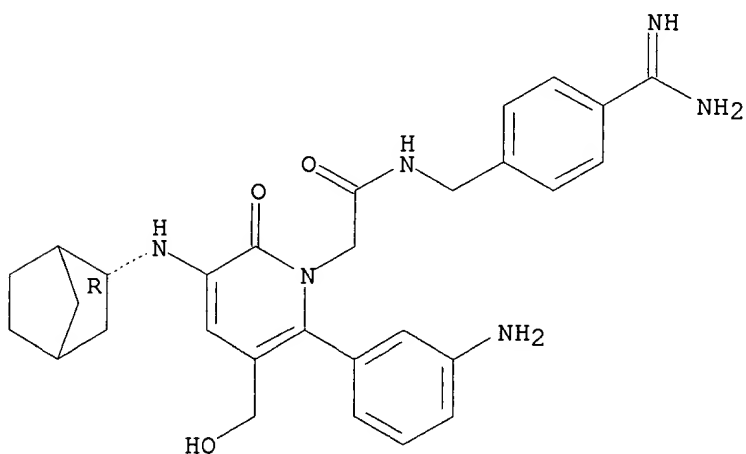
NAME)



RN 308133-47-3 CAPLUS

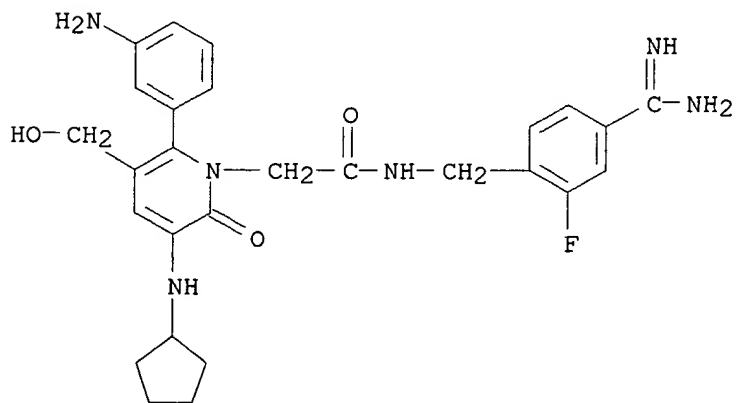
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2R)-bicyclo[2.2.1]hept-2-ylamino]-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308133-48-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6-(3-aminophenyl)-3-(cyclopentylamino)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

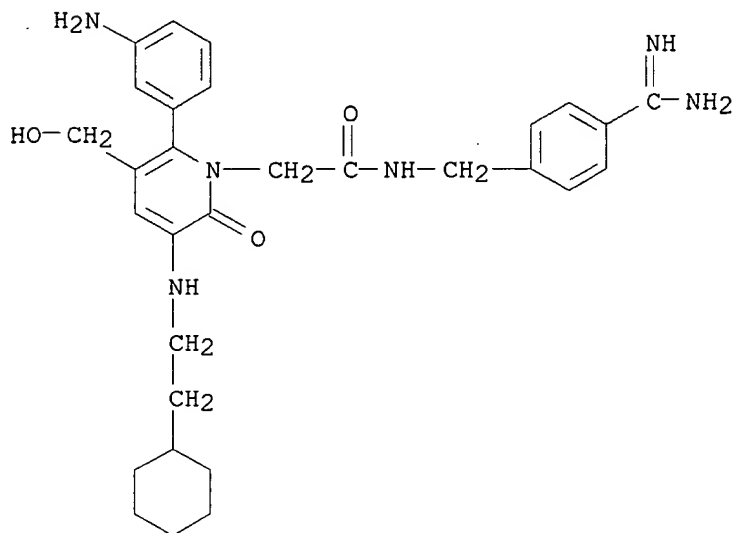




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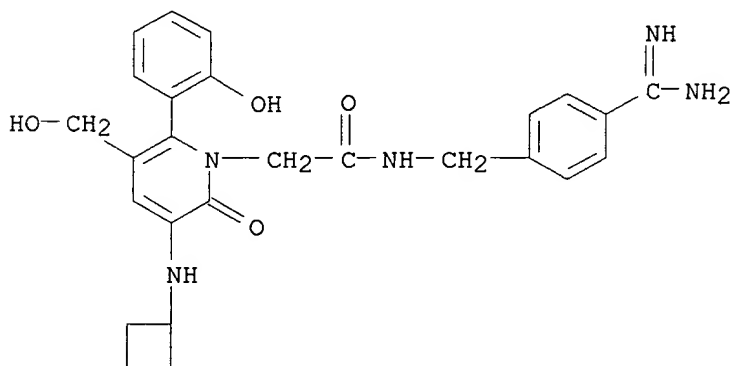
RN 308133-49-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(2-cyclohexylethyl)amino]-5-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-50-8 CAPLUS

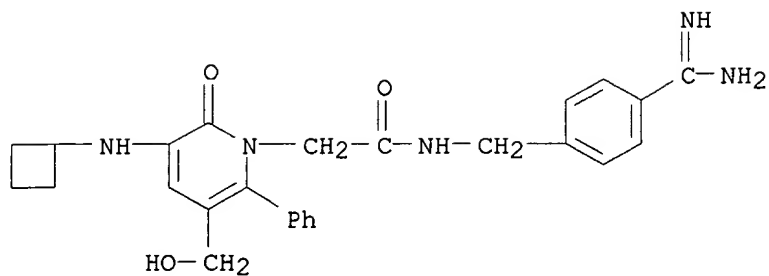
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-5-(hydroxymethyl)-6-(2-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-51-9 CAPLUS

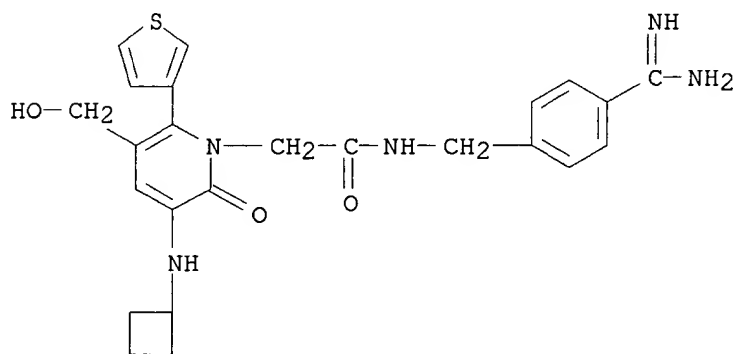
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

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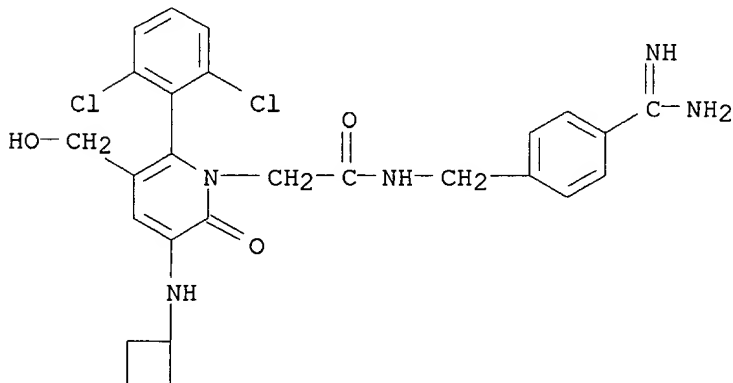
RN 308133-52-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-5-(hydroxymethyl)-2-oxo-6-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 308133-53-1 CAPLUS

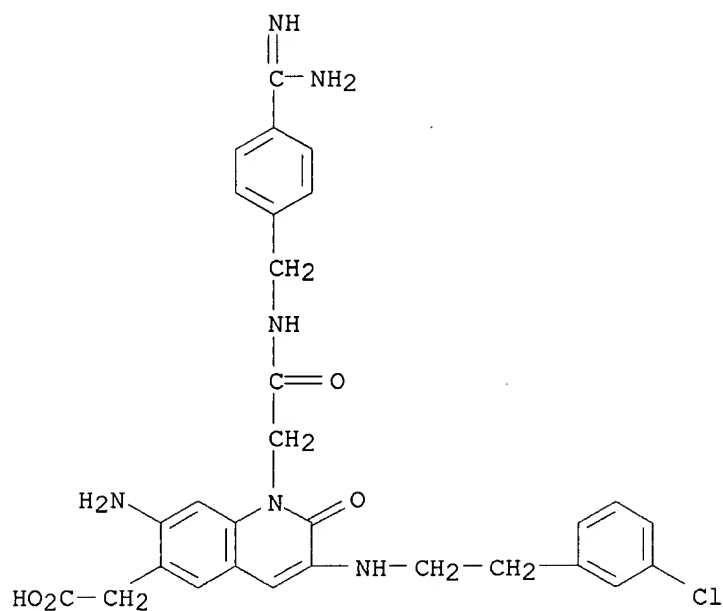
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-6-(2,6-dichlorophenyl)-5-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-54-2 CAPLUS

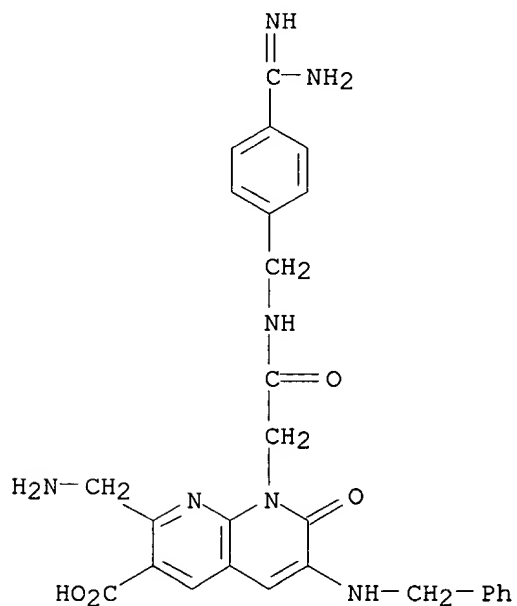
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-[[2-(3-chlorophenyl)ethyl]amino]-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-55-3 CAPLUS

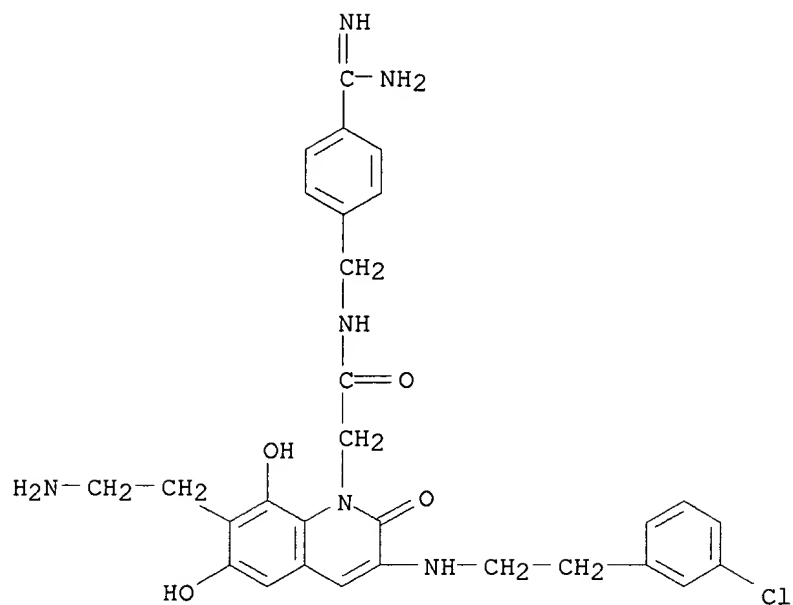
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-7-oxo-6-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308133-56-4 CAPLUS

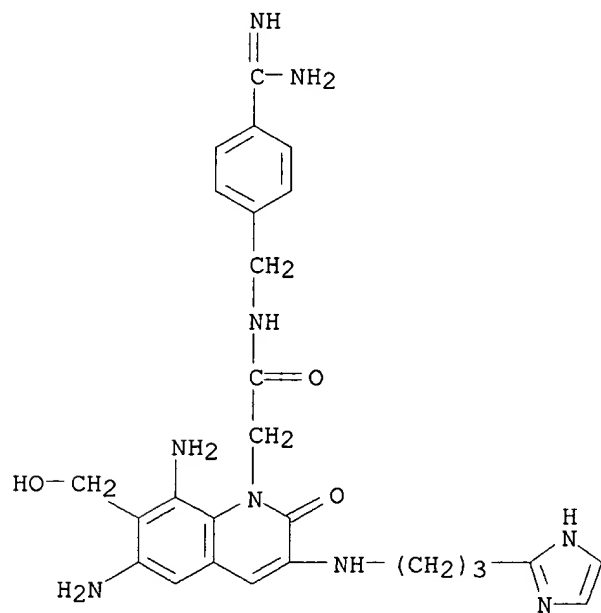
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[[4-(aminoiminomethyl)phenyl]methyl]-3-[[2-(3-chlorophenyl)ethyl]amino]-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-57-5 CAPLUS

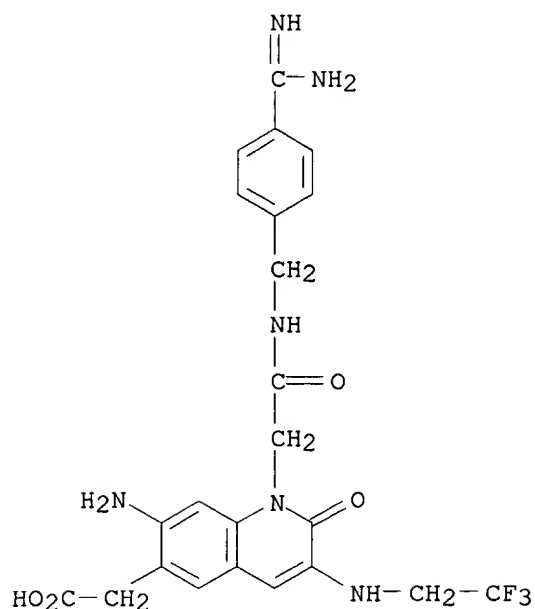
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-3-[[3-(1H-imidazol-2-yl)propyl]amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-58-6 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-[(2,2,2-trifluoroethyl)amino]- (9CI) (CA INDEX NAME)

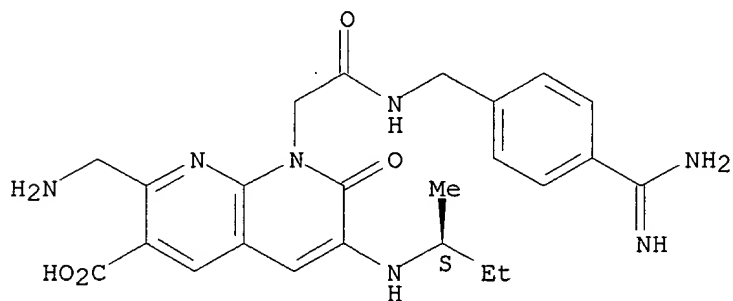
09/ 716,962



RN 308133-59-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-6-[[ (1S)-1-methylpropyl]amino]-7-oxo- (9CI) (CA INDEX NAME)

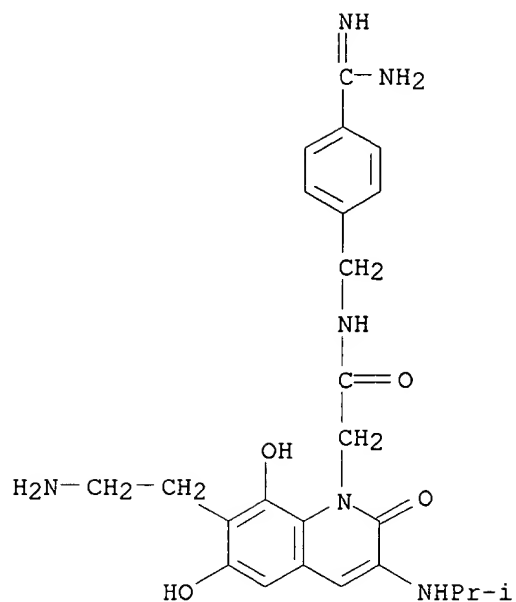
Absolute stereochemistry.



RN 308133-60-0 CAPLUS

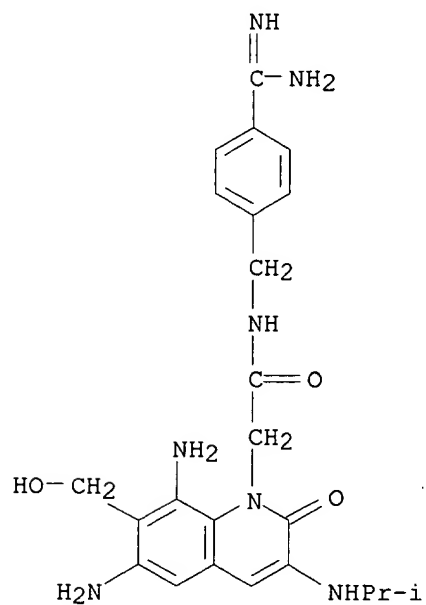
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-6,8-dihydroxy-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-61-1 CAPLUS

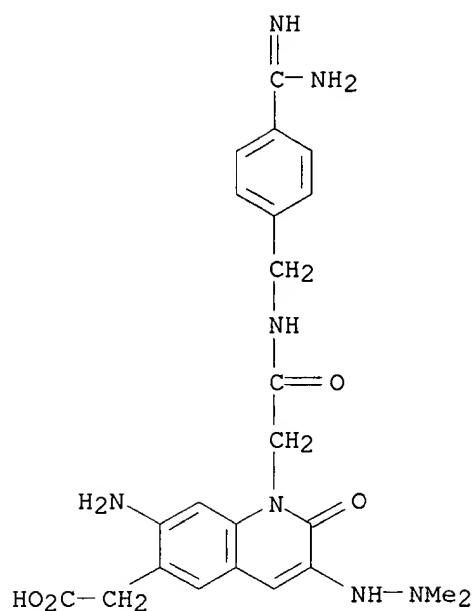
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-62-2 CAPLUS

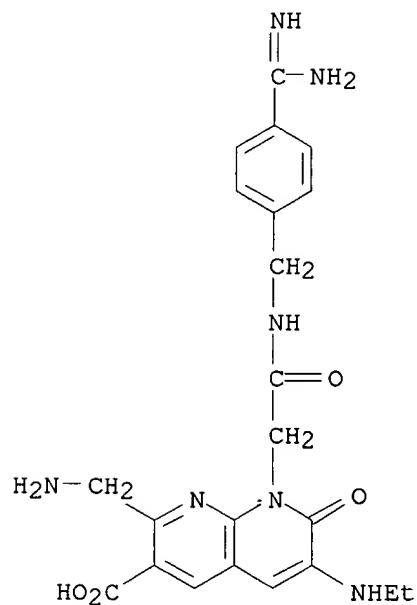
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-(2,2-dimethylhydrazino)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-63-3 CAPLUS

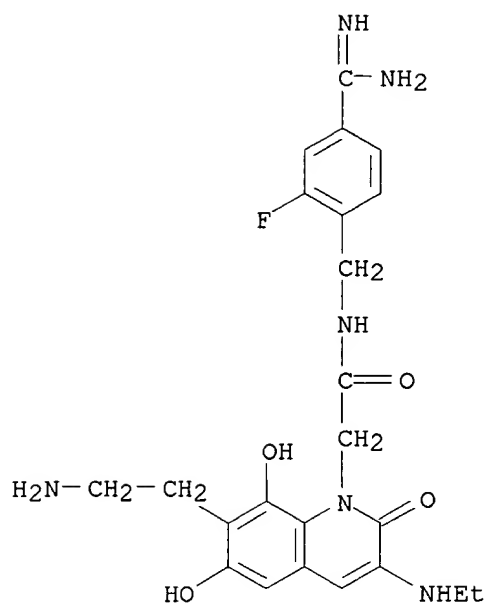
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-(ethylamino)-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-64-4 CAPLUS

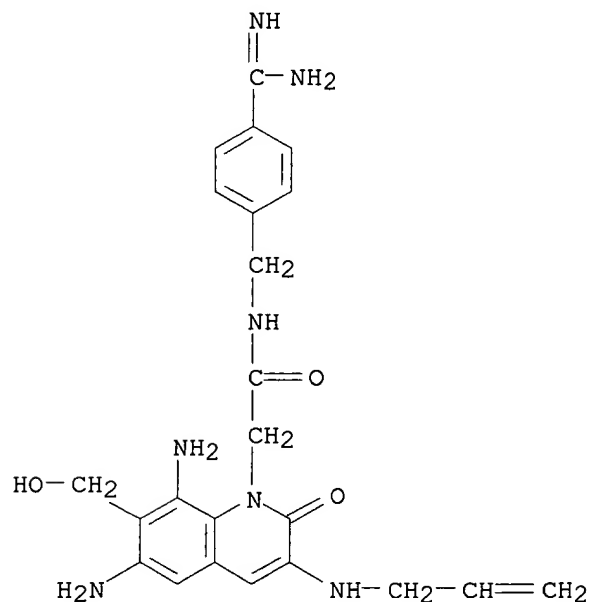
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-3-(ethylamino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-65-5 CAPLUS

CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-2-oxo-3-(2-propenylamino)- (9CI) (CA INDEX NAME)

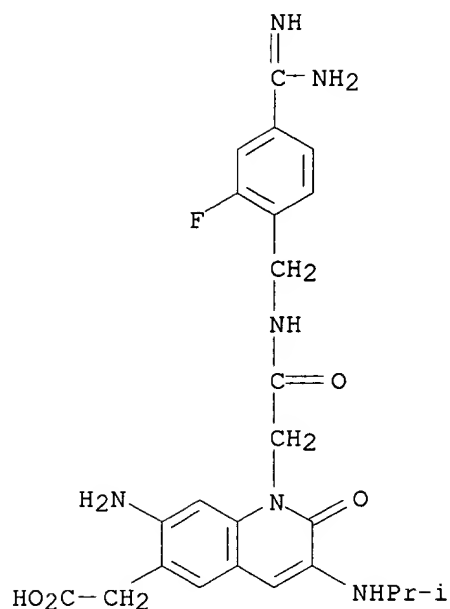


RN 308133-66-6 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

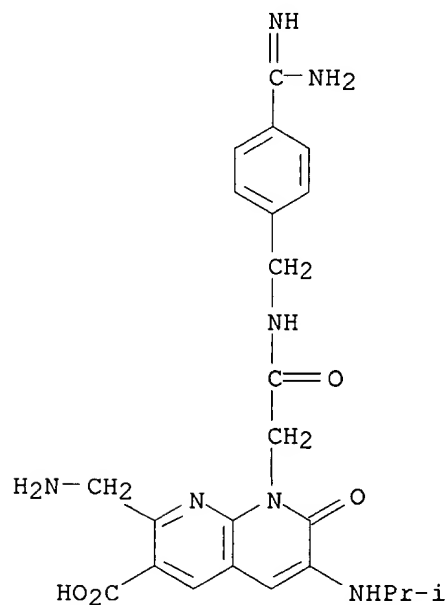


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RN 308133-67-7 CAPLUS

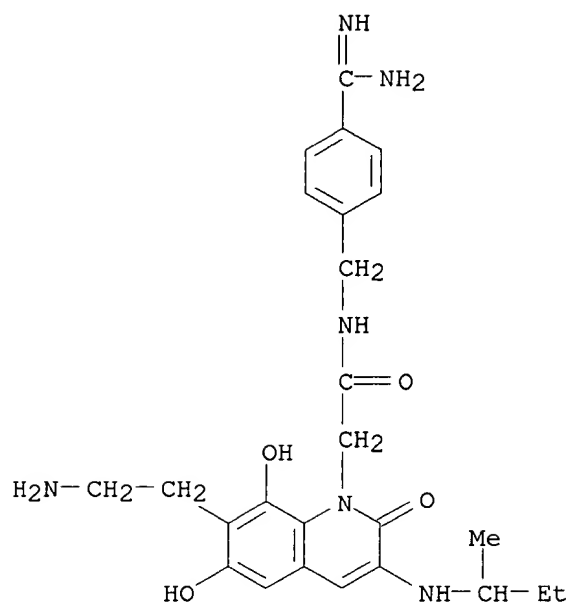
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-6-[(1-methylethyl)amino]-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-68-8 CAPLUS

CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-6,8-dihydroxy-3-[(1-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

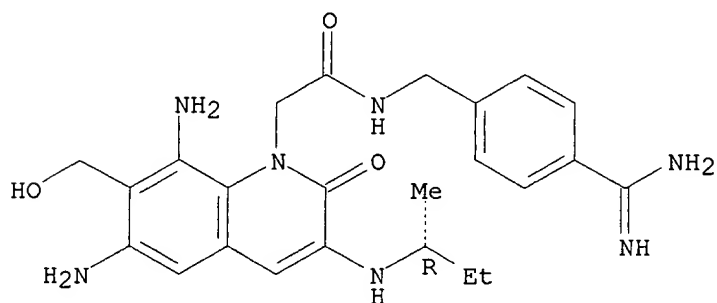
09/ 716,962



RN 308133-69-9 CAPLUS

CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-3-[[[(1R)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

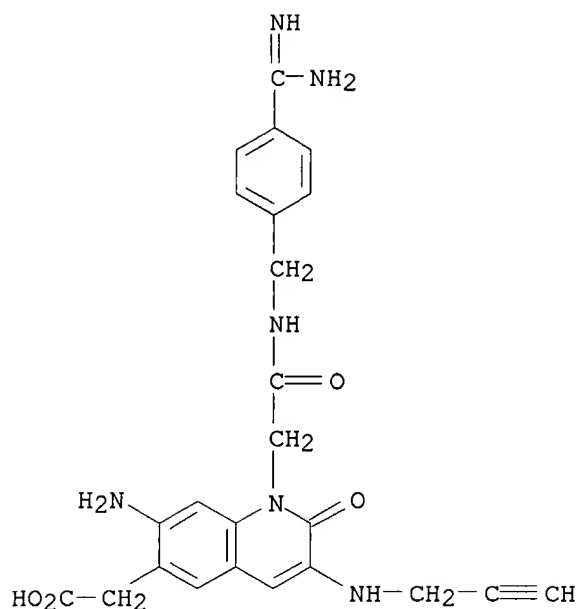
Absolute stereochemistry.



RN 308133-70-2 CAPLUS

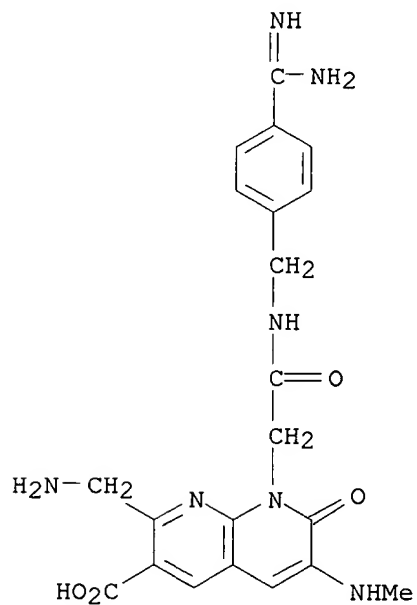
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-(2-propynylamino)- (9CI) (CA INDEX NAME)

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RN 308133-71-3 CAPLUS

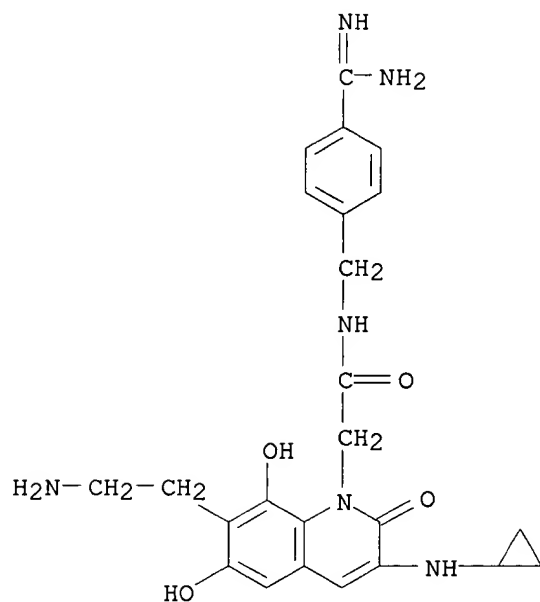
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-6-(methylamino)-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-72-4 CAPLUS

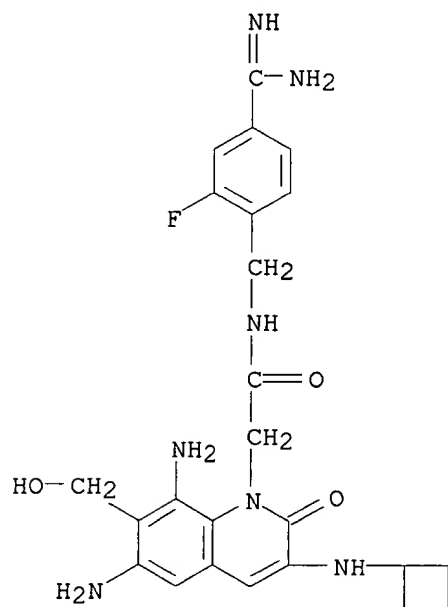
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclopropylamino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308133-73-5 CAPLUS

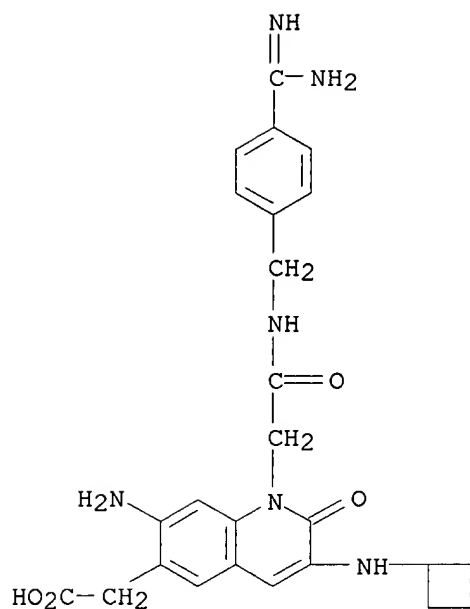
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-3-(cyclobutylamino)-7-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-74-6 CAPLUS

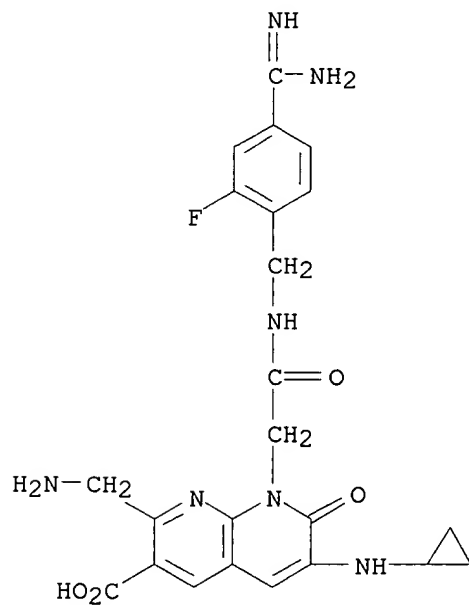
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-(cyclobutylamino)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308133-75-7 CAPLUS

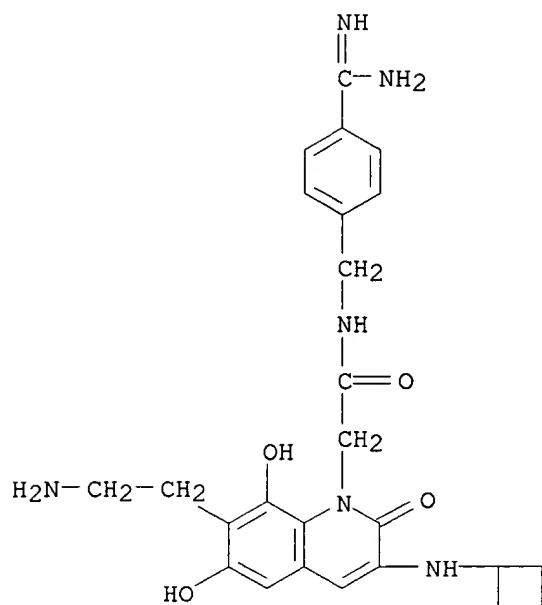
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-(cyclopropylamino)-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-76-8 CAPLUS

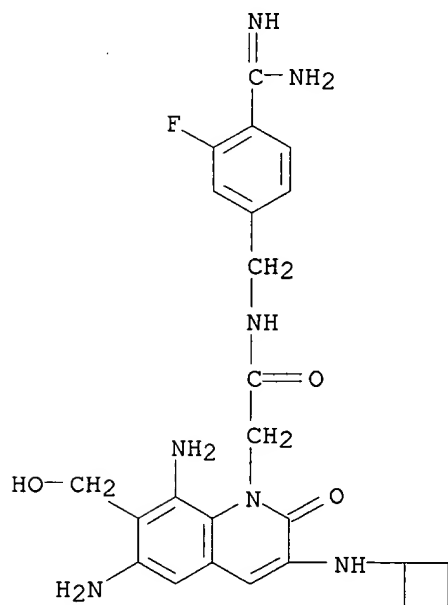
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308133-77-9 CAPLUS

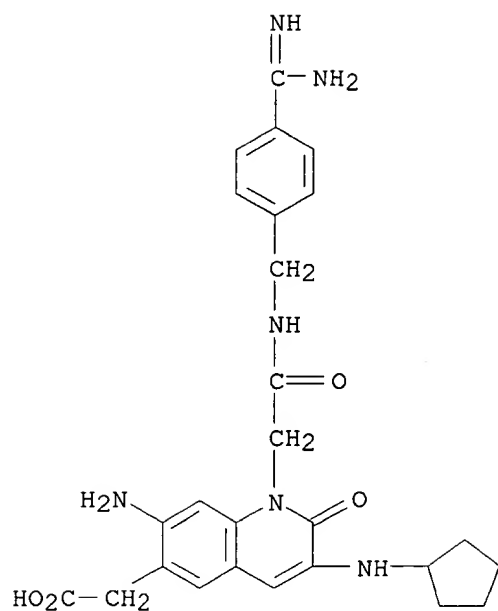
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]-3-(cyclobutylamino)-7-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-78-0 CAPLUS

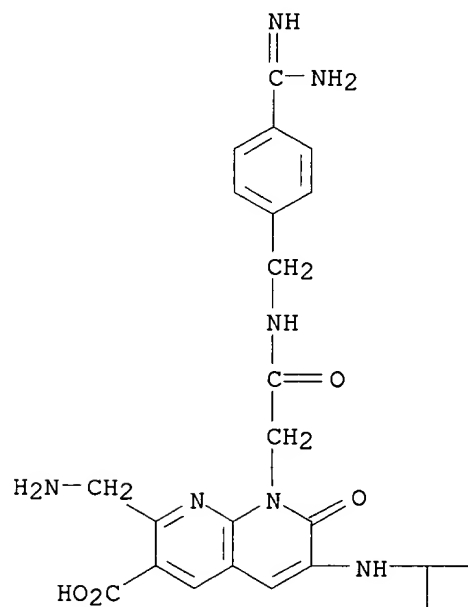
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-(cyclopentylamino)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

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RN 308133-79-1 CAPLUS

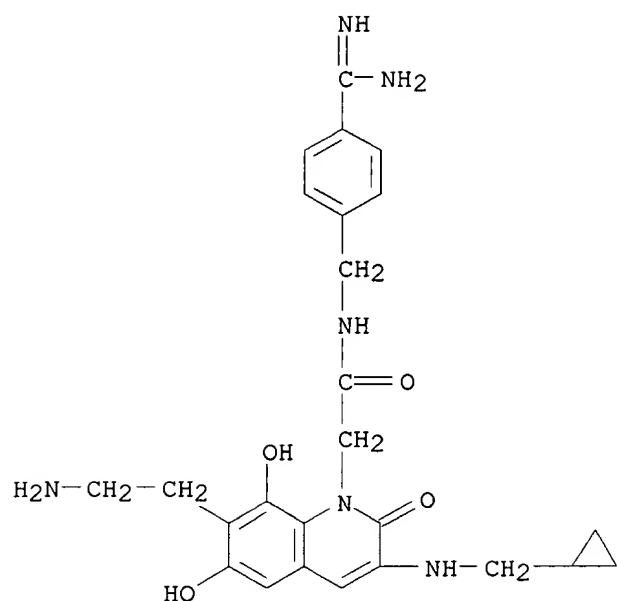
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-(cyclobutylamino)-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-80-4 CAPLUS

CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[[4-(aminoiminomethyl)phenyl]methyl]-3-[(cyclopropylmethyl)amino]-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

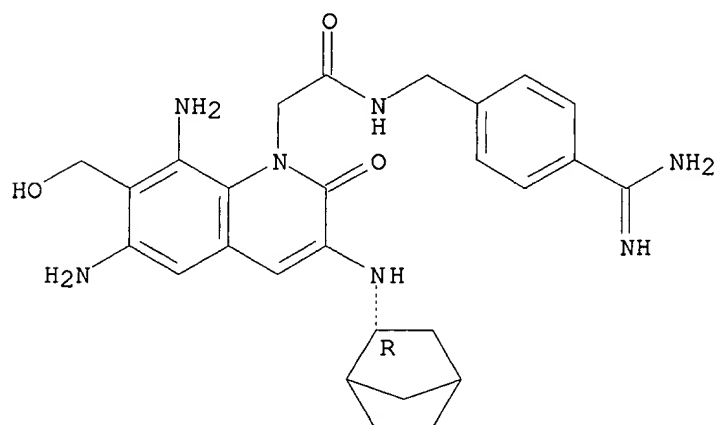
09/ 716,962



RN 308133-81-5 CAPLUS

CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[(2R)-bicyclo[2.2.1]hept-2-ylamino]-7-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

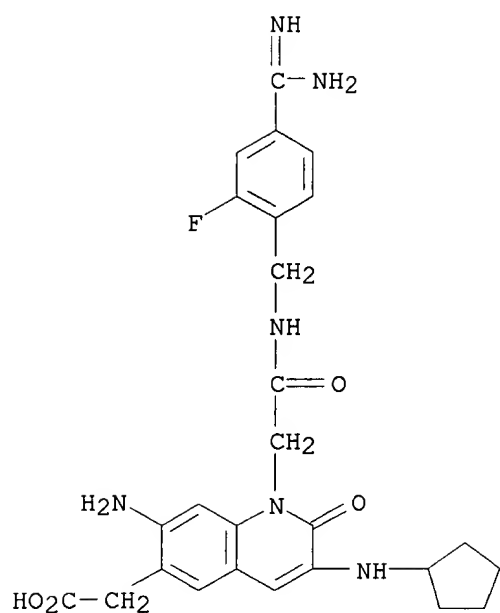


RN 308133-82-6 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]amino]-2-oxoethyl]-3-(cyclopentylamino)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

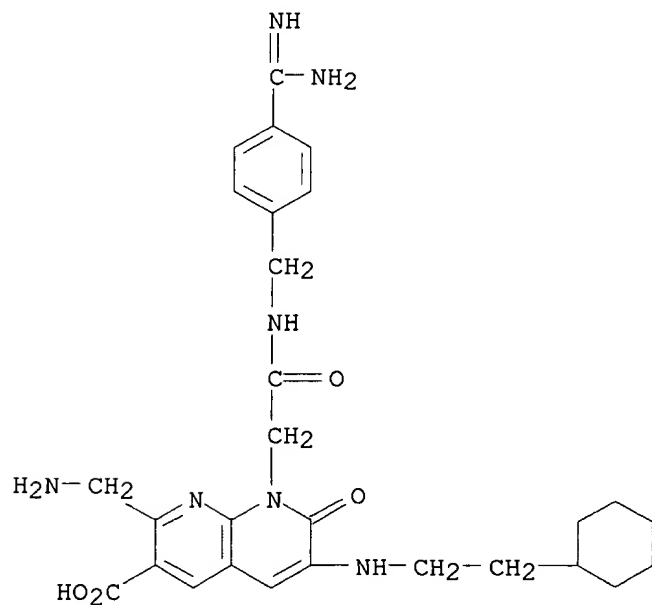


09/ 716,962



RN 308133-83-7 CAPLUS

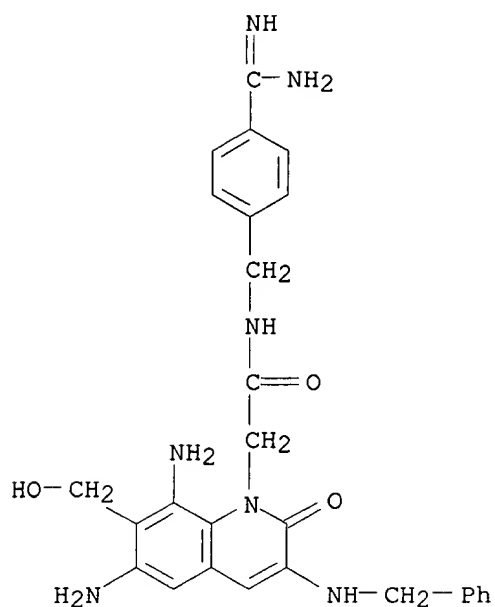
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-[(2-cyclohexylethyl)amino]-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-84-8 CAPLUS

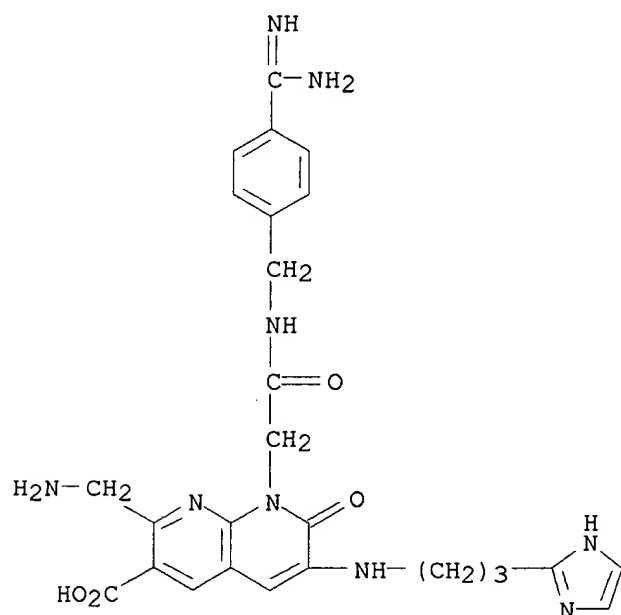
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308133-85-9 CAPLUS

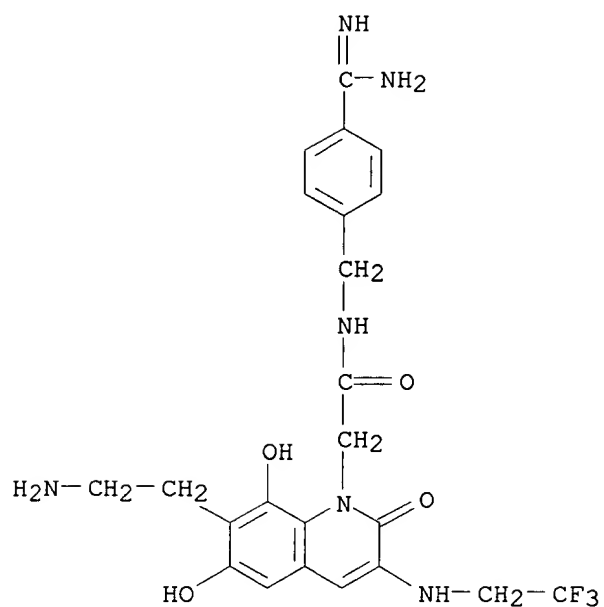
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-6-[[3-(1H-imidazol-2-yl)propyl]amino]-7-oxo- (9CI) (CA INDEX NAME)



RN 308133-86-0 CAPLUS

CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-6,8-dihydroxy-2-oxo-3-[(2,2,2-trifluoroethyl)amino]- (9CI) (CA INDEX NAME)

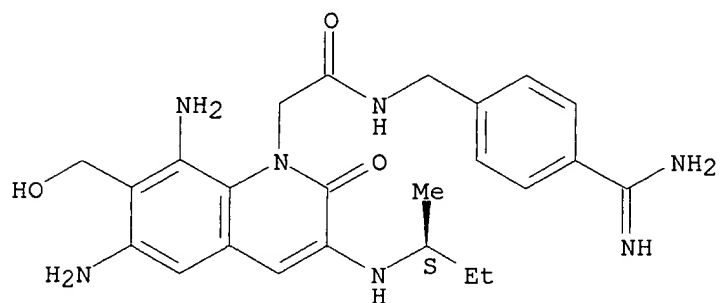
09/ 716,962



RN 308133-87-1 CAPLUS

CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-3-[[ (1S)-1-methylpropyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

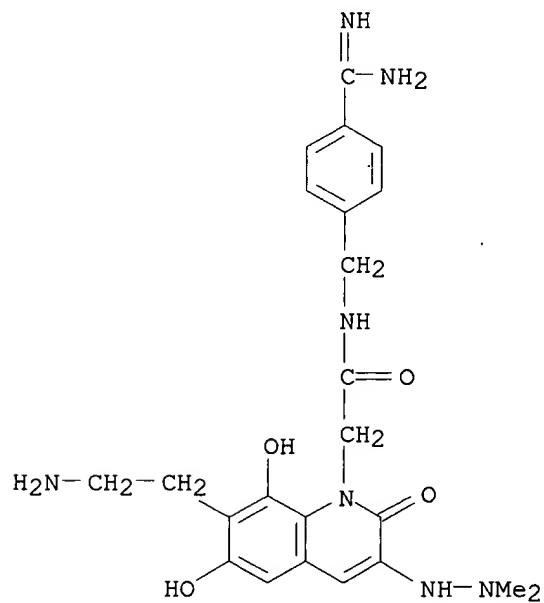


RN 308133-88-2 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-3-[(1-methylethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

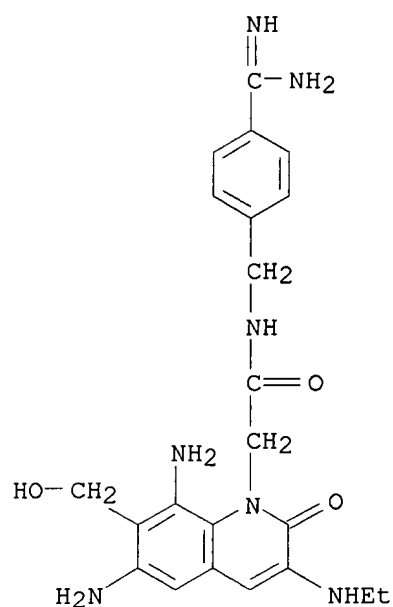
NC(=O)Cc1ccc(cc1)CCNC(=O)Cc2cnc3cc(N)cc(CCC(=O)O)c3n2

CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(2,2-dimethylhydrazino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

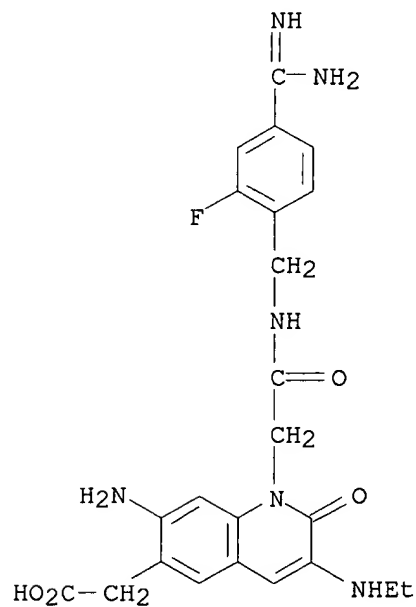


CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(ethylamino)-7-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962

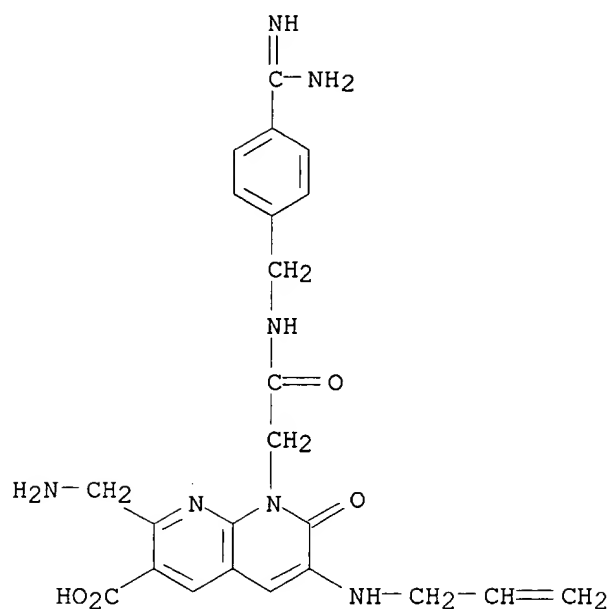


RN 308133-91-7 CAPLUS  
CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]amino]-2-oxoethyl]-3-(ethylamino)-1,2-dihydro-2-oxo-(9CI) (CA INDEX NAME)



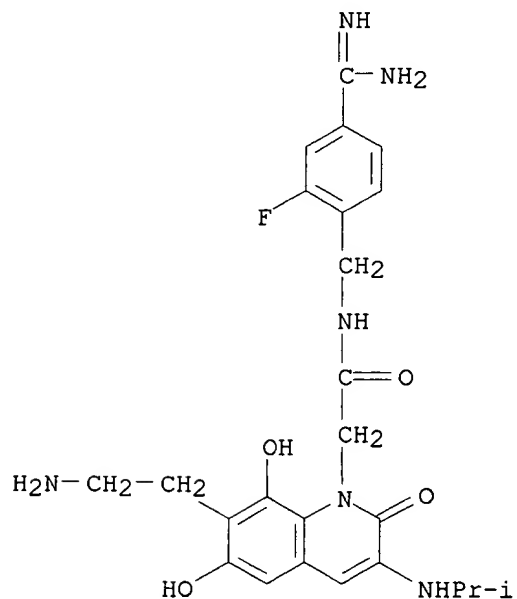
RN 308133-92-8 CAPLUS  
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09/ 716,962



RN 308133-93-9 CAPLUS

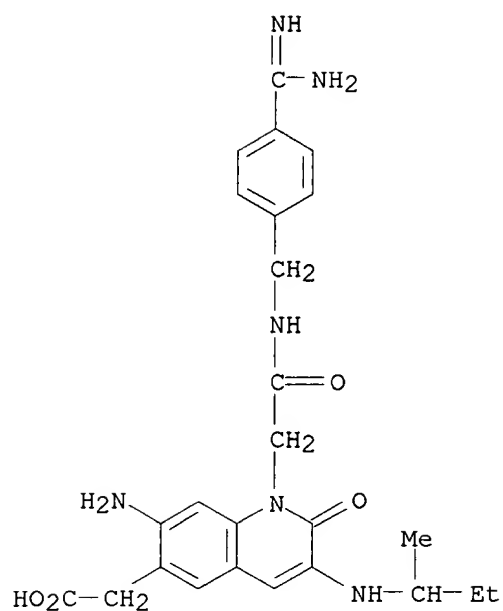
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-6,8-dihydroxy-3-[(1-methylethyl)amino]-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308133-94-0 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-3-[(1-methylpropyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

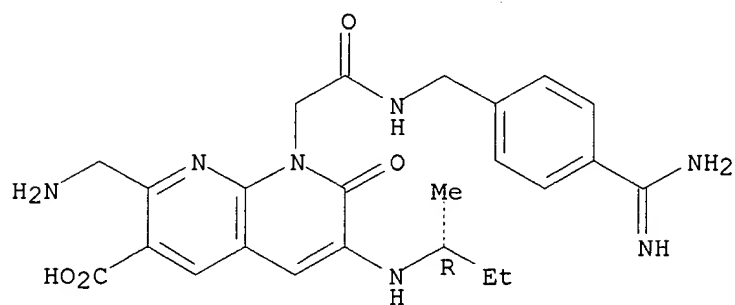
09/ 716,962



RN 308133-95-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-7,8-dihydro-6-[[ (1R)-1-methylpropyl]amino]-7-oxo- (9CI) (CA INDEX NAME)

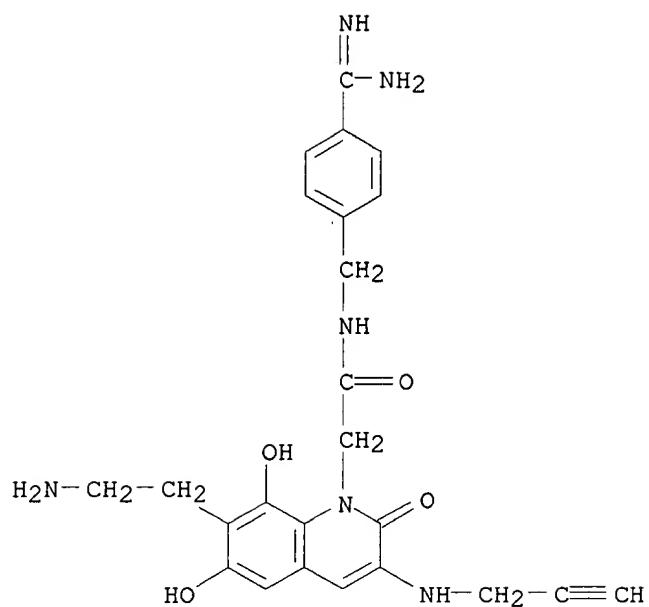
Absolute stereochemistry.



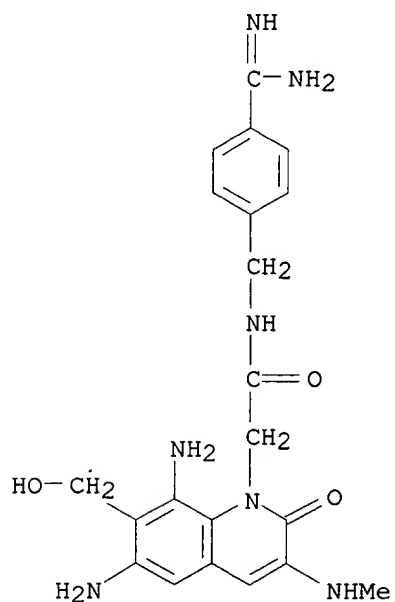
RN 308133-96-2 CAPLUS

CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)phenyl]methyl]-6,8-dihydroxy-2-oxo-3-(2-propynylamino)- (9CI) (CA INDEX NAME)

09/ 716,962



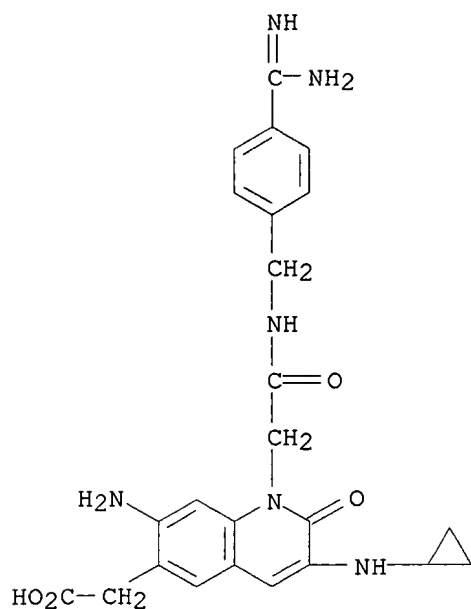
RN 308133-97-3 CAPLUS  
 CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-7-(hydroxymethyl)-3-(methylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 308133-98-4 CAPLUS  
 CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-(cyclopropylamino)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

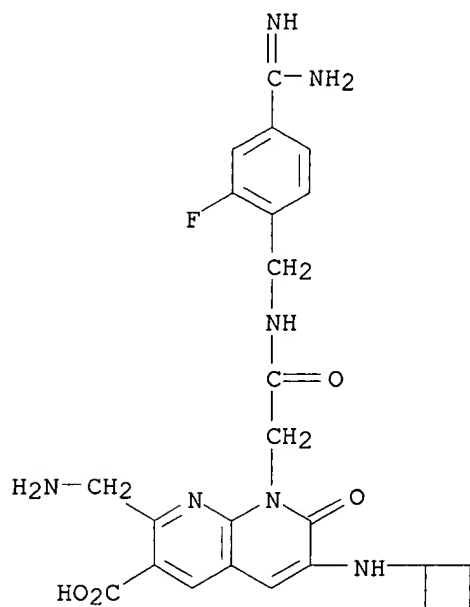


09/ 716,962



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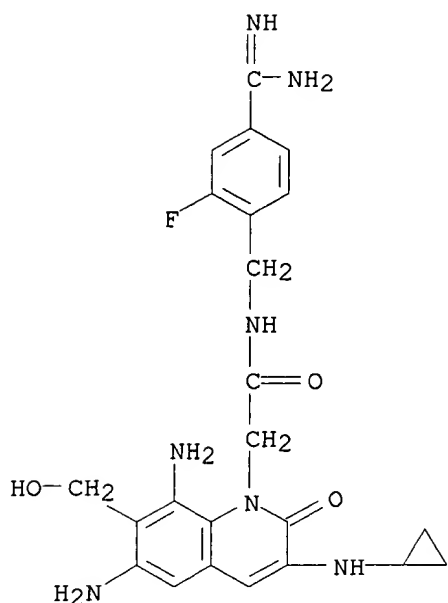
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-(cyclobutylamino)-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308134-00-1 CAPLUS

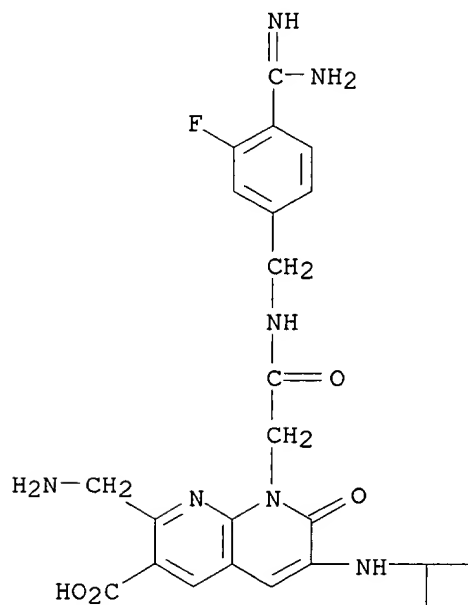
CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-3-(cyclopropylamino)-7-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308134-01-2 CAPLUS

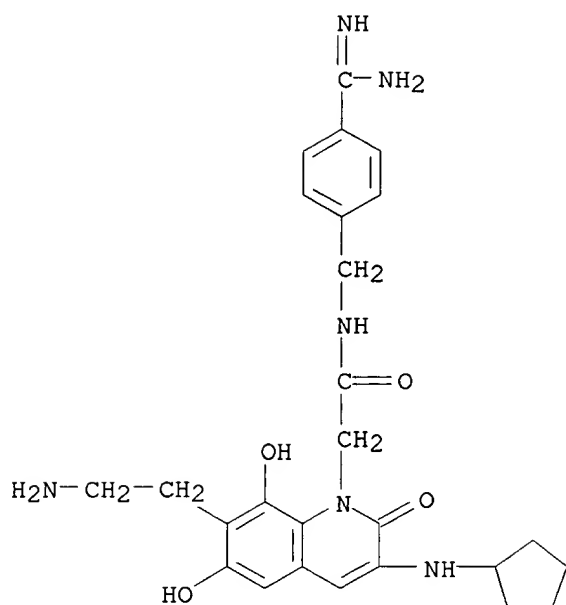
CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)-3-fluorophenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-(cyclobutylamino)-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 308134-02-3 CAPLUS

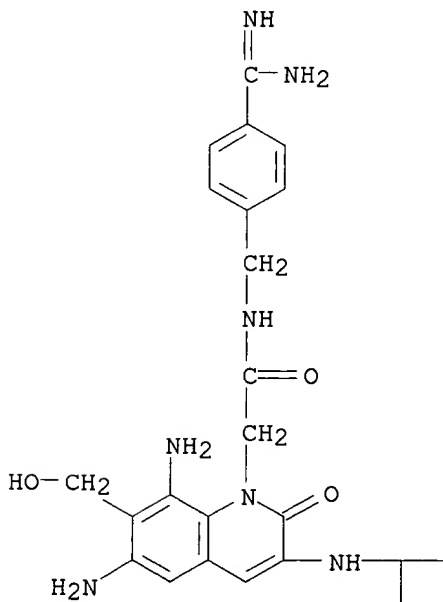
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclopentylamino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



RN 308134-03-4 CAPLUS

CN 1(2H)-Quinolineacetamide, 6,8-diamino-N-[[4-(aminoiminomethyl)phenyl]methyl]-3-(cyclobutylamino)-7-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

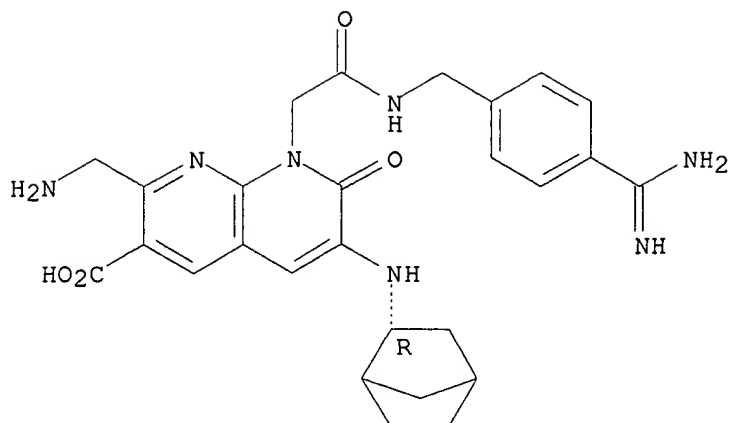


RN 308134-04-5 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 8-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-2-(aminomethyl)-6-[(2R)-bicyclo[2.2.1]hept-2-ylamino]-7,8-dihydro-7-oxo- (9CI) (CA INDEX NAME)

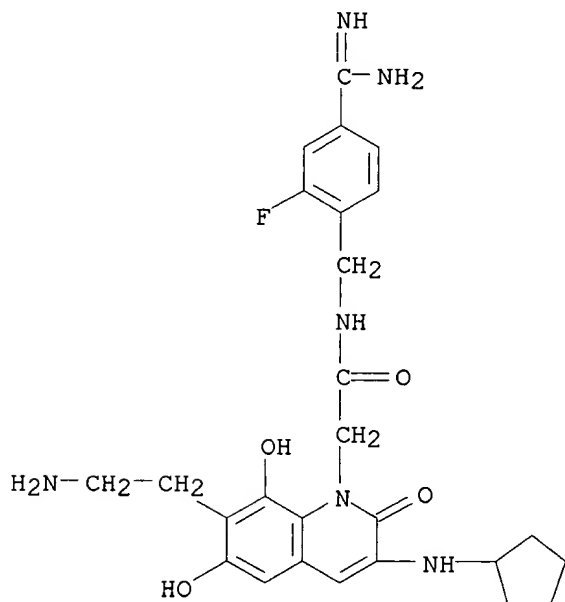
Absolute stereochemistry.

09/ 716,962



RN 308134-05-6 CAPLUS

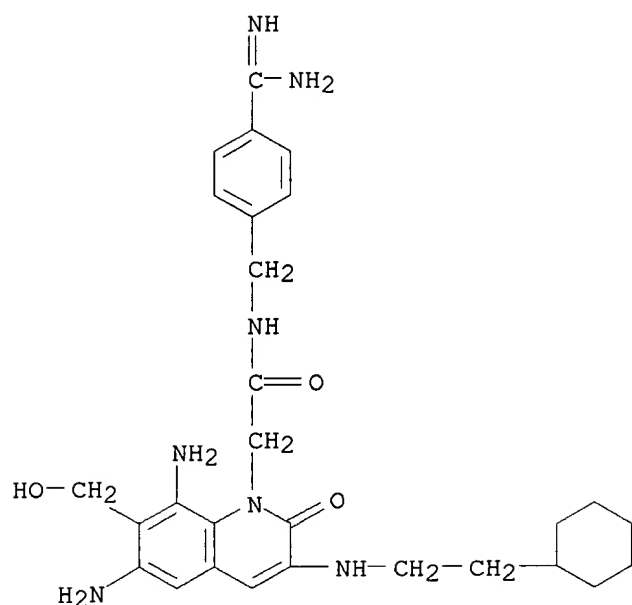
CN 1(2H)-Quinolineacetamide, 7-(2-aminoethyl)-N-[[4-(aminoiminomethyl)-2-fluorophenyl]methyl]-3-(cyclopentylamino)-6,8-dihydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 308134-06-7 CAPLUS

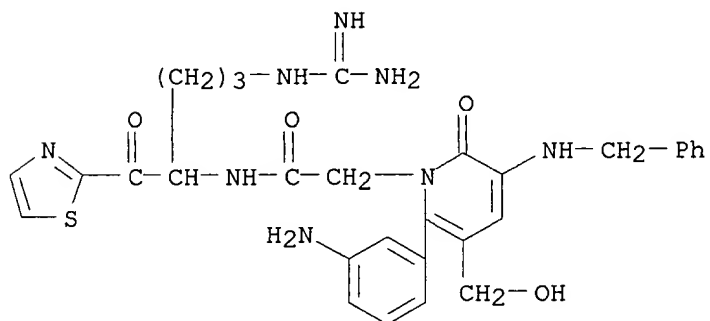
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09/ 716,962



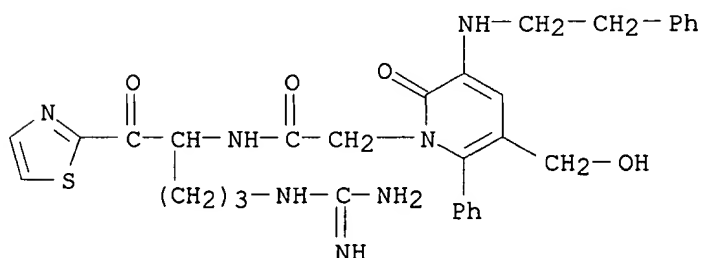
RN 308134-07-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-6-(3-aminophenyl)-5-(hydroxymethyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 308134-08-9 CAPLUS

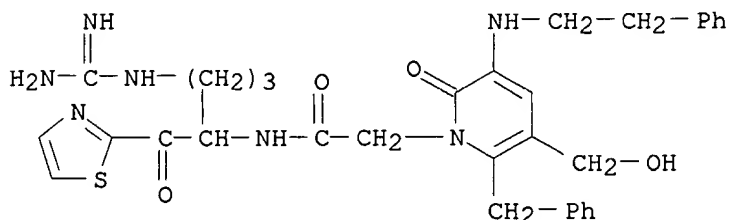
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-5-(hydroxymethyl)-2-oxo-6-phenyl-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308134-09-0 CAPLUS

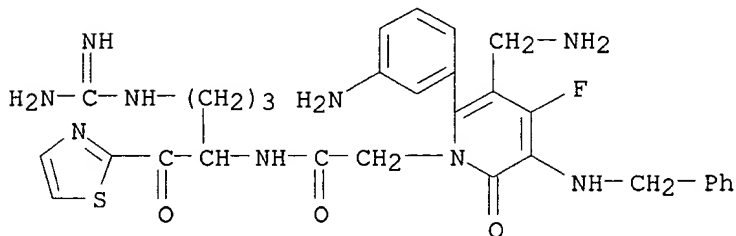
09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-5-(hydroxymethyl)-2-oxo-3-[(2-phenylethyl)amino]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



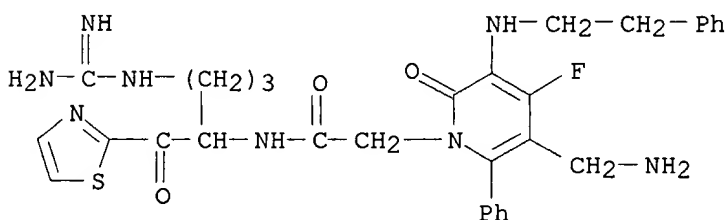
RN 308134-10-3 CAPLUS

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RN 308134-11-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-5-(aminomethyl)-4-fluoro-2-oxo-6-phenyl-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



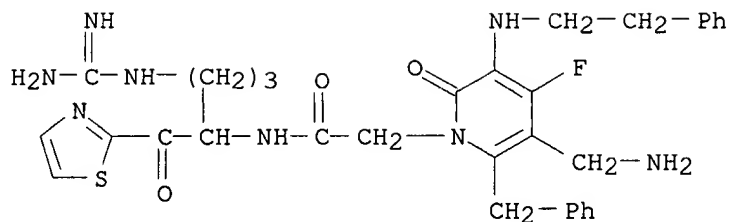
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308134-18-1P 308135-15-1P 308135-17-3P  
308135-19-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of (heteroaryl)pyridones as **coagulation** cascade serine protease inhibitors)

RN 308134-12-5 CAPLUS

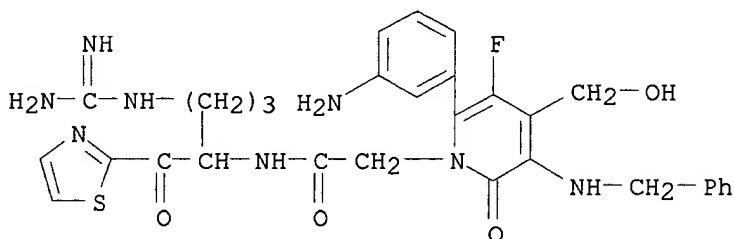
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09/ 716,962



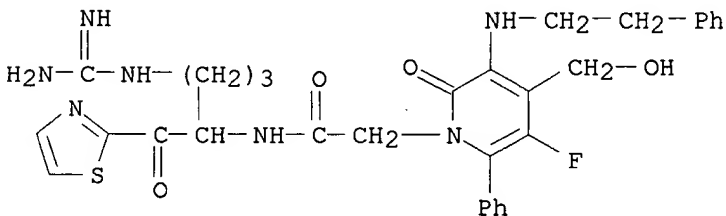
RN 308134-13-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-6-(3-aminophenyl)-5-fluoro-4-(hydroxymethyl)-2-oxo-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



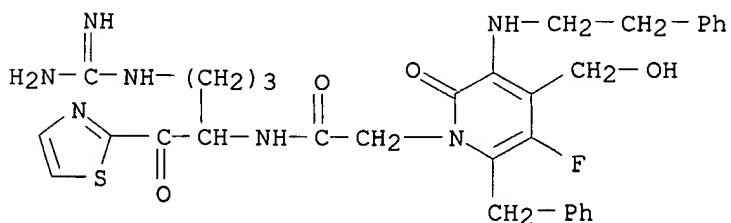
RN 308134-14-7 CAPLUS

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RN 308134-15-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-5-fluoro-4-(hydroxymethyl)-2-oxo-3-[(2-phenylethyl)amino]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

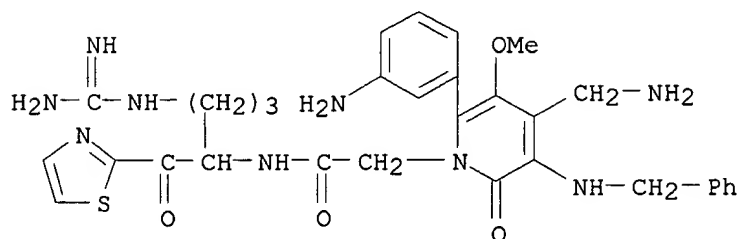


RN 308134-16-9 CAPLUS

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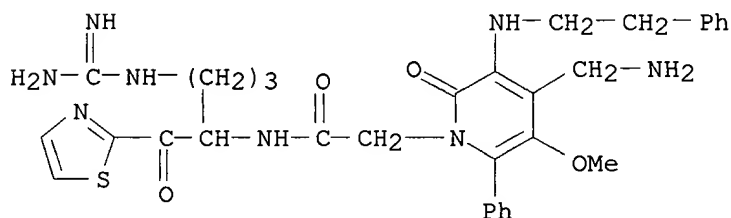
09/ 716,962

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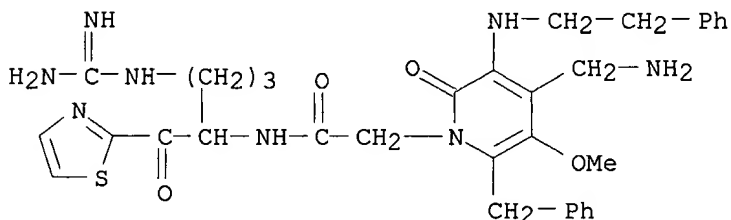
RN 308134-17-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-4-(aminomethyl)-5-methoxy-2-oxo-6-phenyl-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 308134-18-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]-4-(aminomethyl)-5-methoxy-2-oxo-3-[(2-phenylethyl)amino]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

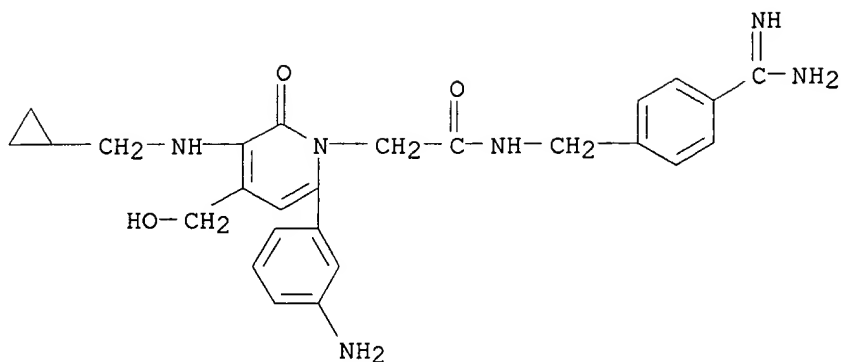


RN 308135-15-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(cyclopropylmethyl)amino]-4-(hydroxymethyl)-2-oxo- (9CI) (CA INDEX NAME)

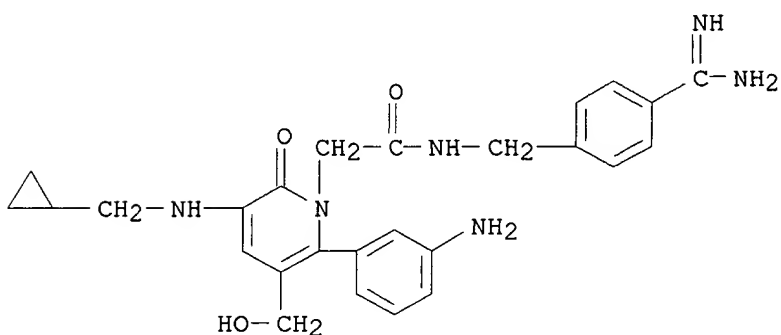


09/ 716,962



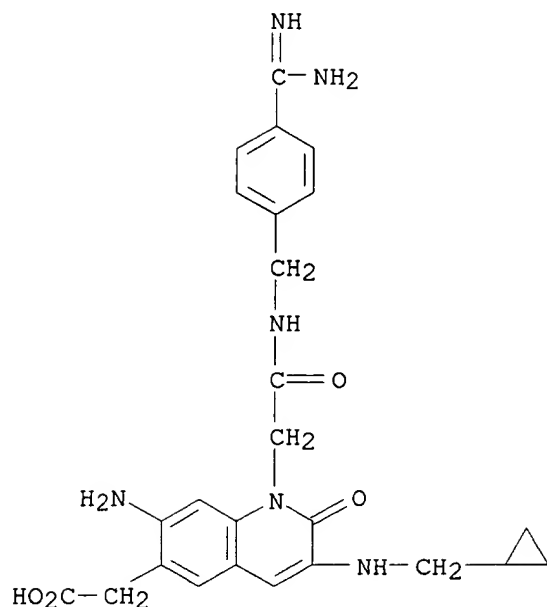
RN 308135-17-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(3-aminophenyl)-3-[(cyclopropylmethyl)amino]-5-(hydroxymethyl)-2-oxo- (9CI)  
(CA INDEX NAME)



RN 308135-19-5 CAPLUS

CN 6-Quinolineacetic acid, 7-amino-1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-3-[(cyclopropylmethyl)amino]-1,2-dihydro-2-oxo- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 14  
 REFERENCE(S): (1) Akzo Nobel Nv; WO 9847876 A 1998 CAPLUS  
 (2) Beight, D; WO 9900126 A 1999 CAPLUS  
 (3) Bellevergue, P; WO 0032574 A 2000 CAPLUS  
 (4) Brady, S; WO 9730708 A 1997 CAPLUS  
 (5) Brady, S; US 5792779 A 1998 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 2000:384154 CAPLUS  
 DOCUMENT NUMBER: 133:30661  
 TITLE: Preparation of 2-pyridone derivatives and their  
 antithrombotic activity  
 INVENTOR(S): Lassalle, Gilbert; Fossey, Valerie; Marquais, Sophie;  
 Bellevergue, Patrice; Bourbier, Jean-claude; Galtier,  
 Daniel  
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032574	A1	20000608	WO 1999-FR2902	19991125
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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09/ 716,962

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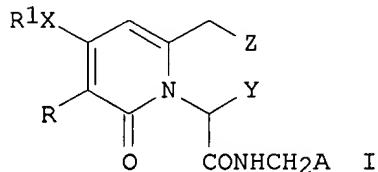
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A 19981127

OTHER SOURCE(S):

MARPAT 133:30661

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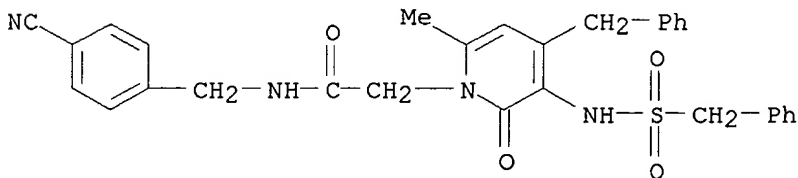
AB The title compds. I [R = NO<sub>2</sub>, NR<sub>2</sub>R<sub>3</sub>, NHR<sub>3</sub>; R<sub>1</sub> = H, alkyl, cycloalkyl, aryl, arylalkyl; X = O, S, CH<sub>2</sub>, NH; XR<sub>1</sub> = H; Y = H, alkyl; Z = H, OH; A = (un)substituted Ph, heterocyclyl, etc.], antithrombotics (no data), were prepd. E.g., Me [1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-6-(hydroxymethyl)-4-methoxy-2-oxo-1,2-dihydropyridin-3-yl]carbamate hydrochloride was prepd.

IT 273753-97-2P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridone derivs. and their antithrombotic activity)

RN 273753-97-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-cyanophenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



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273753-91-6P 273753-92-7P 273753-93-8P  
273753-94-9P 273753-95-0P 273753-96-1P  
273753-98-3P 273753-99-4P 273754-00-0P  
273754-01-1P 273754-02-2P 273754-03-3P  
273754-04-4P 273754-05-5P 273754-06-6P  
273754-07-7P 273754-08-8P 273754-09-9P  
273754-10-2P 273754-11-3P 273754-12-4P  
273754-13-5P 273754-14-6P 273754-15-7P  
273754-16-8P 273754-17-9P 273754-18-0P  
273754-19-1P 273754-20-4P 273754-21-5P  
273754-23-7P 273754-24-8P 273754-26-0P  
273754-27-1P 273754-28-2P 273754-30-6P  
273754-32-8P 273754-33-9P 273754-34-0P  
273754-35-1P 273754-36-2P 273754-37-3P  
273754-38-4P 273754-39-5P 273754-40-8P  
273754-41-9P 273754-42-0P 273754-43-1P  
273754-45-3P 273754-47-5P 273754-49-7P  
273754-50-0P 273754-52-2P

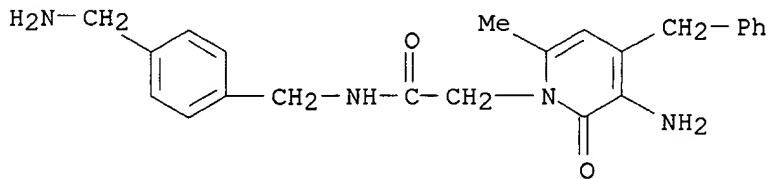
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

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(prepn. of pyridone derivs. and their antithrombotic activity)

RN 273753-85-8 CAPLUS

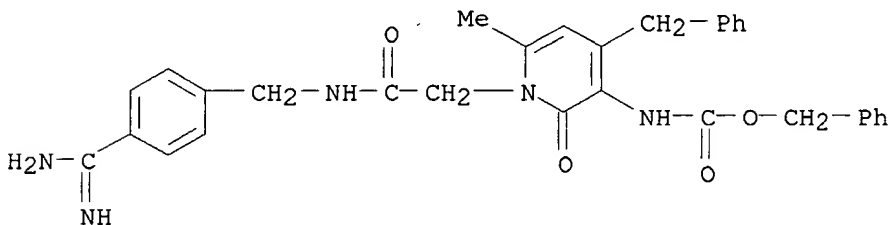
CN 1(2H)-Pyridineacetamide, 3-amino-N-[[4-(aminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273753-86-9 CAPLUS

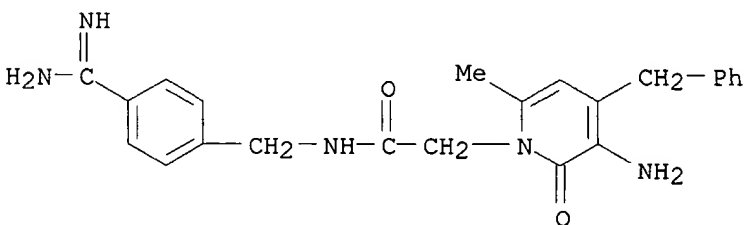
CN Carbamic acid, [1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-4-(phenylmethyl)-3-pyridinyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273753-87-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

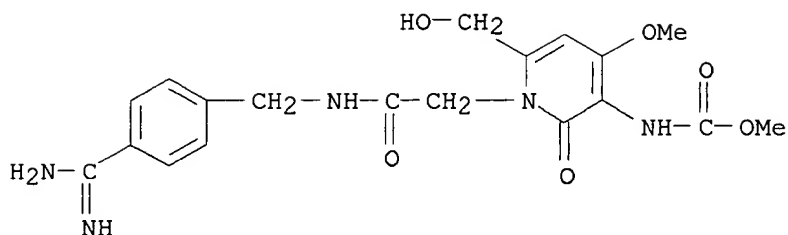


● HCl

RN 273753-88-1 CAPLUS

CN Carbamic acid, [1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-(hydroxymethyl)-4-methoxy-2-oxo-3-pyridinyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

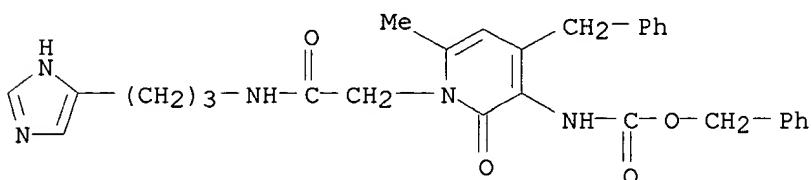
09/ 716,962



● HCl

RN 273753-89-2 CAPLUS

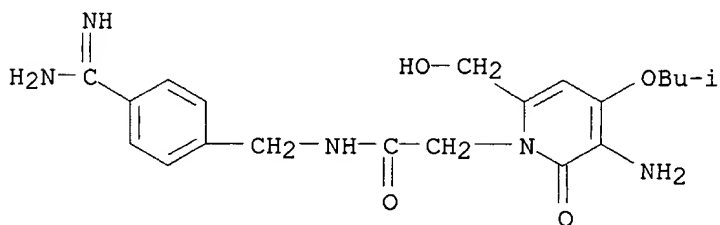
CN Carbamic acid, [1,2-dihydro-1-[2-[[3-(1H-imidazol-4-yl)propyl]amino]-2-oxoethyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-pyridinyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273753-90-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[[4-(aminoiminomethyl)phenyl]methyl]-6-(hydroxymethyl)-4-(2-methylpropoxy)-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



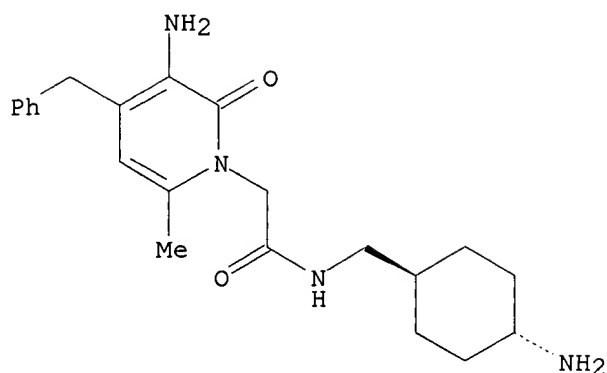
● HCl

RN 273753-91-6 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(trans-4-aminocyclohexyl)methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

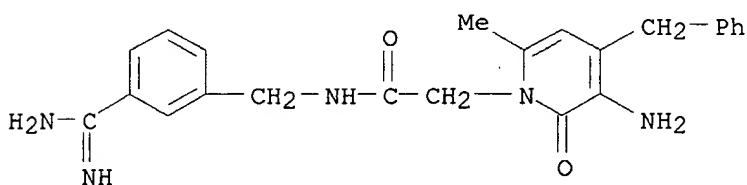
Relative stereochemistry.

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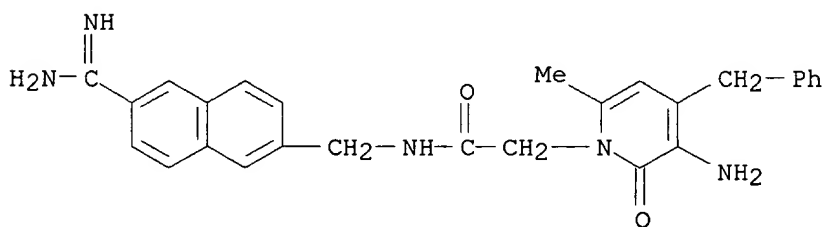
● HCl

RN 273753-92-7 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-[[3-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

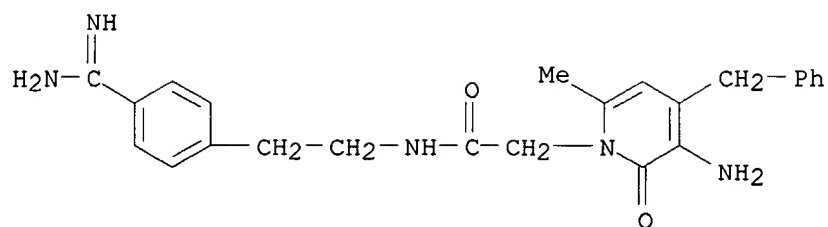
RN 273753-93-8 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-[[6-(aminoiminomethyl)-2-naphthalenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

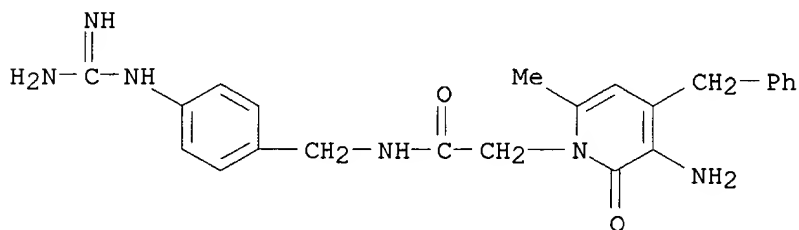
RN 273753-94-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-[[2-[4-(aminoiminomethyl)phenyl]ethyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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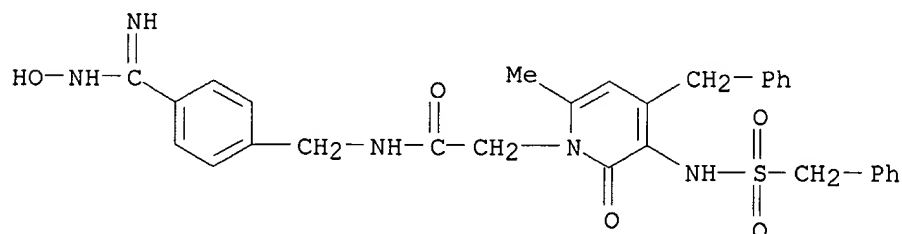
● HCl

RN 273753-95-0 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

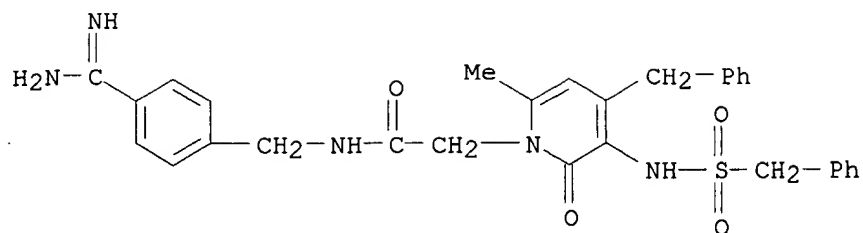
RN 273753-96-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[[ (phenylmethyl) sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273753-98-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[[ (phenylmethyl) sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

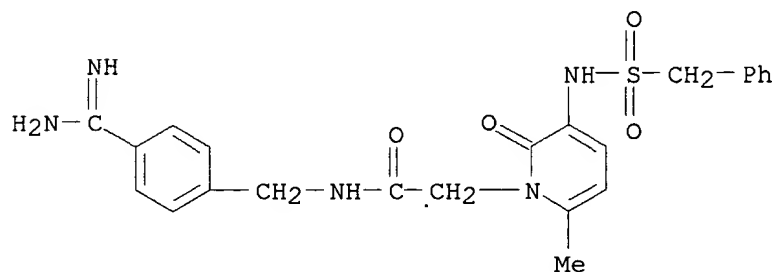
09/ 716,962



● HCl

RN 273753-99-4 CAPLUS

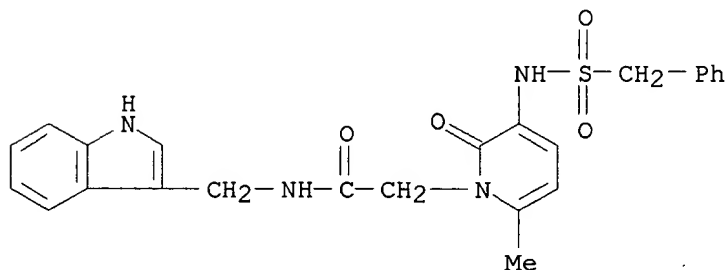
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-00-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-(1H-indol-3-ylmethyl)-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]- (9CI) (CA INDEX NAME)

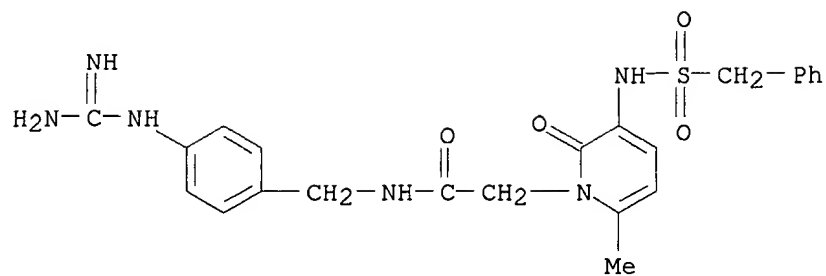


RN 273754-01-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl] amino]-, monohydrochloride (9CI) (CA INDEX NAME)

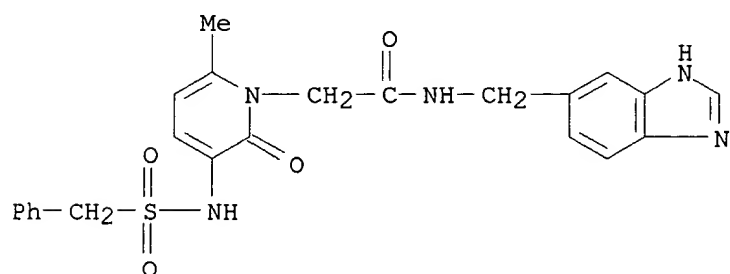


09/ 716,962



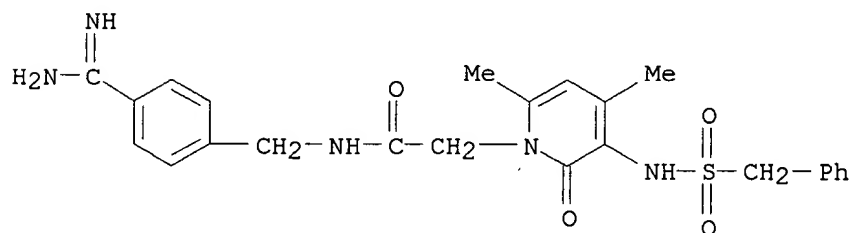
● HCl

RN 273754-02-2 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-(1H-benzimidazol-5-ylmethyl)-6-methyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

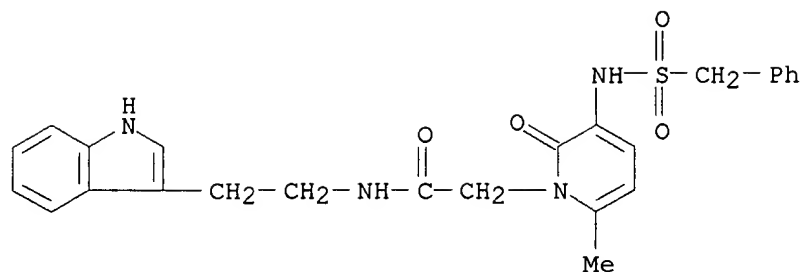
RN 273754-03-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4,6-dimethyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

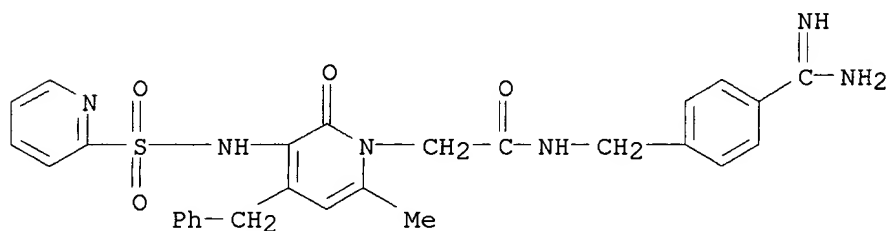
RN 273754-04-4 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[2-(1H-indol-3-yl)ethyl]-6-methyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

09/ 716,962



RN 273754-05-5 CAPLUS

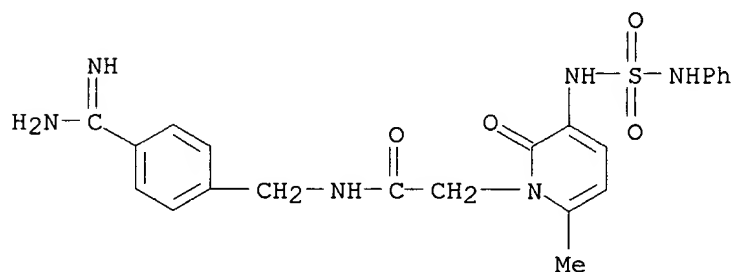
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[(2-pyridinylsulfonyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 273754-06-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-3-[[ (phenylamino) sulfonyl] amino]-, monohydrochloride (9CI) (CA INDEX NAME)

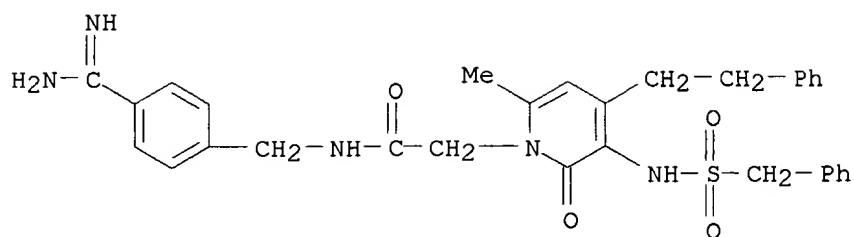


● HCl

RN 273754-07-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(2-phenylethyl)-3-[[ (phenylmethyl) sulfonyl] amino]-, monohydrochloride (9CI) (CA INDEX NAME)

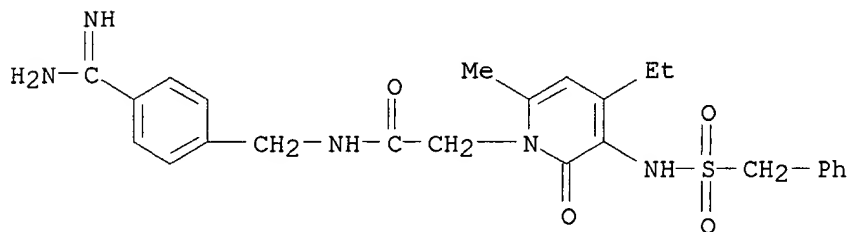
09/ 716,962



● HCl

RN 273754-08-8 CAPLUS

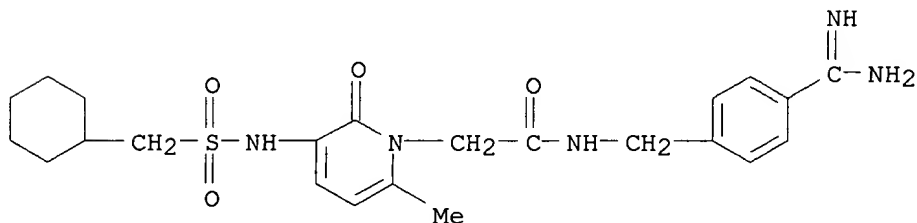
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-4-ethyl-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 273754-09-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[ (cyclohexylmethyl) sulfonyl]amino]-6-methyl-2-oxo-, monohydrochloride (9CI)  
(CA INDEX NAME)

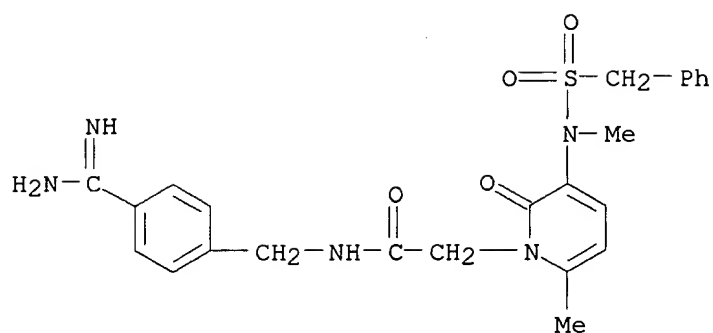


● HCl

RN 273754-10-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-3-[[methyl[(phenylmethyl) sulfonyl]amino]-2-oxo-, monohydrochloride (9CI)  
(CA INDEX NAME)

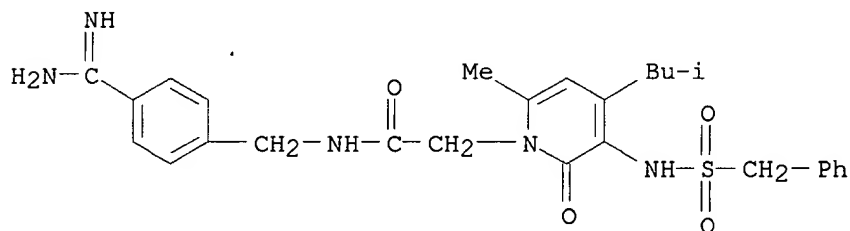
09/ 716,962



● HCl

RN 273754-11-3 CAPLUS

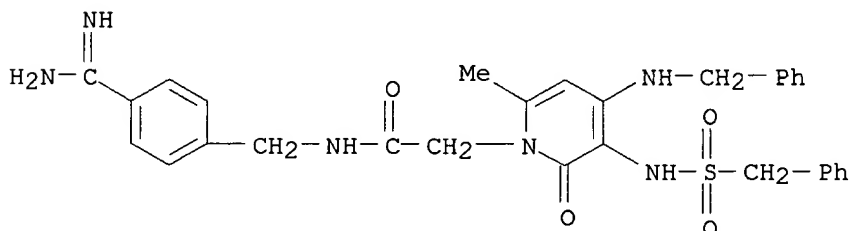
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-4-(2-methylpropyl)-2-oxo-3-[(phenylmethyl)sulfonyl]amino-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-12-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-[(phenylmethyl)amino]-3-[(phenylmethyl)sulfonyl]amino-, monohydrochloride (9CI) (CA INDEX NAME)



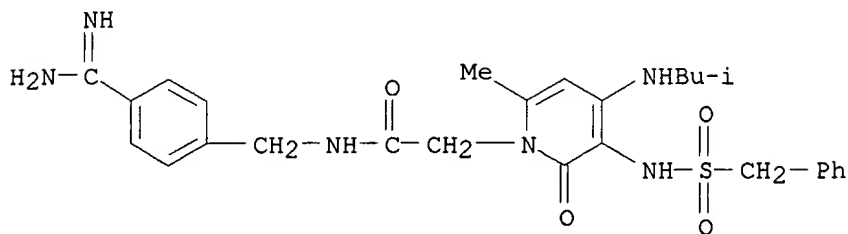
● HCl

RN 273754-13-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-4-[(2-methylpropyl)amino]-2-oxo-3-[(phenylmethyl)sulfonyl]amino-, monohydrochloride (9CI) (CA INDEX NAME)

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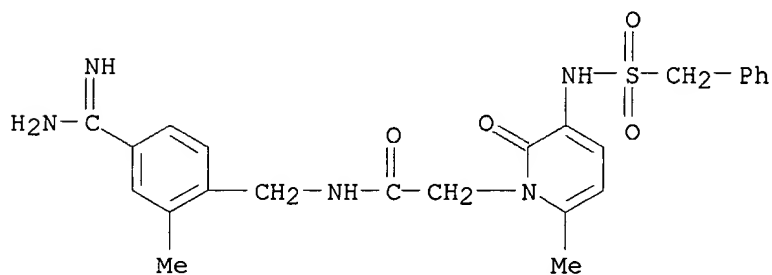
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-14-6 CAPLUS

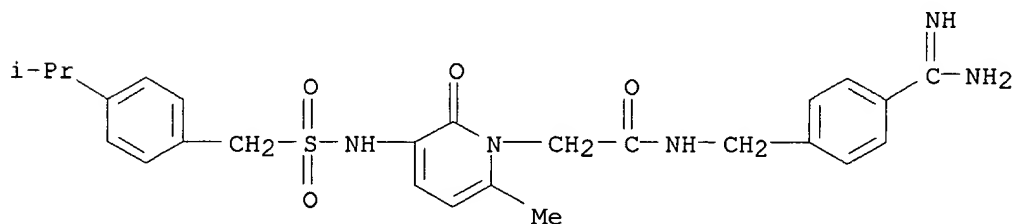
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-methylphenyl]methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 273754-15-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-3-[[[[4-(1-methylethyl)phenyl]methyl]sulfonyl]amino]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



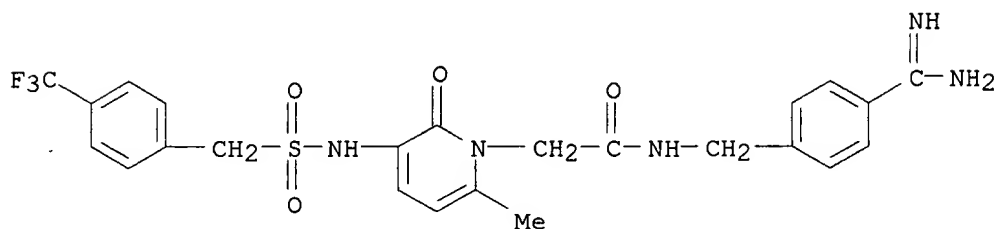
● HCl

RN 273754-16-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-

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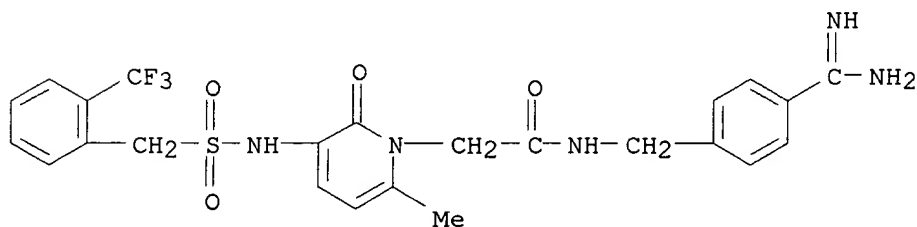
oxo-3-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-17-9 CAPLUS

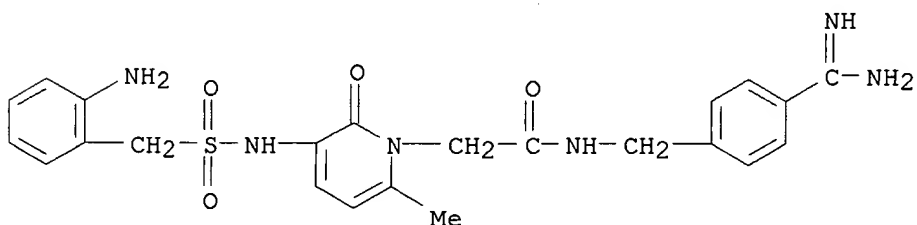
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-18-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(2-aminophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-, monohydrochloride  
(9CI) (CA INDEX NAME)



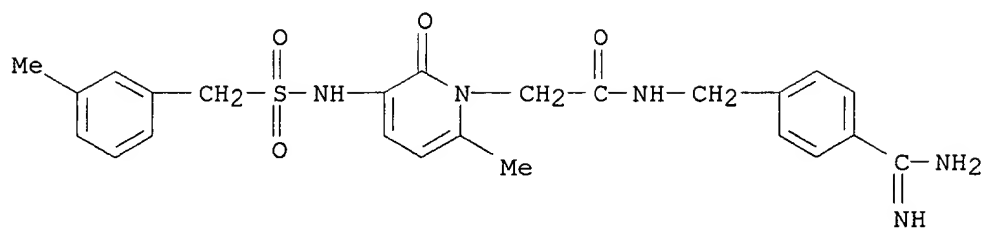
● HCl

RN 273754-19-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-3-[[[(3-methylphenyl)methyl]sulfonyl]amino]-2-oxo-, monohydrochloride (9CI)

09/ 716,962

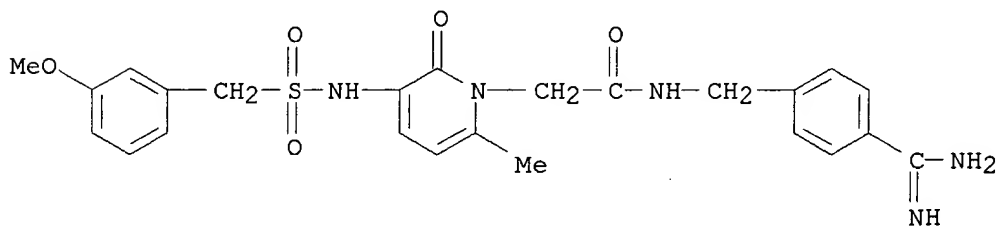
(CA INDEX NAME)



● HCl

RN 273754-20-4 CAPLUS

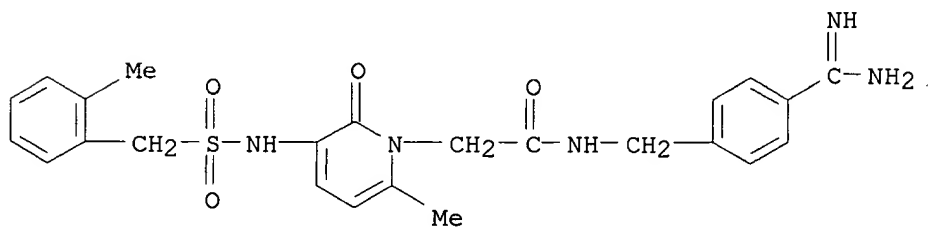
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(3-methoxyphenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-21-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-3-[[[(2-methylphenyl)methyl]sulfonyl]amino]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-23-7 CAPLUS

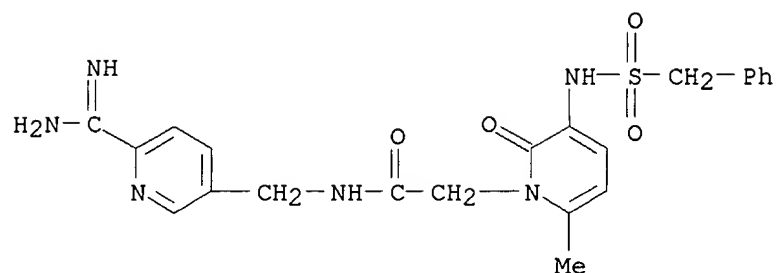
CN 1(2H)-Pyridineacetamide, N-[[6-(aminoiminomethyl)-3-pyridinyl]methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)

09/ 716,962

CM 1

CRN 273754-22-6

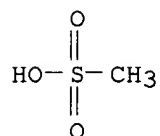
CMF C22 H24 N6 O4 S



CM 2

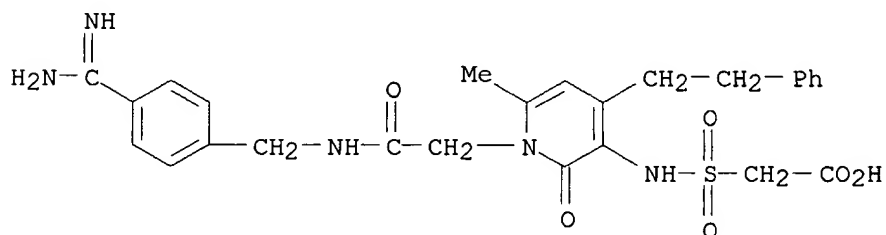
CRN 75-75-2

CMF C H4 O3 S



RN 273754-24-8 CAPLUS

CN Acetic acid, [[[1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-4-(2-phenylethyl)-3-pyridinyl]amino]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-26-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-aminophenyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)

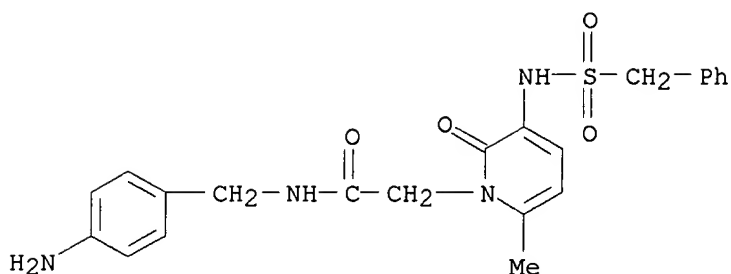
CM 1

CRN 273754-25-9



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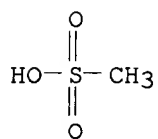
CMF C22 H24 N4 O4 S



CM 2

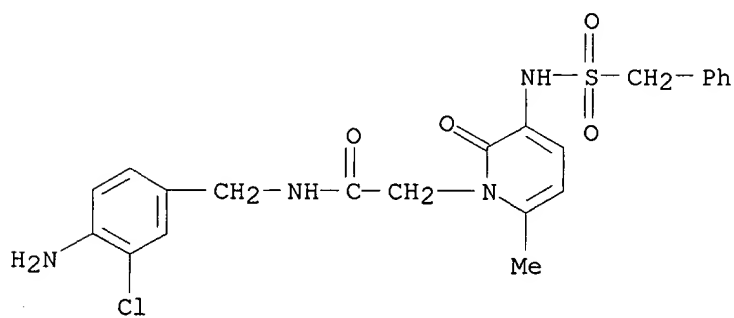
CRN 75-75-2

CMF C H4 O3 S



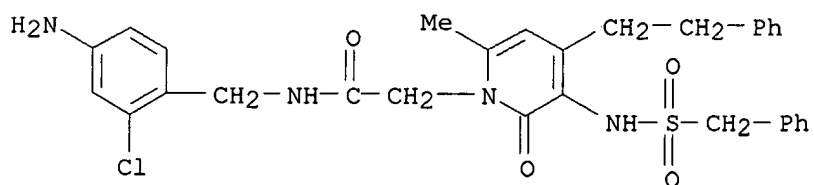
RN 273754-27-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-amino-3-chlorophenyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 273754-28-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-amino-2-chlorophenyl)methyl]-6-methyl-2-oxo-4-(2-phenylethyl)-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

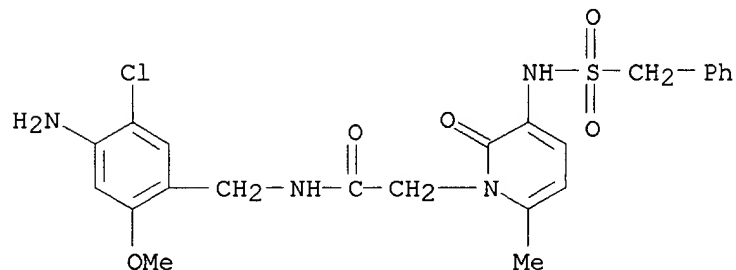
RN 273754-30-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-amino-5-chloro-2-methoxyphenyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 273754-29-3

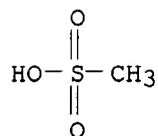
CMF C23 H25 Cl N4 O5 S



CM 2

CRN 75-75-2

CMF C H4 O3 S



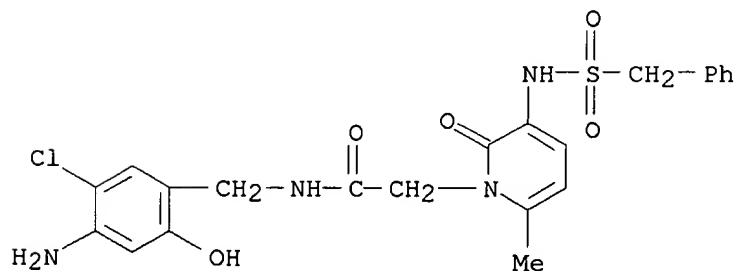
RN 273754-32-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-amino-5-chloro-2-hydroxyphenyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 273754-31-7

CMF C22 H23 Cl N4 O5 S

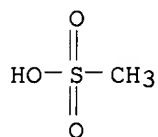


09/ 716,962

CM 2

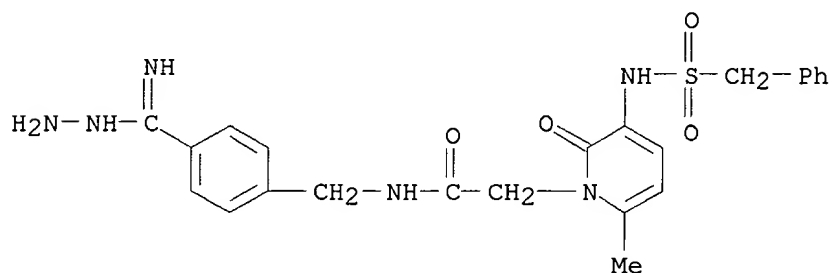
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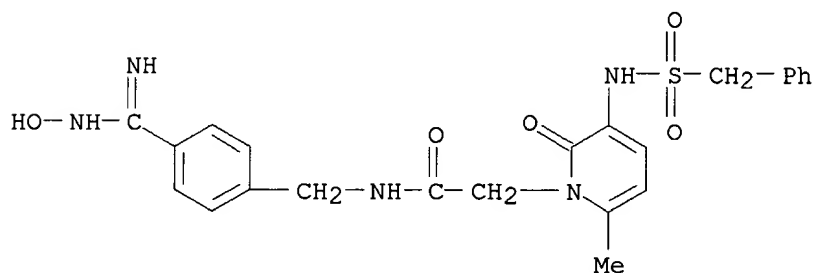
RN 273754-33-9 CAPLUS

CN Benzenecarboximidic acid, 4-[[[6-methyl-2-oxo-3-  
[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-,  
hydrazide (9CI) (CA INDEX NAME)



RN 273754-34-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-6-  
methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI)  
(CA INDEX NAME)

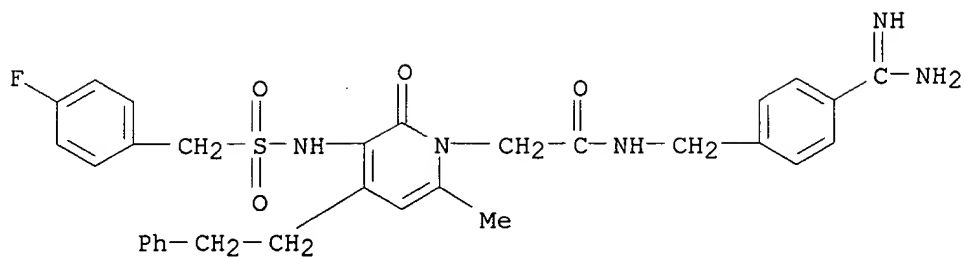


● HCl

RN 273754-35-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(4-  
fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-4-(2-phenylethyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

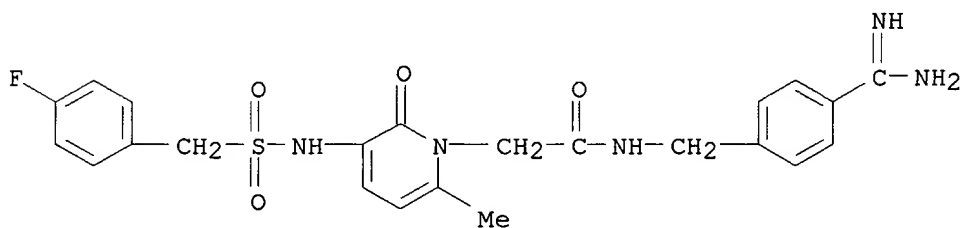
09/ 716,962



● HCl

RN 273754-36-2 CAPLUS

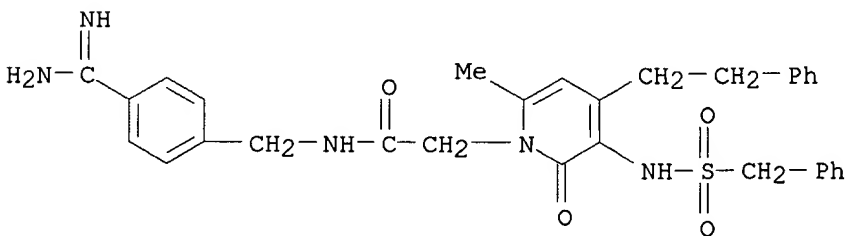
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[4-(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 273754-37-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(2-phenylethyl)-3-[[[4-(4-fluorophenyl)methyl]sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)



RN 273754-38-4 CAPLUS

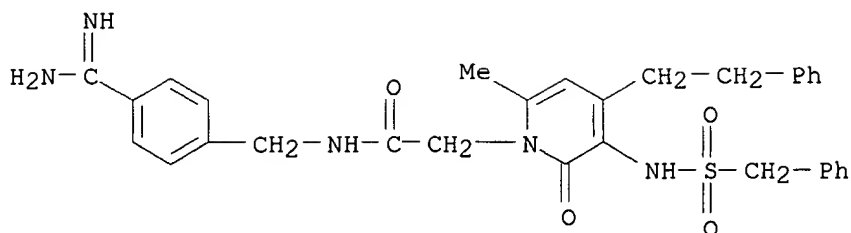
CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(2-phenylethyl)-3-[[[4-(4-fluorophenyl)methyl]sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 273754-37-3

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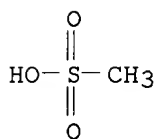
09/ 716,962



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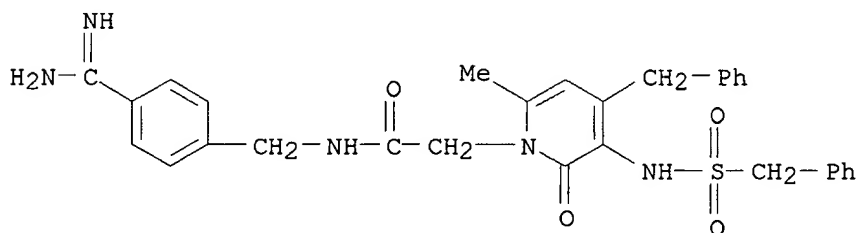
CRN 75-75-2

CMF C H4 O3 S



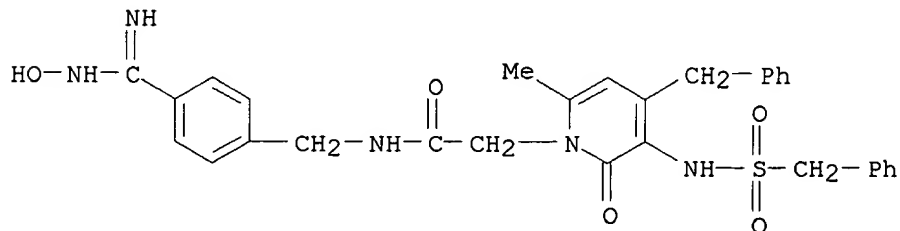
RN 273754-39-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 273754-40-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-6-methyl-2-oxo-4-(phenylmethyl)-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

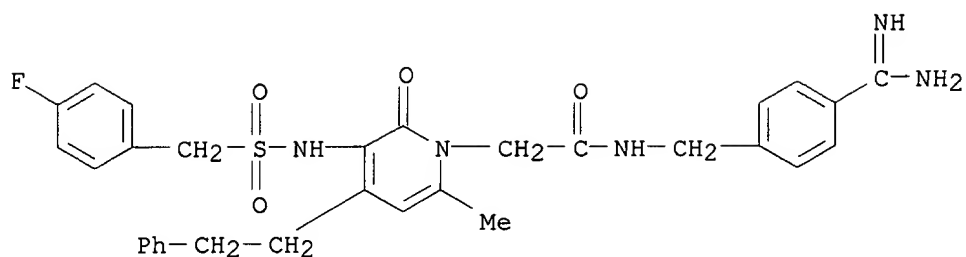


RN 273754-41-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo-4-(2-phenylethyl)-

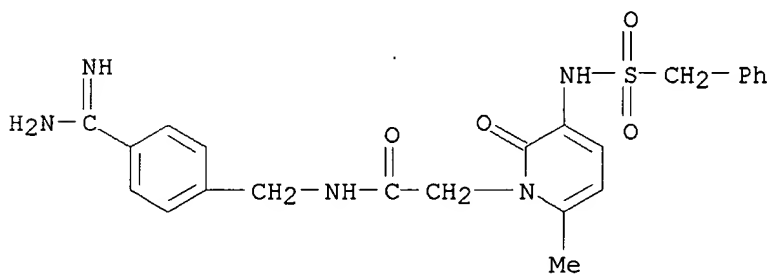
09/ 716,962

(9CI) (CA INDEX NAME)



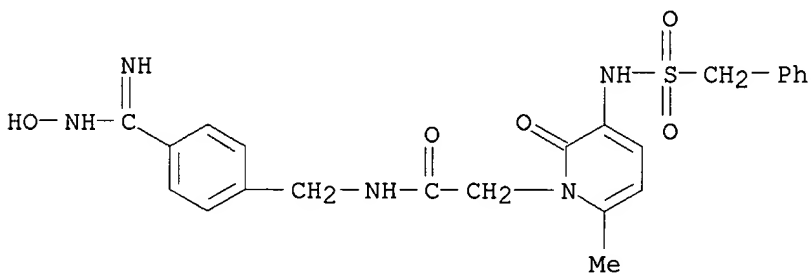
RN 273754-42-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 273754-43-1 CAPLUS

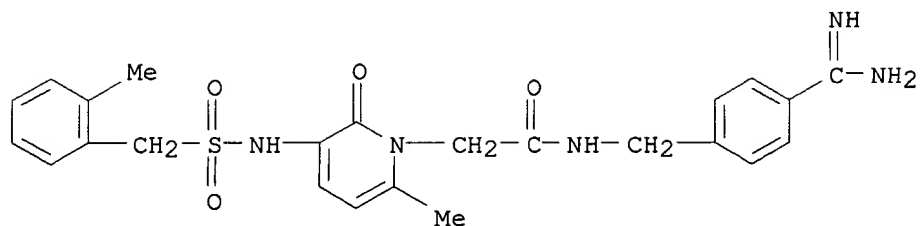
CN 1(2H)-Pyridineacetamide, N-[[4-[(hydroxyamino)iminomethyl]phenyl]methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 273754-45-3 CAPLUS

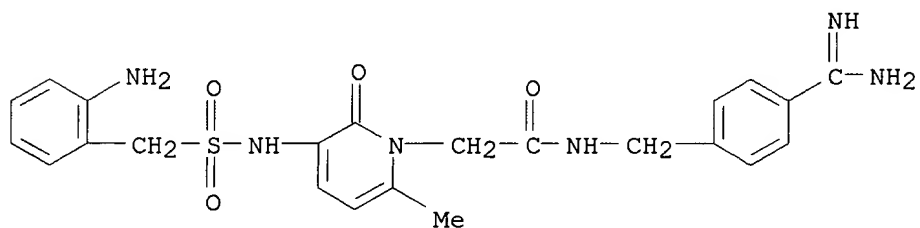
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09/ 716,962



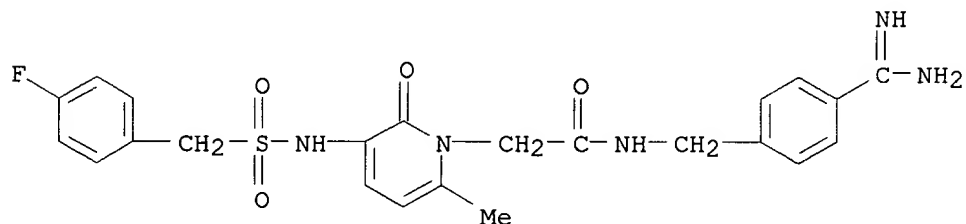
RN 273754-47-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(2-aminophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



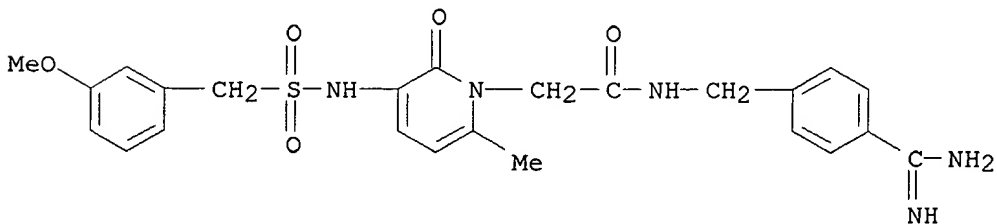
RN 273754-49-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



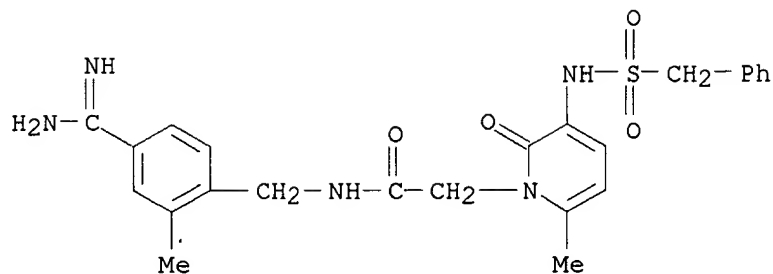
RN 273754-50-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-3-[[[(3-methoxyphenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 273754-52-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[4-(aminoiminomethyl)-2-methylphenyl]methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9  
 REFERENCE(S): (1) Brady, S; US 5792779 A 1998 CAPLUS  
 (2) Brand, S; US 5792779 A 1998 CAPLUS  
 (3) Coburn, C; WO 9831670 A 1998 CAPLUS  
 (4) Coburn Craig; WO 9831670 A 1998 CAPLUS  
 (5) Merck & Co Inc; WO 9603374 A 1996 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 2000:379668 CAPLUS  
 DOCUMENT NUMBER: 133:159793  
 TITLE: Bicyclic pyridones as potent, efficacious and orally bioavailable thrombin inhibitors  
 AUTHOR(S): Coburn, Craig A.; Rush, Diane M.; Williams, Peter D.; Homnick, Carl; Lyle, Elizabeth A.; Lewis, S. Dale; Lucas, Bobby J., Jr.; Muzio-Mower, Jillian M. Di; Juliano, Marylou; Krueger, Julie A.; Vastag, Kari; Chen, I-Wu; Vacca, Joseph P.  
 CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA  
 SOURCE: Bioorg. Med. Chem. Lett. (2000), 10(10), 1069-1072  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

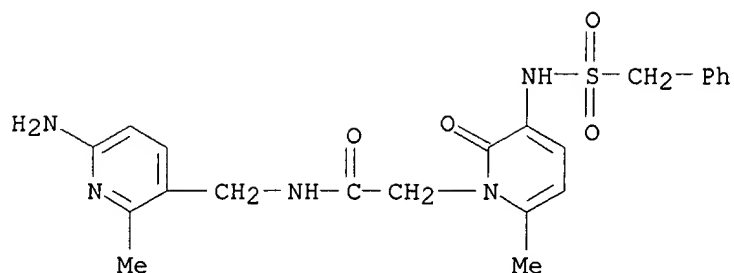
AB A new class of conformationally constrained thrombin inhibitors is described. These compds. contain a unique bicyclic pyridone scaffold which serves as a P3P2 dipeptide surrogate. The synthesis and antithrombotic activity of these inhibitors is reported.

IT **187162-39-6**  
 RL: BPR (Biological process); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)  
 (bicyclic pyridones as potent, efficacious and orally bioavailable thrombin inhibitors)

RN 187162-39-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)





## REFERENCE COUNT:

9

## REFERENCE(S):

- (1) Clive, D; J Heterocycl Chem 1987, V24, P509 CAPLUS
  - (2) Fevig, J; Ann Rep Med Chem 1999, V34, P81 CAPLUS
  - (3) Goldsack, N; Int J Biochem Cell Biol 1998, V30, P641 CAPLUS
  - (4) Hoffman, J; J Med Chem 1992, V35, P3784 CAPLUS
  - (5) Kurz, K; Thromb Res 1990, V60, P269 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:314695 CAPLUS

DOCUMENT NUMBER: 132:334473

TITLE: Preparation of (carbamoylmethyl)pyrazinones as thrombin inhibitors

INVENTOR(S): Sanderson, Philip E.; Lyle, Terry A.; Dorsey, Bruce D.; Stanton, Matthew G.; Staas, Donnette; Coburn, Craig; Naylor-Olsen, Adel M.; Morrisette, Matthew M.; Selnick, Harold G.; Nanterment, Philippe G.; Williams, Peter D.; Stauffer, Kenneth J.; Burgey, Christopher; Isaacs, Richard

PATENT ASSIGNEE(S): Merck &amp; Co., Inc., USA; Barrow, James, C.

SOURCE: PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

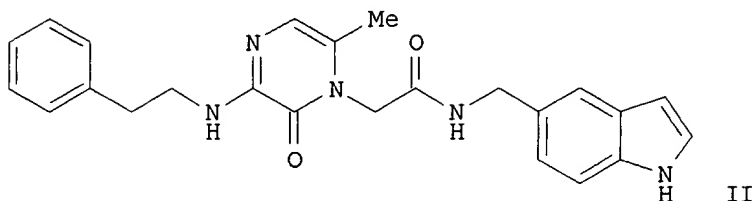
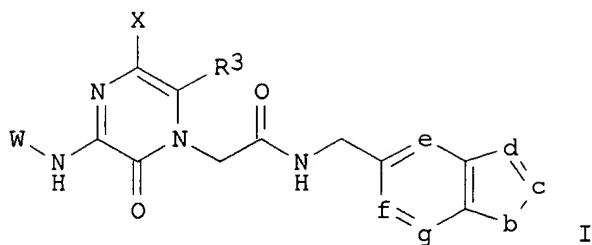
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026211	A1	20000511	WO 1999-US25203	19991028
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1124822	A1	20010822	EP 1999-958684	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-106417 P 19981030  
WO 1999-US25203 W 19991028

OTHER SOURCE(S): MARPAT 132:334473

GI



AB The (carbamoylmethyl)pyrazinones I [wherein b = NY1 or O; c = CY2 or N; d = CY3 or N; e-g = CY4 or N; Y1 and Y2 = independently H, (cyclo)alkyl, halogen, NH2, OH, or alkoxy; Y3 = H, (cyclo)alkyl, halogen, CN, NH2, or alkoxy; Y4 = independently H, alkyl, or halogen; W = H, R1, R1O2C, R1CO, R1SO2, R1(CH2)nNHCO, or (R1)2CH(CH2)nNHCO; n = 0-4; R1 = H, (un)substituted (cycloalkyl)alkyl, alkoxyalkyl, difluoroalkyl, carboxyalkyl, Ph, naphthyl, heterocyclyl, etc.; X = H or halogen; R3 = H, (cyclo)alkyl, halogen, (un)substituted Ph, acyl, heterocyclyl, CN, SMe, SOMe, or alkylsulfonyl] and its analogs were prepd. I inhibited human .alpha.-thrombin and are expected to be useful as blood platelet aggregation inhibitors, thrombus formation inhibitors, **anticoagulants**, and **thrombolytics**. Thus, 3-(2-phenylethylamino)-6-methyl-1-carboxymethylpyrazinone was amidated by 5-aminomethylindole in DMF in the presence of HOBT, EDC, and TEA to yield the (indolylmethylcarbamoylmethyl)pyrazinone II, which showed thrombin inhibitory activity with a Ki of .ltoreq. 20 nM.

IT 267874-59-9P 267874-68-0P 267874-70-4P  
267874-72-6P 267874-74-8P

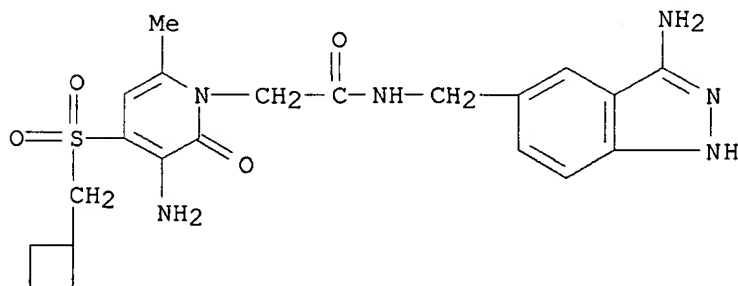
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylmethylcarbamoylmethyl)pyrazinones as thrombin inhibitors)

RN 267874-59-9 CAPLUS

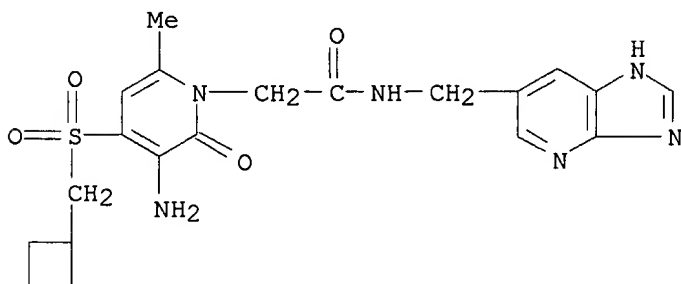
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(3-amino-1H-indazol-5-yl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



09/ 716,962

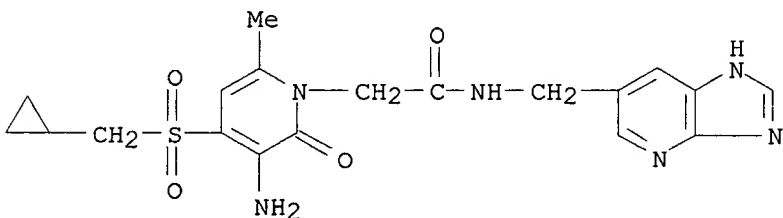
RN 267874-68-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-4-[(cyclobutylmethyl)sulfonyl]-N-(1H-imidazo[4,5-b]pyridin-6-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



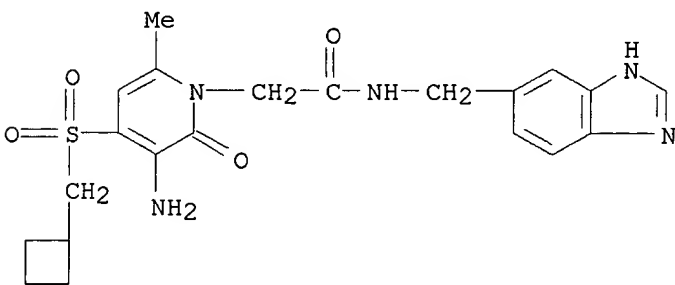
RN 267874-70-4 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-4-[(cyclopropylmethyl)sulfonyl]-N-(1H-imidazo[4,5-b]pyridin-6-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



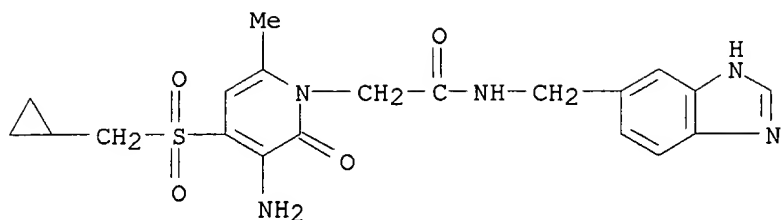
RN 267874-72-6 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-(1H-benzimidazol-5-ylmethyl)-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 267874-74-8 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-(1H-benzimidazol-5-ylmethyl)-4-[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



IT 267874-60-2P 267874-69-1P 267874-71-5P

267874-73-7P 267874-75-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(target compd.; prepn. of (heterocyclymethylcarbamoylmethyl)pyrazinone  
s as thrombin inhibitors)

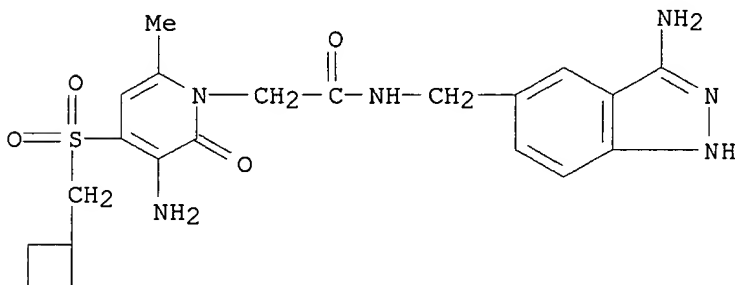
RN 267874-60-2 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(3-amino-1H-indazol-5-yl)methyl]-4-  
[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

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CRN 267874-59-9

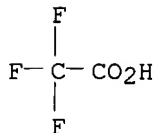
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



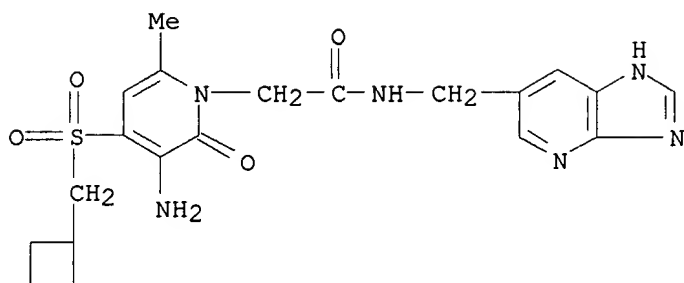
RN 267874-69-1 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-4-[(cyclobutylmethyl)sulfonyl]-N-(1H-  
imidazo[4,5-b]pyridin-6-ylmethyl)-6-methyl-2-oxo-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

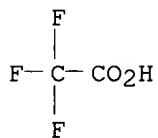
09/ 716,962

CRN 267874-68-0  
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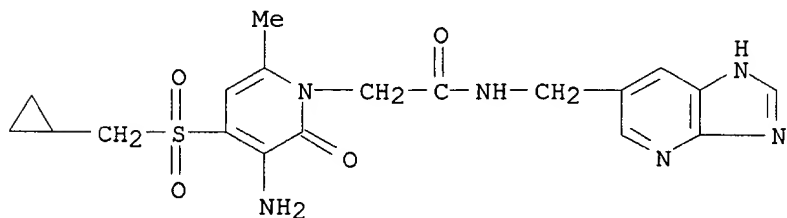
CRN 76-05-1  
CMF C2 H F3 O2



RN 267874-71-5 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-4-[(cyclopropylmethyl)sulfonyl]-N-(1H-imidazo[4,5-b]pyridin-6-ylmethyl)-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

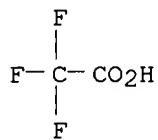
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CMF C19 H22 N6 O4 S



CM 2

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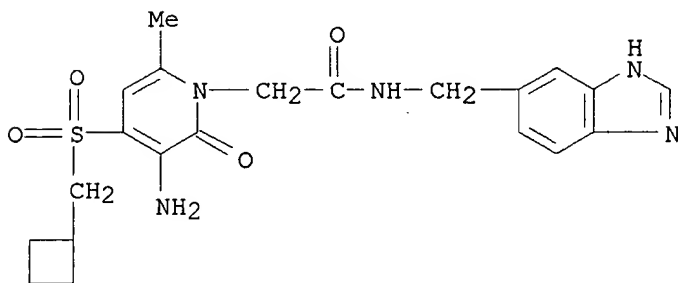
09/ 716,962



RN 267874-73-7 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-(1H-benzimidazol-5-ylmethyl)-4-  
[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

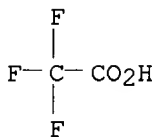
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CMF C21 H25 N5 O4 S



CM 2

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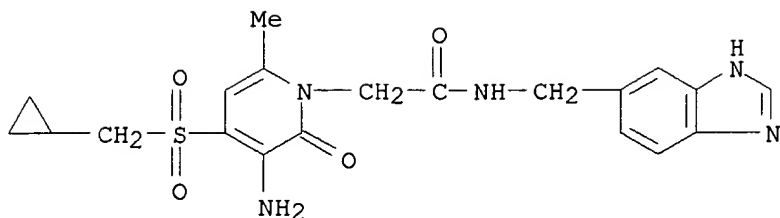


RN 267874-75-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, 3-amino-N-(1H-benzimidazol-5-ylmethyl)-4-  
[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

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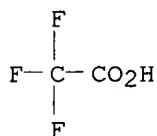
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT:

2

REFERENCE(S):

(1) Duggan; US 5981546 A 1999 CAPLUS

(2) Kitazawa; WO 9843956 A1 1998 CAPLUS

L5 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:227653 CAPLUS

DOCUMENT NUMBER: 132:251163

TITLE: Preparation of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors

INVENTOR(S): Sanderson, Philip E.; Cutrona, Kellie

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018762	A1	20000406	WO 1999-US22160	19990924
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9961613	A1	20000417	AU 1999-61613	19990924
EP 1117660	A1	20010725	EP 1999-948436	19990924
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6117888	A	20000912	US 1999-407830	19990928
PRIORITY APPLN. INFO.:			US 1998-102021	P 19980928

OTHER SOURCE(S):  
GI

MARPAT 132:251163

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

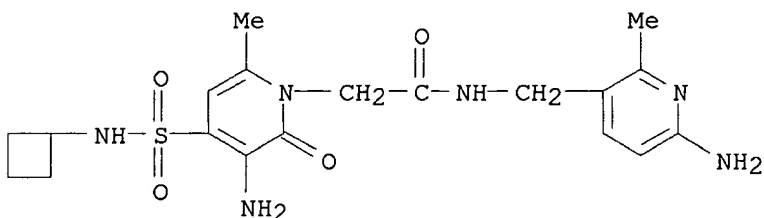
AB The title compds. [I or II; X = N, CH; R1, R2 = H, (un)substituted Ph, naphthyl, etc.; NR1R2 = 5-6 membered ring contg. 1 N atom; R3 = H, (un)substituted Ph, naphthyl, etc.; R4 = H, alkyl, cycloalkyl, CF3], useful in inhibiting thrombin and assocd. **thrombotic** occlusions, were prepd. and formulated. E.g., a multi-step synthesis of III.HCl was given.

IT **262616-71-7P 262617-07-2P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors)

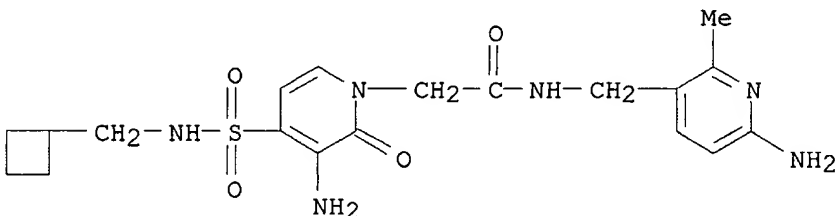
RN 262616-71-7 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 262617-07-2 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[[(cyclobutylmethyl)amino]sulfonyl]-2-oxo- (9CI) (CA INDEX NAME)



IT **262616-73-9P 262616-75-1P 262616-76-2P**

**262616-77-3P 262616-80-8P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

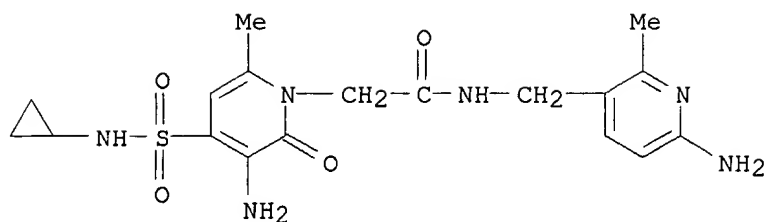
(prepn. of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors)

RN 262616-73-9 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



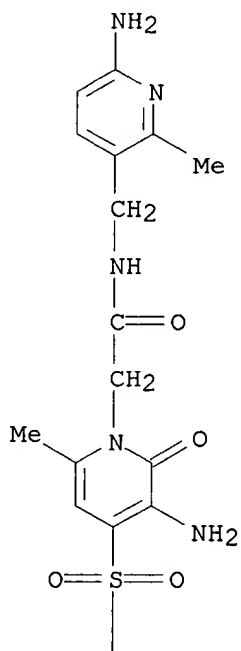
09/ 716,962



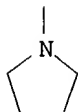
RN 262616-75-1 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(1-pyrrolidinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



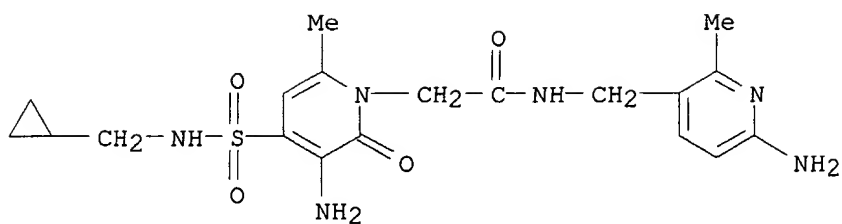
● HCl

RN 262616-76-2 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[[(cyclopropylmethyl)amino]sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX

09/ 716,962

NAME)



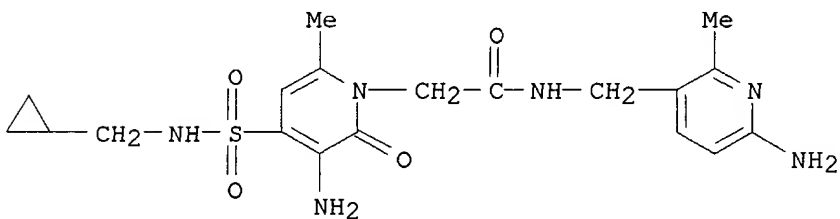
RN 262616-77-3 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylmethyl)amino]sulfonyl-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 262616-76-2

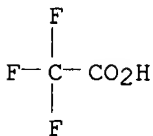
CMF C19 H26 N6 O4 S



CM 2

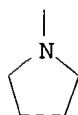
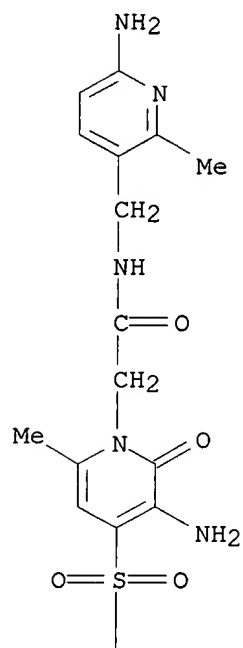
CRN 76-05-1

CMF C2 H F3 O2



RN 262616-80-8 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(1-pyrrolidinylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1  
 REFERENCE(S): (1) Sanderson; 'Preparation of aminopyridones as thrombin inhibitors,' abstract 1998

L5 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 2000:137279 CAPLUS  
 DOCUMENT NUMBER: 132:180485  
 TITLE: Preparation of heterocyclic compounds and their use as antithrombotic agents  
 INVENTOR(S): Black, Julian; Brown, Alan Daniel; Gaultier, Erizabet Collet Luise; Smith, Julian Duncan; Mckelloy, Andrew Braian  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Jpn. Kokai Tokkyo Koho, 95 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

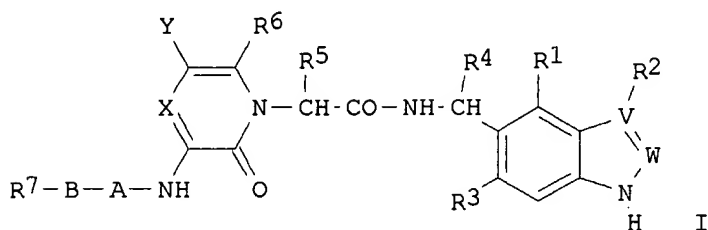
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063380	A2	20000229	JP 1999-229491	19990813
EP 997474	A1	20000503	EP 1999-305978	19990728

09/ 716,962

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

BR 9903628 A 20000926 BR 1999-3628 19990816  
PRIORITY APPLN. INFO.: GB 1998-17819 A 19980814  
GB 1999-801 A 19990114

OTHER SOURCE(S): MARPAT 132:180485  
GI



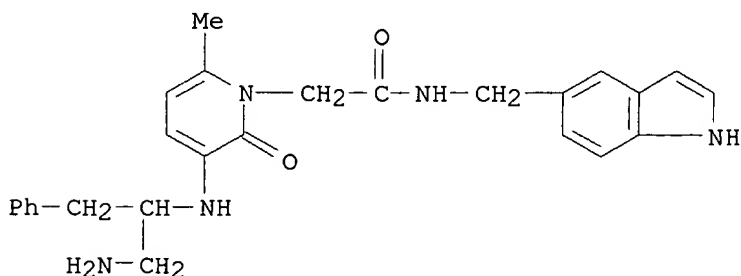
AB Heterocyclic compds. I [R1, R3 = H, C1-4 (perfluoro)alkyl, C1-4 alkoxy, F, Cl; R2 = H, Me, CF3; R4, R5 = H, C1-4 alkyl; R6 = H, F, Cl, C1-6 alkyl, etc.; R5R6 maybe linked to form C2-3 linking group; Y = H, Cl, F, Br, Me, CF3; V = C, N; W, X = CH, CF, CCl, N; A = (CH2)pCR8R9(CH2)q; R8, R9 = H, N-contg. heterocyclyl, etc.; R8R9 may form N-contg. 4- to 6-membered heterocyclyl; p, q = 0-2; B = Ph, 5- to 6-membered heterocyclyl contg. .ltoreq.2 heteroatoms; R7 = H, C1-6 (perfluoro)alkyl, C1-6 (perfluoro)alkoxy, F, Cl, etc.] and their pharmacol. acceptable salts are useful for treatment or prevention of deep-vein **thrombosis**, disseminated intravascular **coagulation**, transient ischemic attack, etc. Catalytic hydrogenation of I [R1-R5, Y = H, R6 = Me, V = C, W, X = CH, R7BA = PhCH2CH(CH2NH2), Z = PhCH2O2C] over Pd/C gave I [R1-R5, Y = H, R6 = Me, V = C, W, X = CH, R7BA = PhCH2CH(CH2NH2)], which inhibited thrombin with Ki of < 3 .times. 10<sup>-7</sup> in vitro.

IT **259537-44-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterocyclic compds. as antithrombotic agents)

RN 259537-44-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-[[1-(aminomethyl)-2-phenylethyl]amino]-N-(1H-indol-5-ylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:133678 CAPLUS

DOCUMENT NUMBER: 132:180562

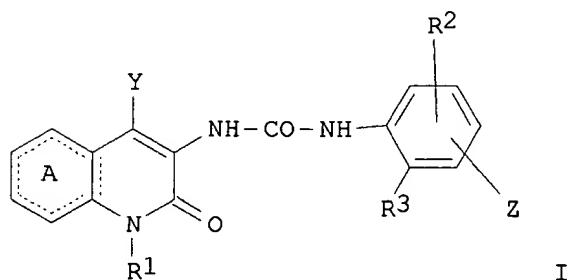
TITLE: Preparation of naphthyridine derivatives as

INVENTOR(S): acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors  
 Muraoka, Masami; Ban, Hitoshi; Ohashi, Naohito  
 PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009505	A1	20000224	WO 1999-JP4257	19990805
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9950659 A1 20000306 AU 1999-50659 19990805 EP 1104763 A1 20010606 EP 1999-935084 19990805 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1998-226685 A 19980811  
 WO 1999-JP4257 W 19990805

OTHER SOURCE(S): MARPAT 132:180562  
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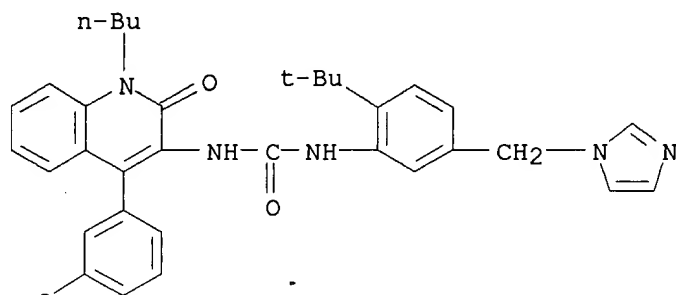
AB Title compds. I [ring A represents an optionally substituted pyridine ring; Y represents optionally substituted alkyl, etc.; R1 represents hydrogen, optionally substituted alkyl, etc.; R2 represents hydrogen or lower alkyl; R3 represents lower alkyl; and Z represents: (1) D1Q (wherein D1 represents a bond, divalent C1-8 hydrocarbyl, etc.; and Q represents hydroxy, carboxy, etc.); or (2) D2MEW (wherein D2 represents a bond, a divalent C1-8 hydrocarbyl, etc.; M represents oxygen, sulfur, etc.; E represents a bond, divalent C1-8 hydrocarbyl, etc.; and W represents hydroxy, carboxy, etc.)] are prepd. and as remedies for hyperlipemia and arteriosclerosis. The title compd. N-[1-butyl-4-(3-methoxyphenyl)-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-tert-butyl-5-(morpholinomethyl)phenyl]urea hydrochloride in vitro at 10<sup>-6</sup> M gave 98% inhibition of ACAT.

IT 259224-86-7P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);  
 SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of naphthyridine derivs. as ACAT inhibitors)

RN 259224-86-7 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-2-oxo-4-[3-[3-(phenylmethoxy)propoxy]phenyl]-  
 3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-  
 (9CI) (CA INDEX NAME)



Ph-CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>3</sub>-O-

IT 259224-29-8P 259224-30-1P 259224-31-2P  
 259224-32-3P 259224-33-4P 259224-34-5P  
 259224-35-6P 259224-36-7P 259224-37-8P  
 259224-38-9P 259224-39-0P 259224-40-3P  
 259224-41-4P 259224-42-5P 259224-43-6P  
 259224-44-7P 259224-45-8P 259224-46-9P  
 259224-47-0P 259224-48-1P 259224-49-2P  
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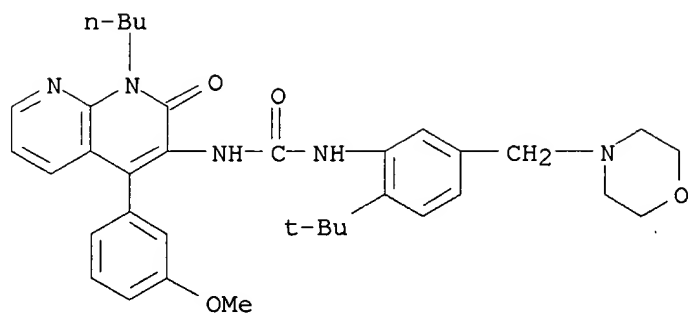
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
 preparation); **THU (Therapeutic use)**; BIOL (Biological study);  
 PREP (Preparation); USES (Uses)

(prepn. of naphthyridine derivs. as ACAT inhibitors)

RN 259224-29-8 CAPLUS

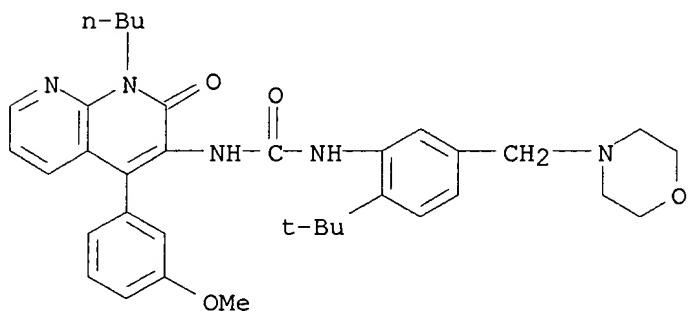
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-  
 yl]-N'-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA  
 INDEX NAME)

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RN 259224-30-1 CAPLUS

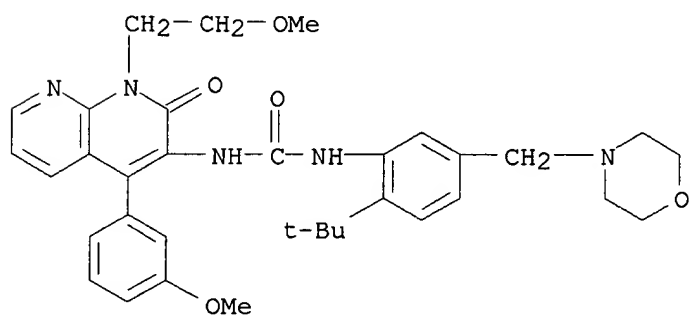
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● HCl

RN 259224-31-2 CAPLUS

CN Urea, N-[1,2-dihydro-1-(2-methoxyethyl)-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

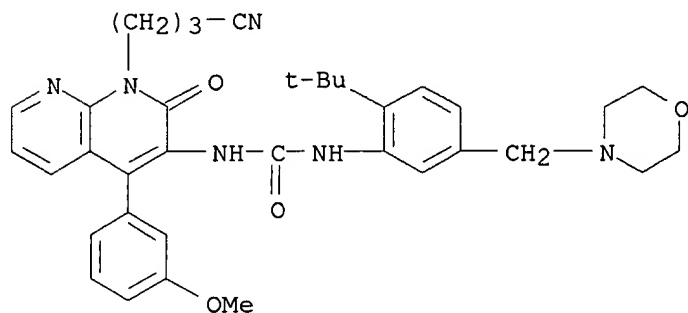


● HCl

RN 259224-32-3 CAPLUS

09/ 716,962

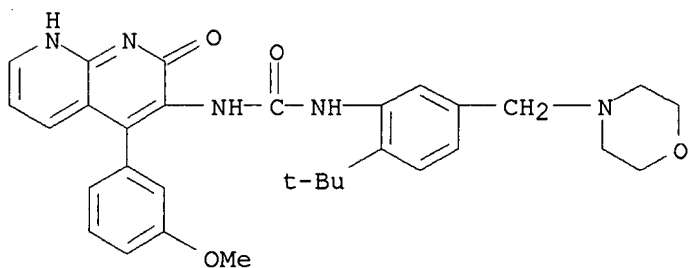
CN Urea, N-[1-(3-cyanopropyl)-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-33-4 CAPLUS

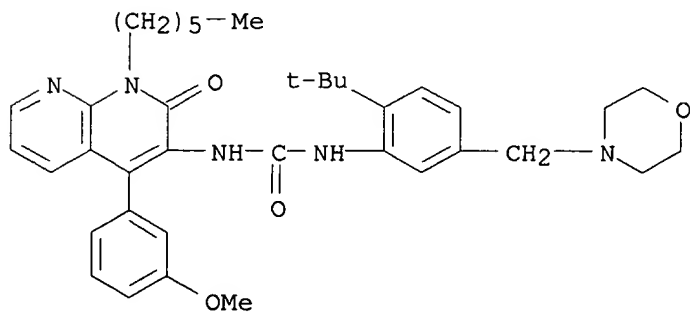
CN Urea, N-[1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-34-5 CAPLUS

CN Urea, N-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-N'-[1-hexyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]- (9CI) (CA INDEX NAME)

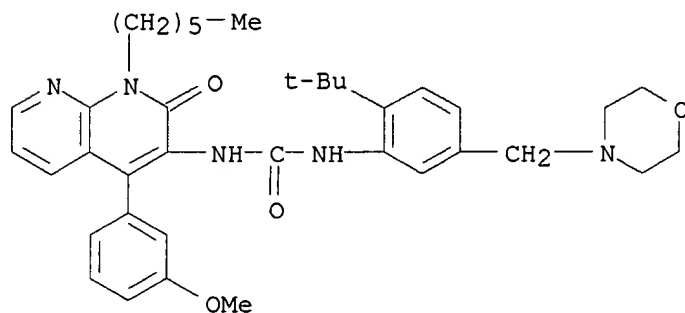




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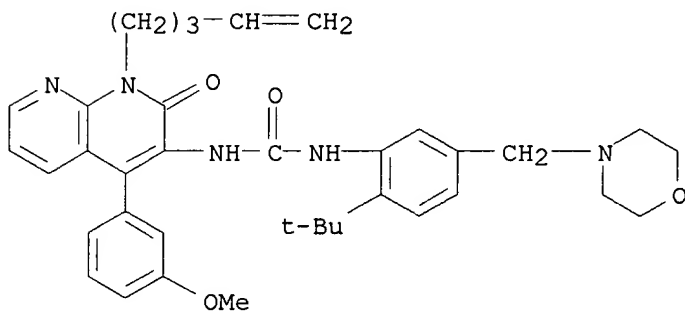
CN Urea, N-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-N'-[1-hexyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-36-7 CAPLUS

CN Urea, N-[1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1-(4-pentenyl)-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(4-morpholinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

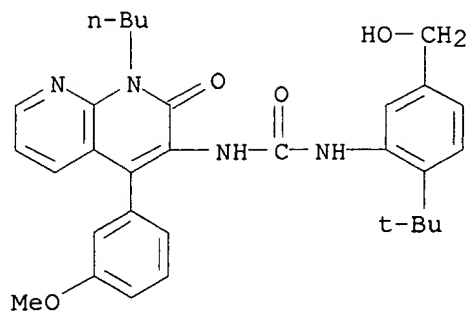


● HCl

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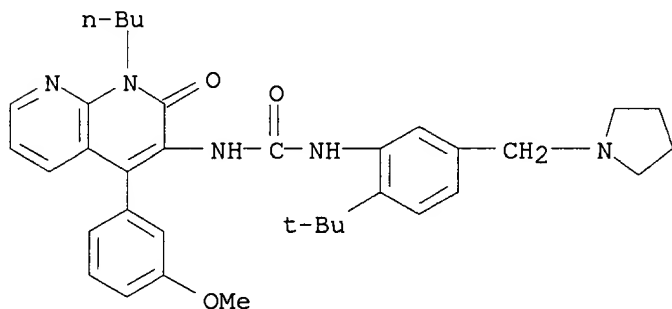
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 716,962



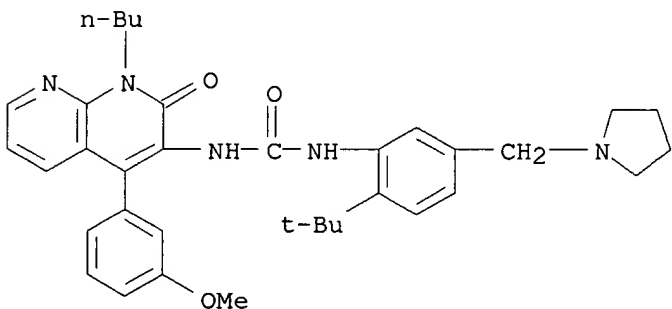
RN 259224-38-9 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-39-0 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1-pyrrolidinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

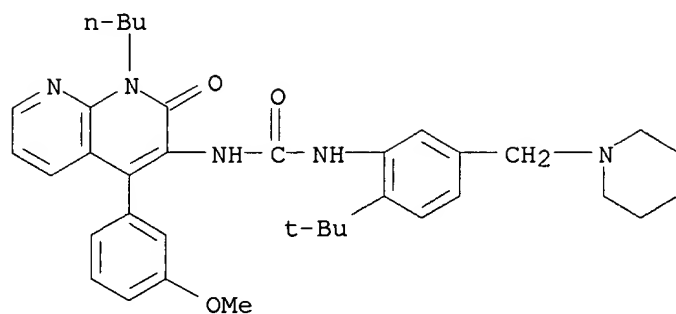


● HCl

RN 259224-40-3 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1-piperidinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

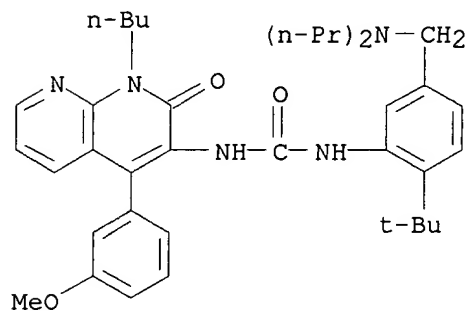
09/ 716,962



● HCl

RN 259224-41-4 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(dipropylamino)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



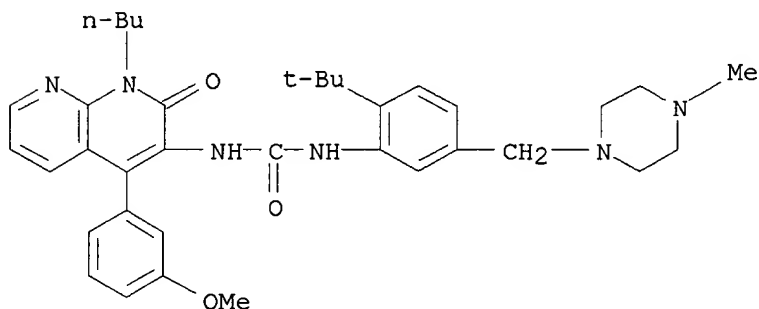
● HCl

RN 259224-42-5 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[5-[(diethylamino)methyl]-2-(1,1-dimethylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

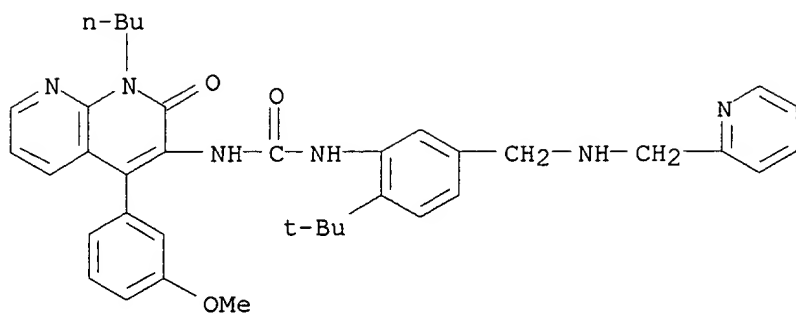
CCCC1=CNC(=O)NC2=CC=C(C=C2)C3=CC=C(C=C3)C4=CC(OC)=CC=C4

RN 259224-43-6 CAPLUS  
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(4-methyl-1-piperazinyl)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN	259224-44-7	CAPLUS
CN	Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[[2-(pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)	

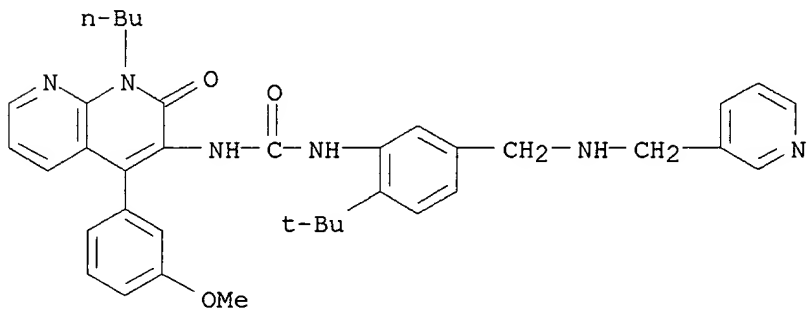
09/ 716,962



● HCl

RN 259224-45-8 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(3-pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

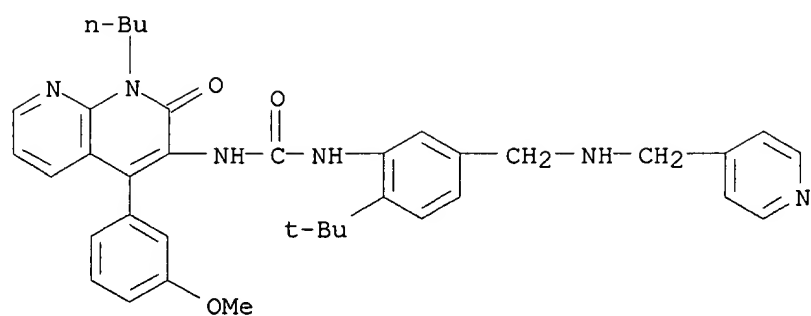


● HCl

RN 259224-46-9 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(4-pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

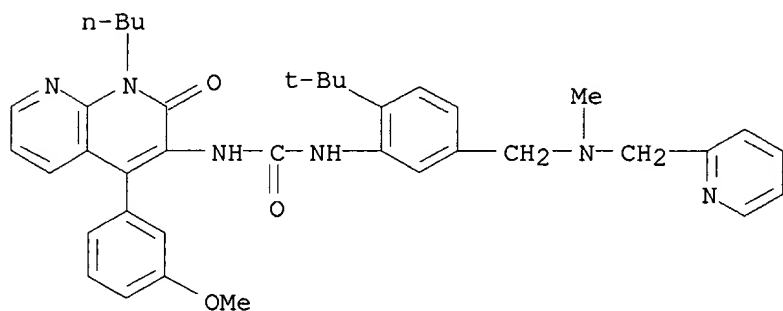
09/ 716,962



● HCl

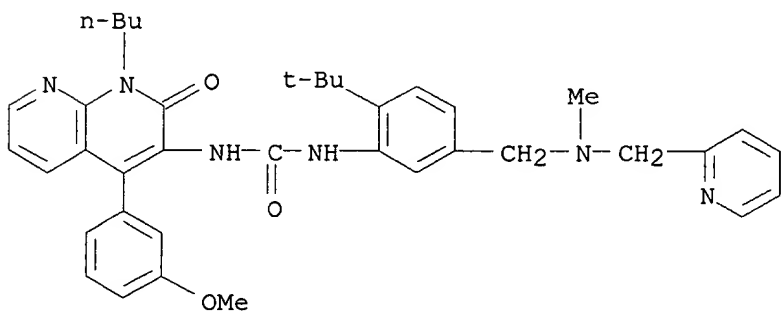
RN 259224-47-0 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[[methyl(2-pyridinylmethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 259224-48-1 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[[methyl(2-pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

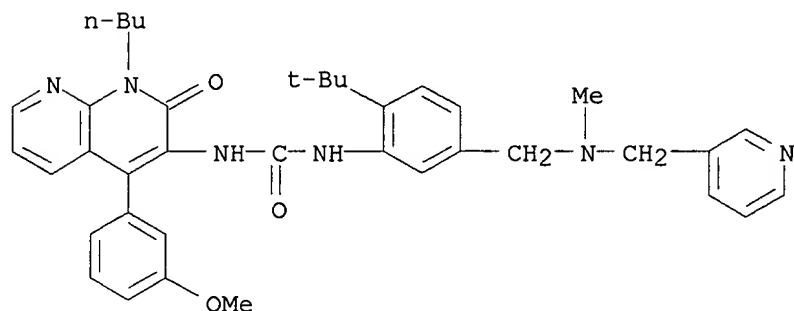


● HCl

RN 259224-49-2 CAPLUS

09/ 716,962

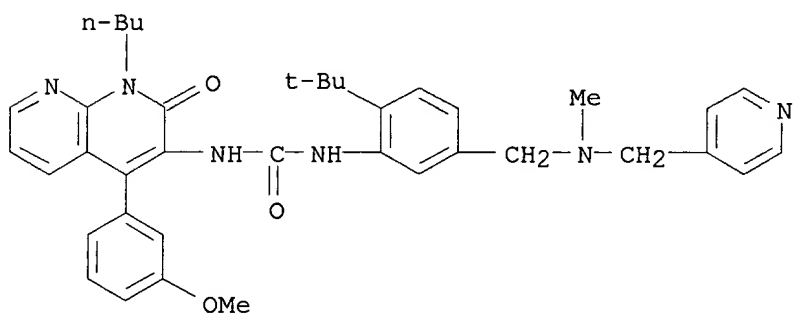
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[[methyl(3-pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-50-5 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[[methyl(4-pyridinylmethyl)amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

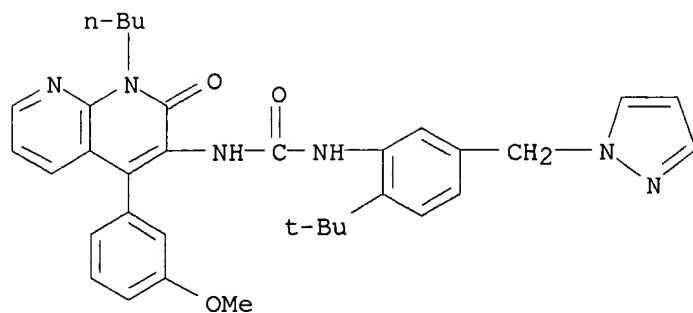


● HCl

RN 259224-51-6 CAPLUS

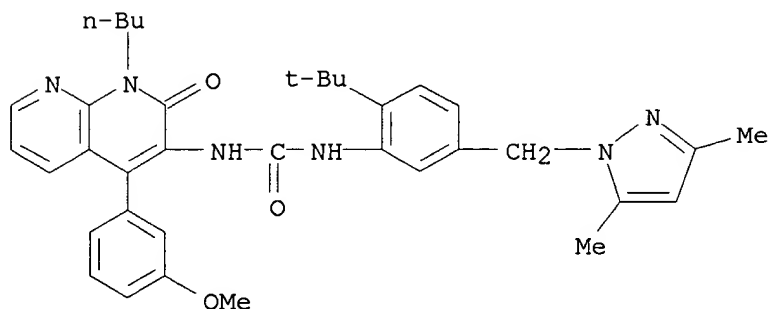
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1H-pyrazol-1-ylmethyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 716,962



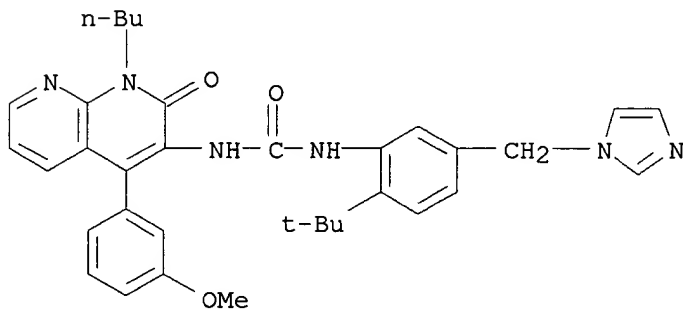
RN 259224-52-7 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(3,5-dimethyl-1H-pyrazol-1-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 259224-53-8 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



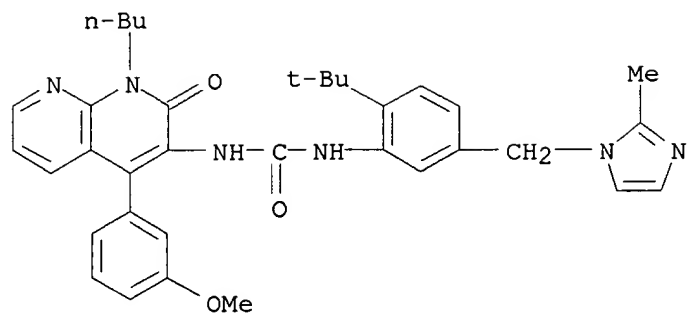
● HCl

RN 259224-54-9 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-[(2-methyl-1H-imidazol-1-yl)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



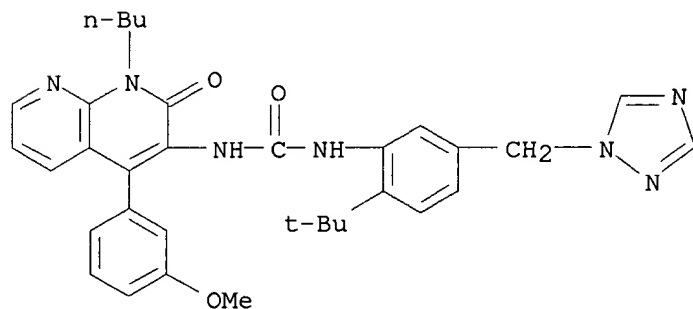
09/ 716,962



● HCl

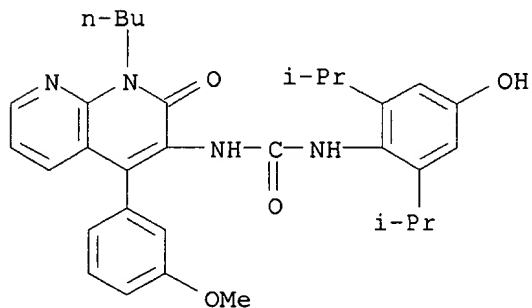
RN 259224-55-0 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1H-1,2,4-triazol-1-ylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-56-1 CAPLUS

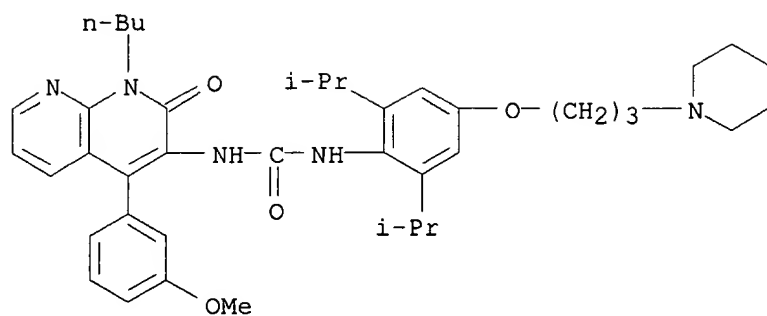
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-57-2 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[3-(1-piperidinyl)propoxy]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

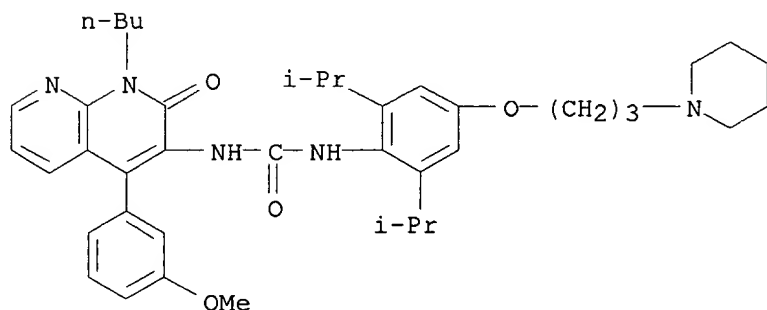
09/ 716,962



● HCl

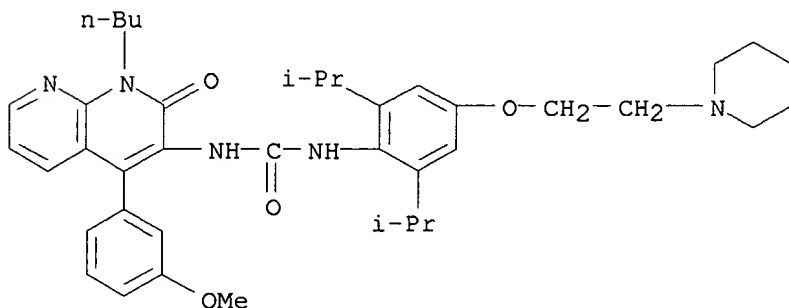
RN 259224-58-3 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[3-(1-piperidinyl)propoxy]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]- (9CI)  
(CA INDEX NAME)



RN 259224-59-4 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[2-(1-piperidinyl)ethoxy]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



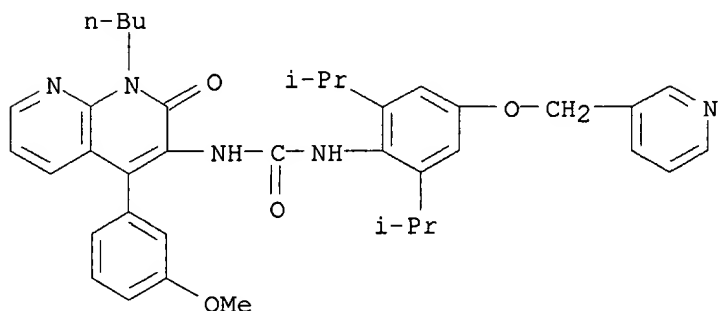
● HCl

RN 259224-60-7 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-(3-pyridinylmethoxy)phenyl]-N'-[1-butyl-

09/ 716,962

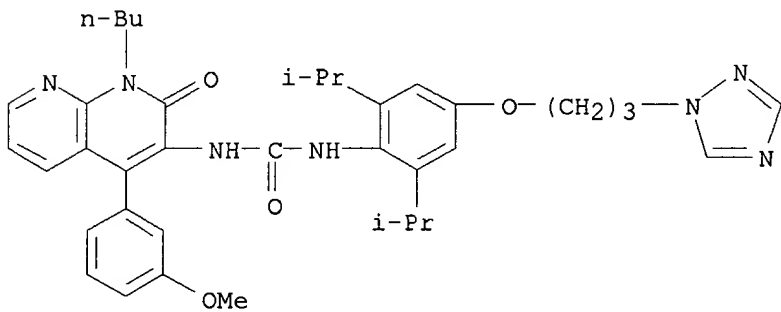
1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-61-8 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[3-(1H-1,2,4-triazol-1-yl)propoxy]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

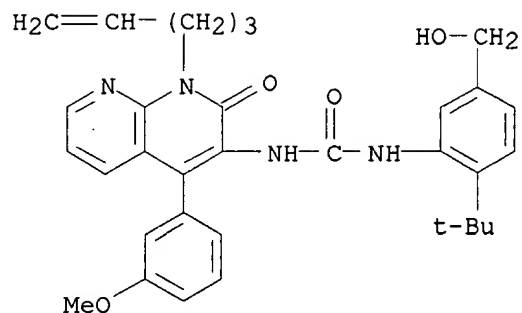


● HCl

RN 259224-62-9 CAPLUS

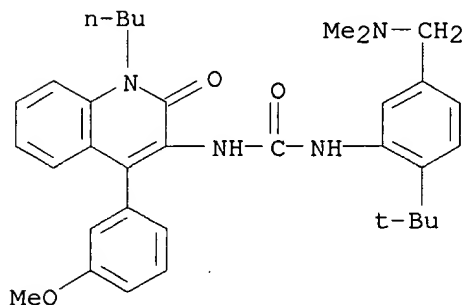
CN Urea, N-[1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1-(4-pentenyl)-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 716,962



RN 259224-63-0 CAPLUS

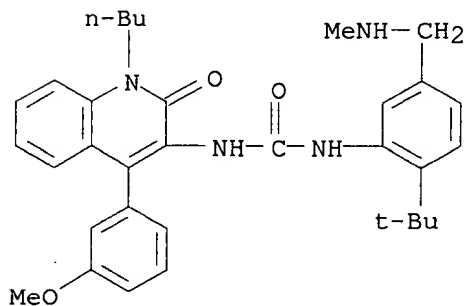
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[5-[(dimethylamino)methyl]-2-(1,1-dimethylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-64-1 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[(methylamino)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

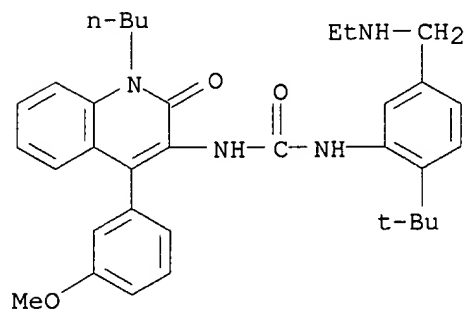


● HCl

RN 259224-65-2 CAPLUS

09/ 716,962

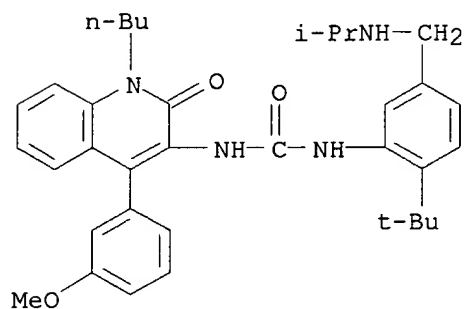
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[(ethylamino)methyl]phenyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

RN 259224-66-3 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[[1-methylethyl]amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

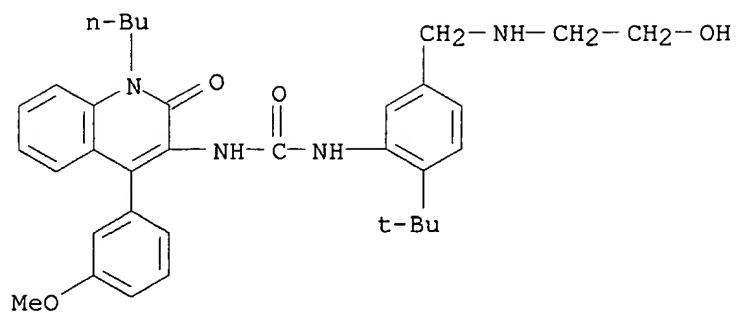


● HCl

RN 259224-67-4 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[[2-hydroxyethyl]amino]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

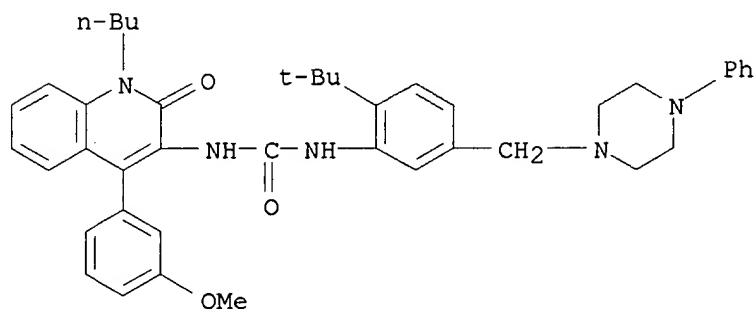
09/ 716,962



● HCl

RN 259224-68-5 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[(4-phenyl-1-piperazinyl)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

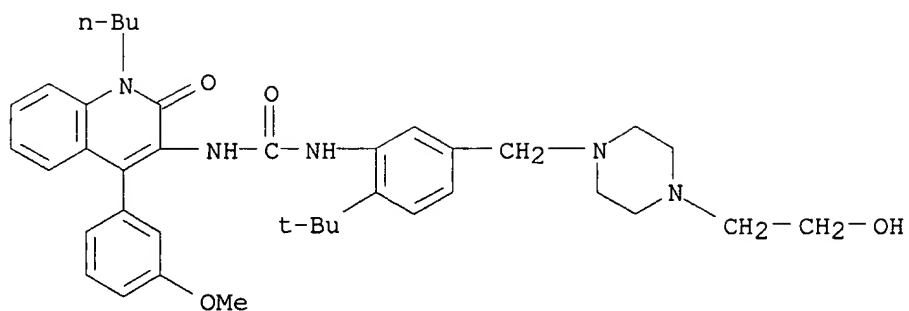


● HCl

RN 259224-69-6 CAPLUS

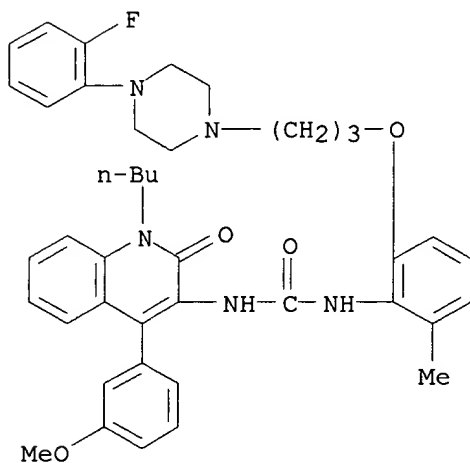
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/ 716,962



● HCl

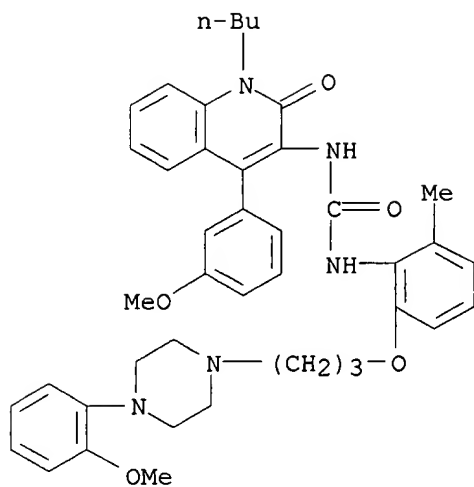
RN 259224-70-9 CAPLUS  
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-[3-[4-(2-fluorophenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-71-0 CAPLUS  
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

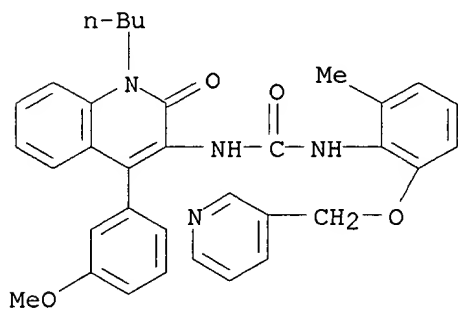
09/ 716,962



● HCl

RN 259224-72-1 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[2-methyl-6-(3-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

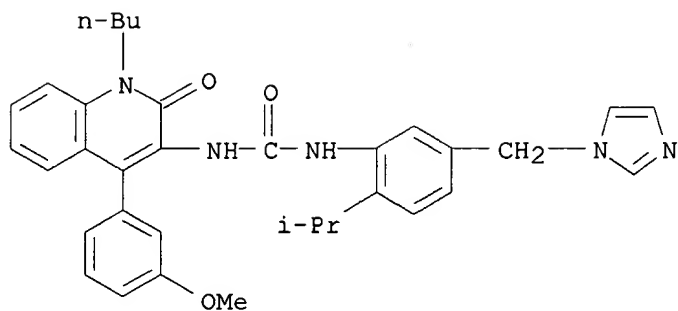


RN 259224-73-2 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[5-(1H-imidazol-1-ylmethyl)-2-(1-methylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



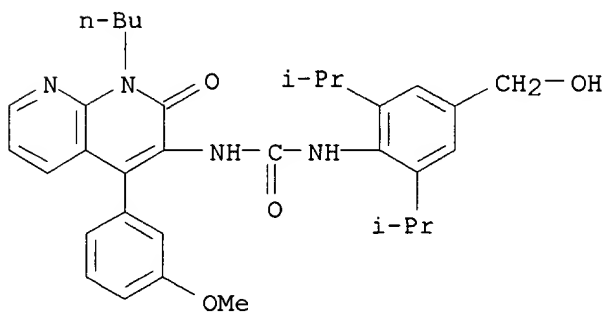
09/ 716,962



● HCl

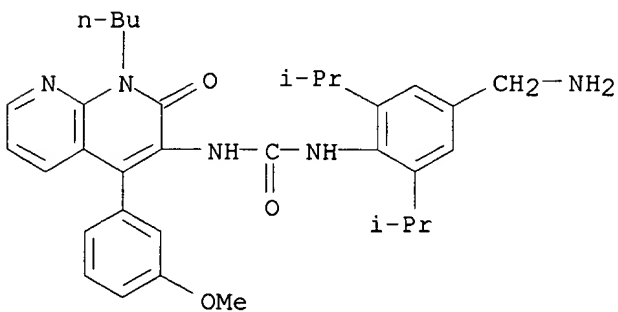
RN 259224-74-3 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[4-(hydroxymethyl)-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-75-4 CAPLUS

CN Urea, N-[4-(aminomethyl)-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

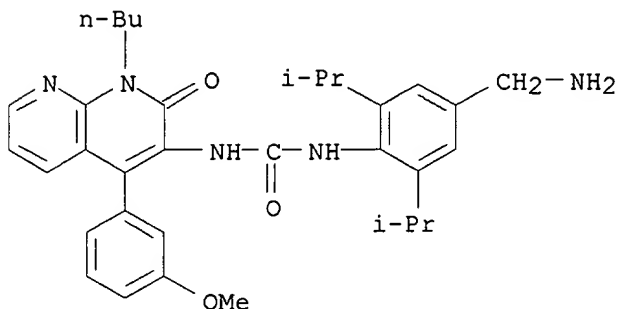


● HCl

RN 259224-76-5 CAPLUS

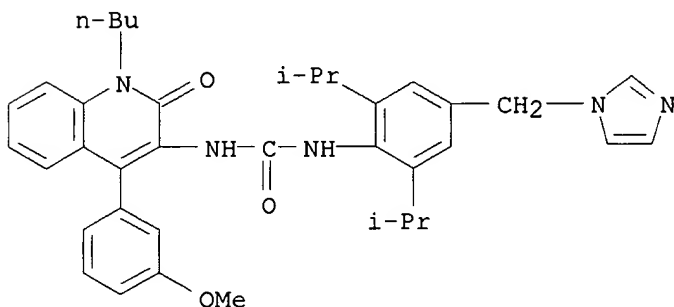
09/ 716,962

CN Urea, N-[4-(aminomethyl)-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]- (9CI) (CA INDEX NAME)



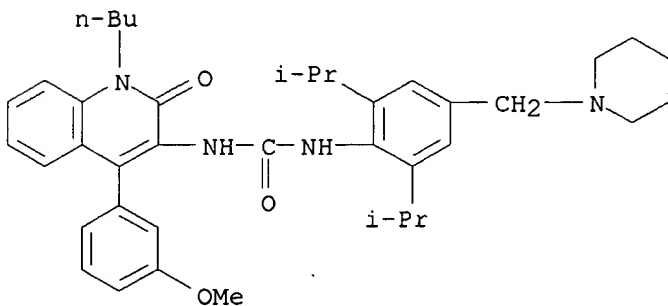
RN 259224-77-6 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[4-(1H-imidazol-1-ylmethyl)-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-78-7 CAPLUS

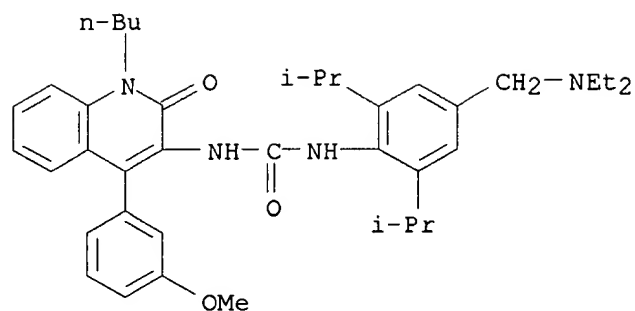
CN Urea, N-[2,6-bis(1-methylethyl)-4-(1-piperidinylmethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)



RN 259224-79-8 CAPLUS

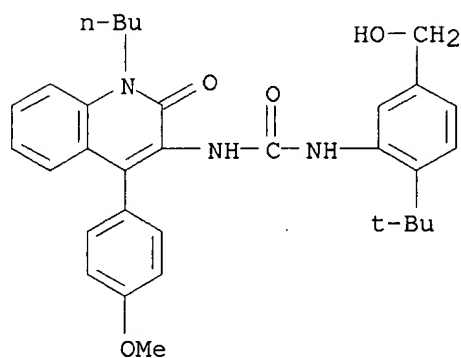
CN Urea, N-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-[4-[(diethylamino)methyl]-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 716,962



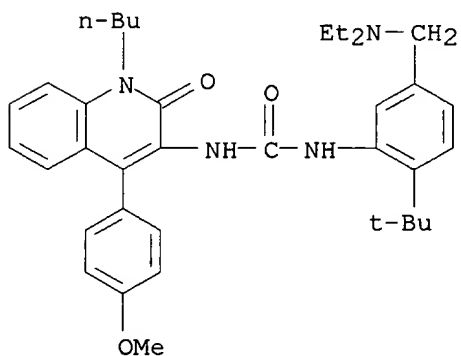
RN 259224-80-1 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(4-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-(2-(1,1-dimethylethyl)-5-(hydroxymethyl)phenyl)- (9CI) (CA INDEX NAME)



RN 259224-81-2 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(4-methoxyphenyl)-2-oxo-3-quinolinyl]-N'-(5-[(diethylamino)methyl]-2-(1,1-dimethylethyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

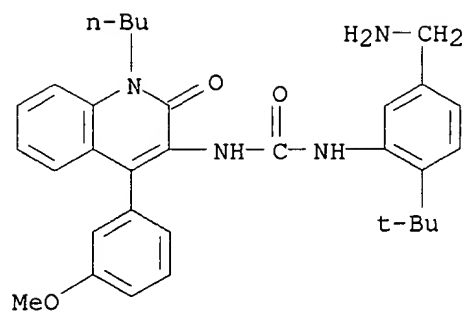


● HCl

RN 259224-82-3 CAPLUS

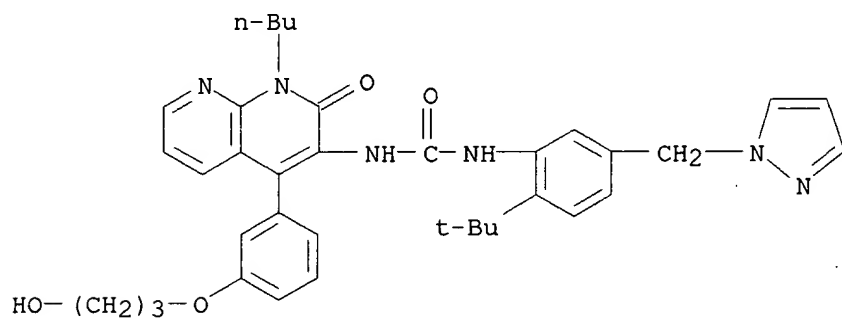
CN Urea, N-[5-(aminomethyl)-2-(1,1-dimethylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

09/ 716,962



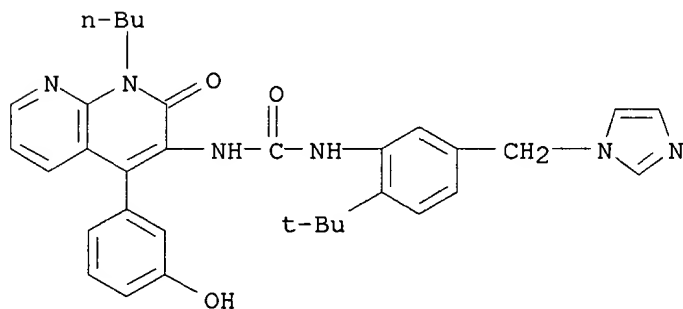
RN 259224-83-4 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-[3-(3-hydroxypropoxy)phenyl]-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1H-pyrazol-1-ylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259224-84-5 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-4-(3-hydroxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

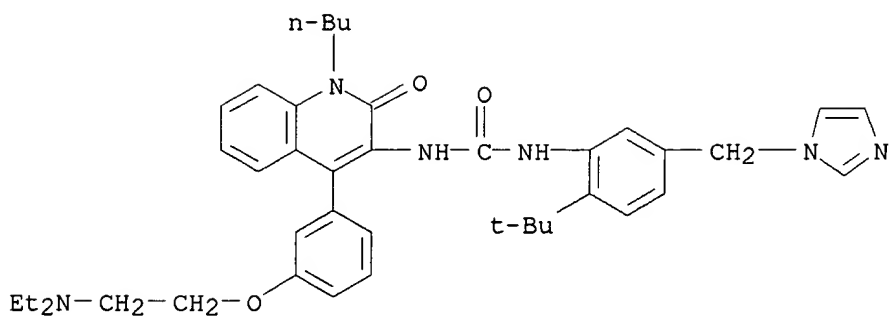


● HCl

RN 259224-85-6 CAPLUS

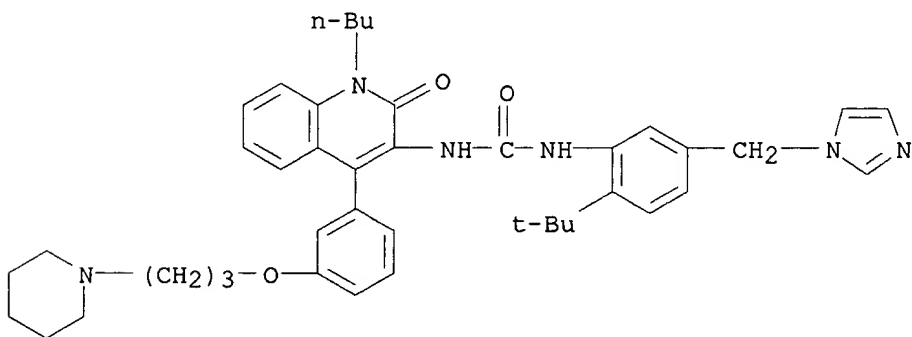
CN Urea, N-[1-butyl-4-[3-[2-(diethylamino)ethoxy]phenyl]-1,2-dihydro-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/ 716,962



● HCl

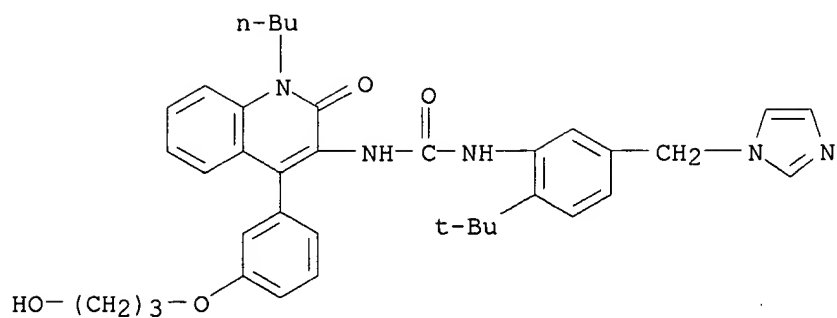
RN 259224-87-8 CAPLUS  
CN Urea, N-[1-butyl-1,2-dihydro-2-oxo-4-[3-[3-(1-piperidinyloxy)propoxy]phenyl]-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-88-9 CAPLUS  
CN Urea, N-[1-butyl-1,2-dihydro-4-[3-(3-hydroxypropoxy)phenyl]-2-oxo-3-quinolinyl]-N'-[2-(1,1-dimethylethyl)-5-(1H-imidazol-1-ylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

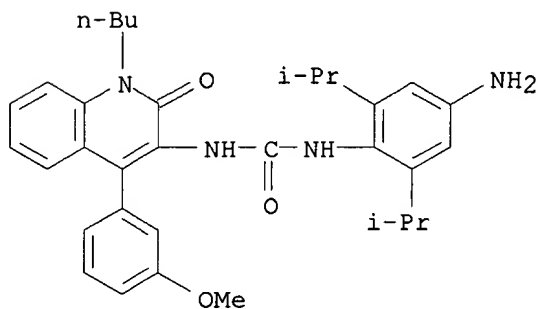
09/ 716,962



● HCl

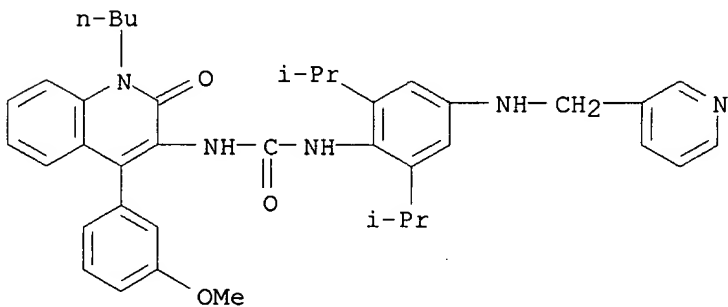
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CN Urea, N-[4-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)



RN 259224-90-3 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[(3-pyridinylmethyl)amino]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



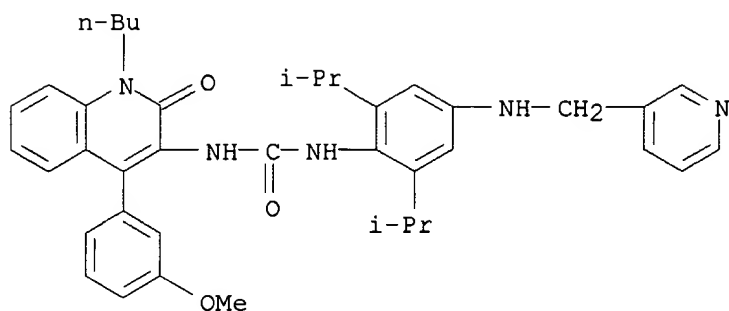
● HCl

RN 259224-91-4 CAPLUS

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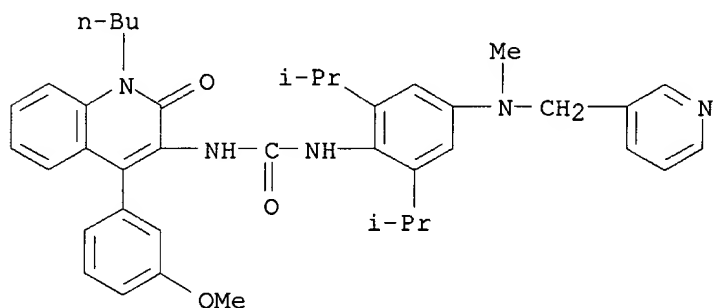
09/ 716,962

butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyll]- (9CI) (CA  
INDEX NAME)



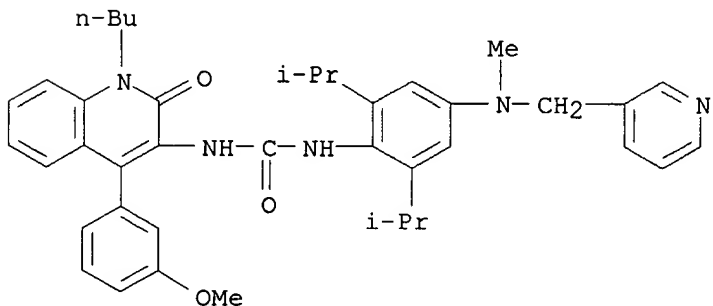
RN 259224-92-5 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[methyl(3-pyridinylmethyl)amino]phenyl]-  
N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyll]- (9CI)  
(CA INDEX NAME)



RN 259224-93-6 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)-4-[methyl(3-pyridinylmethyl)amino]phenyl]-  
N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-3-quinolinyll]-,  
monohydrochloride (9CI) (CA INDEX NAME)



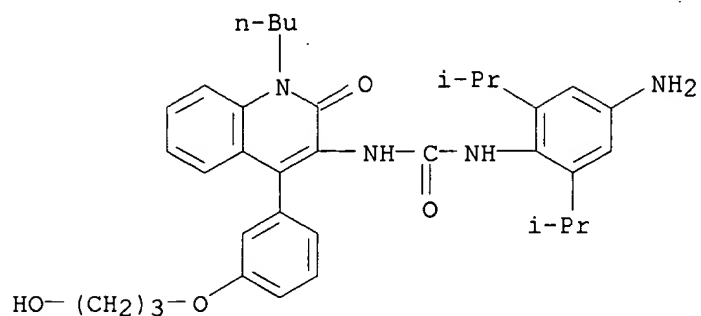
● HCl

RN 259224-94-7 CAPLUS

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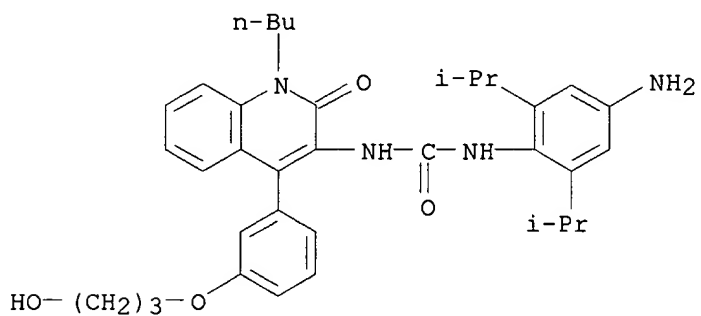
09/ 716,962

[3-(3-hydroxypropoxy)phenyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)



RN 259224-95-8 CAPLUS

CN Urea, N-[4-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-4-[3-(3-hydroxypropoxy)phenyl]-2-oxo-3-quinolinyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



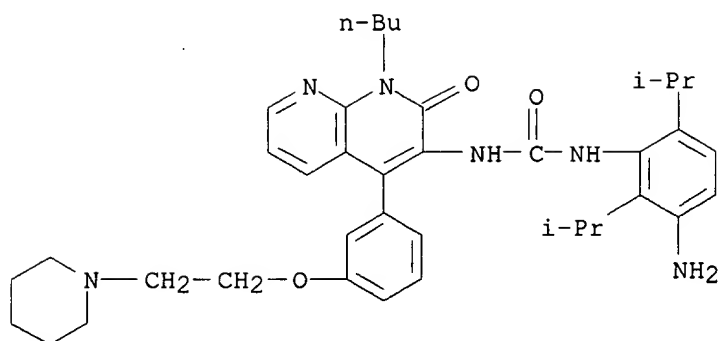
● HCl

RN 259224-96-9 CAPLUS

CN Urea, N-[3-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-2-oxo-4-[3-[2-(1-piperidinyl)ethoxy]phenyl]-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

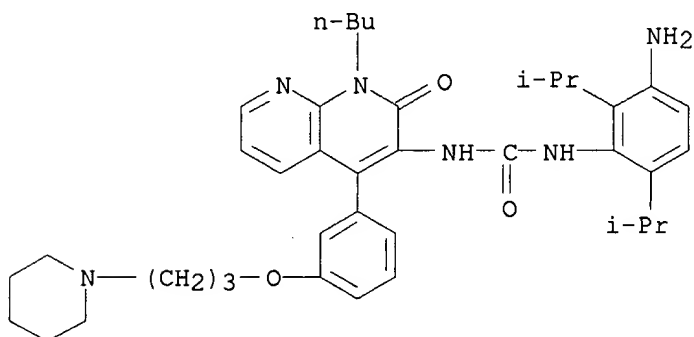


09/ 716,962



● HCl

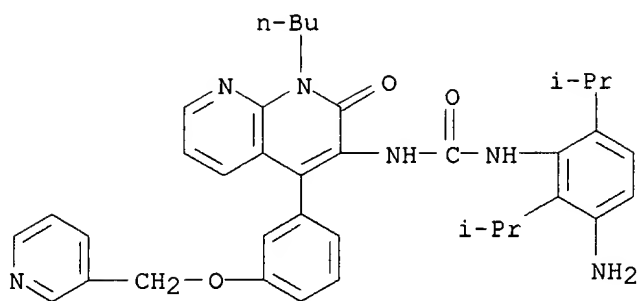
RN 259224-97-0 CAPLUS  
CN Urea, N-[3-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-2-oxo-4-[3-[3-(1-piperidinyl)propoxy]phenyl]-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259224-98-1 CAPLUS  
CN Urea, N-[3-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-1,2-dihydro-2-oxo-4-[3-(3-pyridinylmethoxy)phenyl]-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

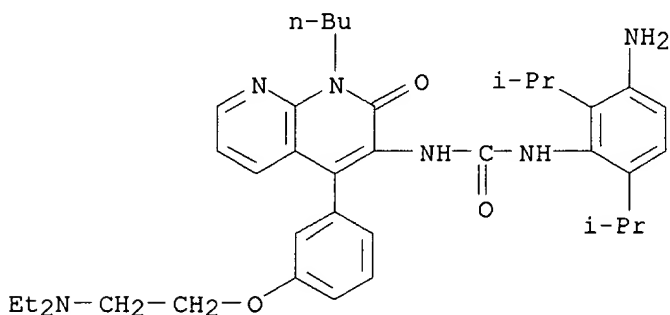
09/ 716,962



● HCl

RN 259224-99-2 CAPLUS

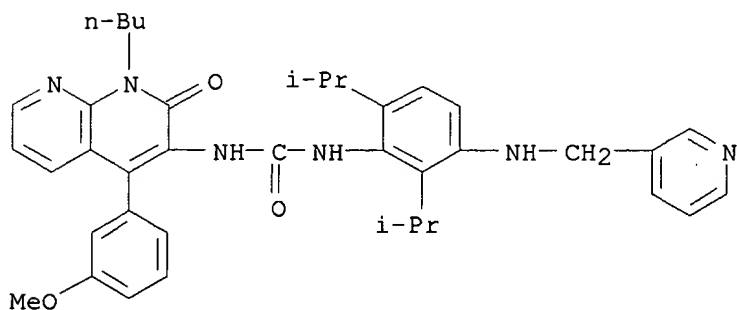
CN Urea, N-[3-amino-2,6-bis(1-methylethyl)phenyl]-N'-[1-butyl-4-[3-[2-(diethylamino)ethoxy]phenyl]-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259225-00-8 CAPLUS

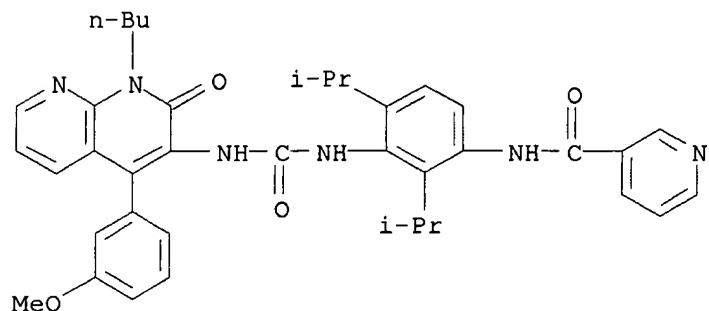
CN Urea, N-[2,6-bis(1-methylethyl)-3-[(3-pyridinylmethyl)amino]phenyl]-N'-[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 259225-01-9 CAPLUS

09/ 716,962

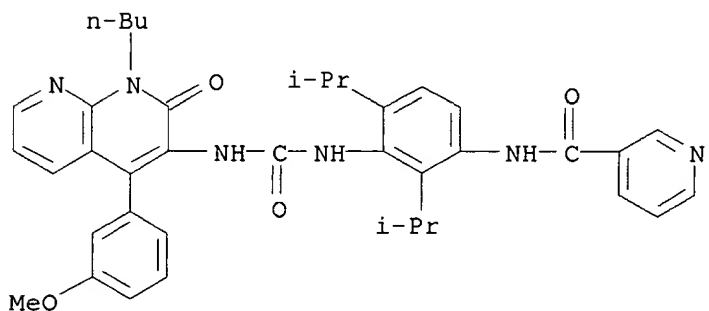
CN 3-Pyridinecarboxamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259225-02-0 CAPLUS

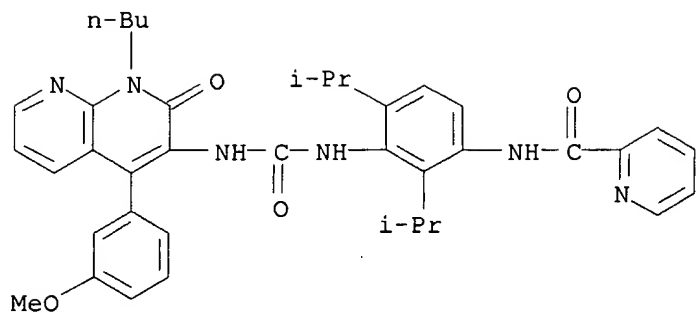
CN 3-Pyridinecarboxamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259225-03-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

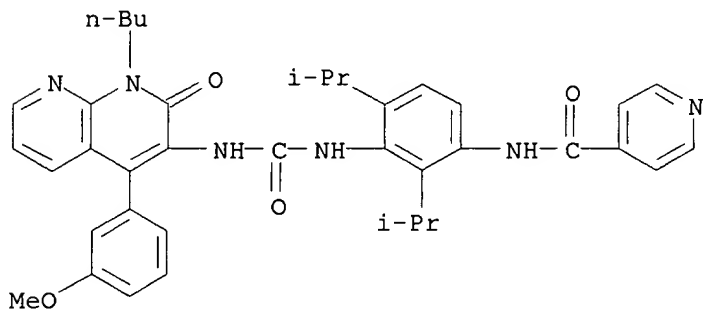
09/ 716,962



● HCl

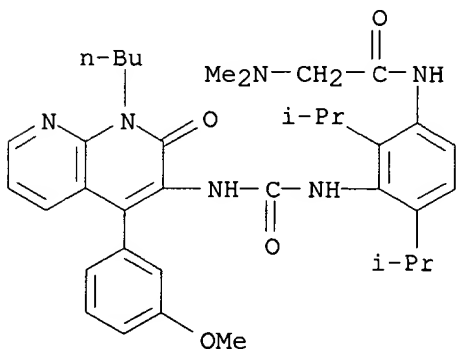
RN 259225-04-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 259225-05-3 CAPLUS

CN Acetamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-2-(dimethylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

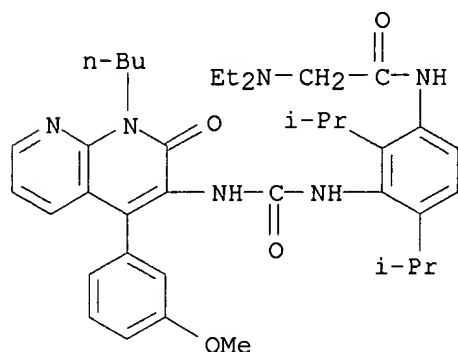


● HCl

09/ 716,962

RN 259225-06-4 CAPLUS

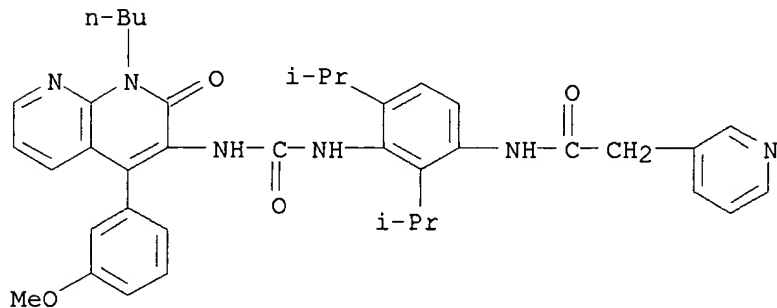
CN Acetamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-2-(diethylamino)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259225-07-5 CAPLUS

CN 3-Pyridineacetamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

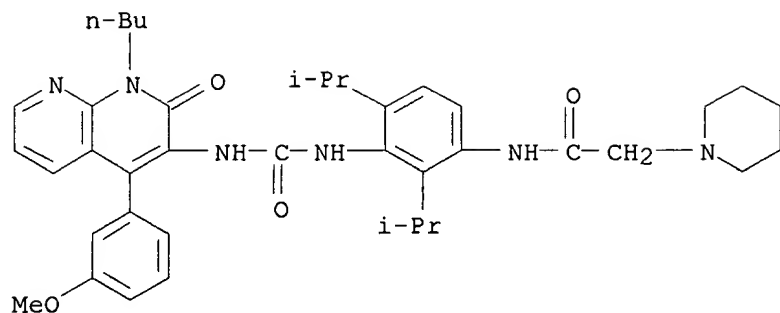


● HCl

RN 259225-08-6 CAPLUS

CN 1-Piperidineacetamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-2,4-bis(1-methylethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

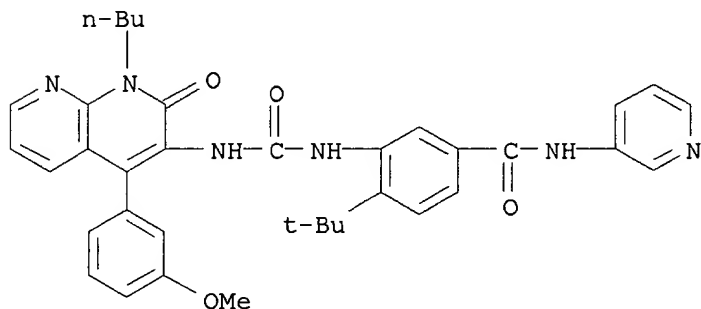
09/ 716,962



● HCl

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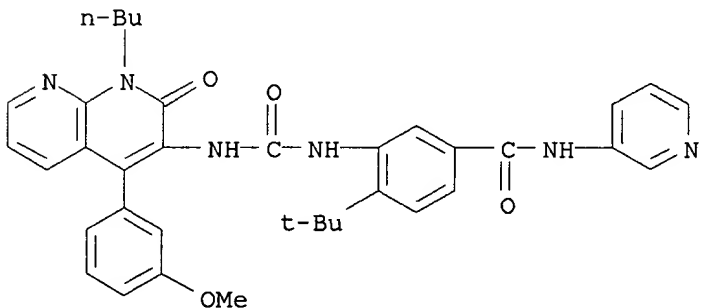
CN Benzamide, 3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259225-10-0 CAPLUS

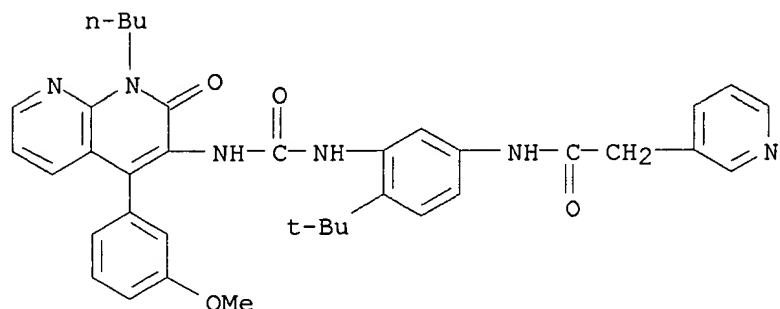
CN Benzamide, 3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)-N-3-pyridinyl- (9CI) (CA INDEX NAME)



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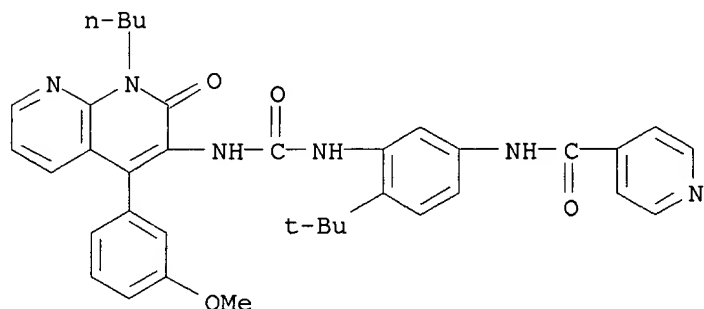
09/ 716,962

CN 3-Pyridineacetamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



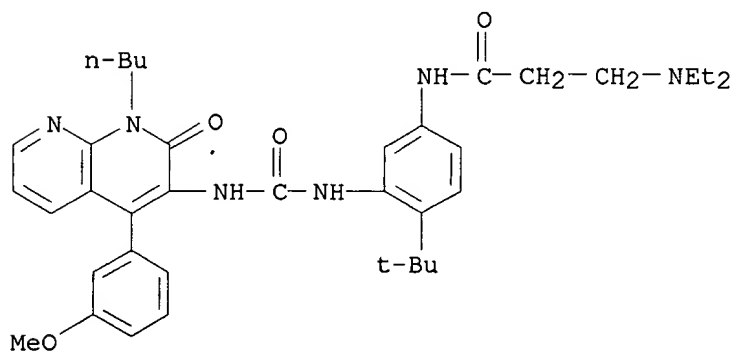
RN 259225-12-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



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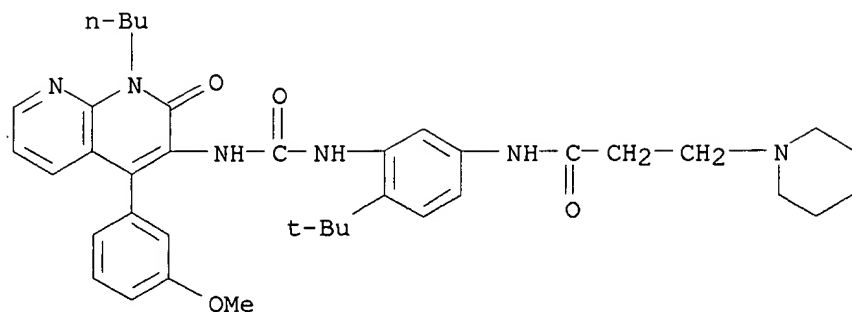
CN Propanamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)phenyl]-3-(diethylamino)- (9CI) (CA INDEX NAME)



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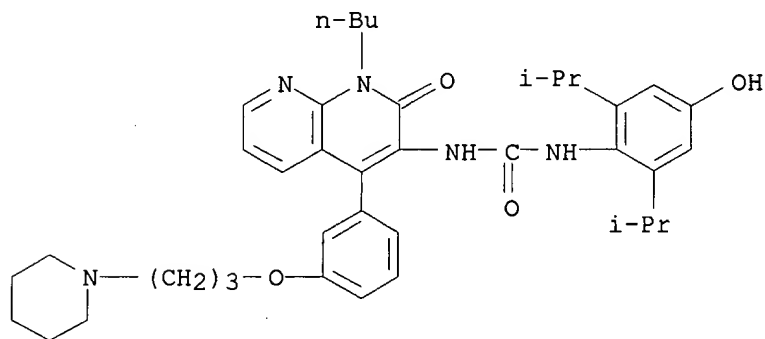
CN 1-Piperidinepropanamide, N-[3-[[[1-butyl-1,2-dihydro-4-(3-methoxyphenyl)-2-oxo-1,8-naphthyridin-3-yl]amino]carbonyl]amino]-4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

09/ 716,962



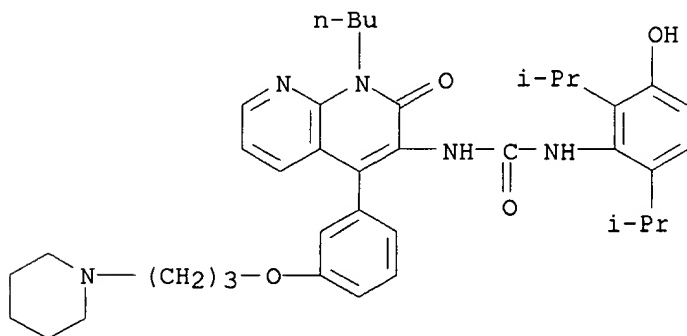
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CN Urea, N-[1-butyl-1,2-dihydro-2-oxo-4-[3-[3-(1-piperidinyl)propoxy]phenyl]-1,8-naphthyridin-3-yl]-N'-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI)  
(CA INDEX NAME)



RN 259225-16-6 CAPLUS

CN Urea, N-[1-butyl-1,2-dihydro-2-oxo-4-[3-[3-(1-piperidinyl)propoxy]phenyl]-1,8-naphthyridin-3-yl]-N'-[3-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

8

REFERENCE(S):

- (1) Sumitomo Pharmaceuticals Co Ltd; JP 09048780 A  
CAPLUS
- (2) Sumitomo Pharmaceuticals Co Ltd; JP 10212288 A  
CAPLUS
- (3) Sumitomo Pharmaceuticals Co Ltd; US 5843957 A



CAPLUS  
 (4) Sumitomo Pharmaceuticals Co Ltd; US 5843957 A  
 CAPLUS  
 (5) Sumitomo Pharmaceuticals Co Ltd; EP 842933 A  
 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 2000:10664 CAPLUS  
 DOCUMENT NUMBER: 132:64536  
 TITLE: Preparation of aromatic heterocyclic derivatives as  
 enzyme inhibitors  
 INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka,  
 William Charles; Ardecky, Robert John; Ge, Yu;  
 Carpenter, Stephen H.; Brunck, Terence K.; Lim-Wilby,  
 Marguerita S. L.; Nutt, Ruth F.; Abelman, Matthew M.  
 PATENT ASSIGNEE(S): Corvas International, Inc., USA  
 SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 573,775.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6011158	A	20000104	US 1996-659983	19960607
US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
US 6008351	A	19991228	US 1995-573775	19951218
WO 9746207	A2	19971211	WO 1997-US9818	19970609
WO 9746207	A3	19980423		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,  
 GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,  
 ML, MR, NE, SN, TD, TG

AU 9733790	A1	19980105	AU 1997-33790	19970609
PRIORITY APPLN. INFO.:			US 1994-356833	19941213
			US 1995-481660	19950607
			US 1995-484506	19950607
			US 1995-573775	19951218
			US 1996-659983	19960607
			WO 1997-US9818	19970609

OTHER SOURCE(S): MARPAT 132:64536

AB Peptide aldehydes R1-X-NH-Het-CHR2CONHCH(CH2R3)CHO-(S) [X = SO2, NR'SO2  
 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, P(O)R''(R'' = NR', OR', R',  
 SR') or a direct link; R1 = (un)substituted alkyl, cycloalkyl,  
 heterocyclyl, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl; R3 =  
 2-guanidinoethyl, 3-amidinocyclohexyl or -Ph, or 1-amidino-3-piperidinyl;  
 Het = substituted 2-oxo-1,3-pyridinediyl] were prepd. as thrombin  
 inhibitors. Thus, N-[3-[(benzylsulfonyl)amino]-2-oxo-1,2-  
 dihydropyridyl]acetyl-L-argininal, prepd. by a multistep procedure which  
 starts with conversion of N.alpha.-tert-butoxycarbonyl-N.gamma.-  
 nitroarginine to the lactam, showed Ki = 289 +/- 32 pM for inhibition of  
 human .alpha.-thrombin amidolytic activity.

IT 179523-57-0P 179523-58-1P 179523-64-9P  
 179523-65-0P 179524-99-3P 179525-00-9P

09/ 716,962

179525-01-0P 179525-02-1P 179525-03-2P  
179525-04-3P 179525-05-4P 179525-06-5P  
179525-07-6P 179525-08-7P 179525-09-8P  
179525-10-1P 179525-11-2P 179525-12-3P  
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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

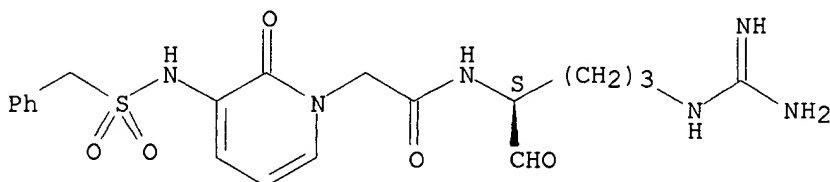
PREP (Preparation); USES (Uses)

(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

RN 179523-57-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179523-58-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

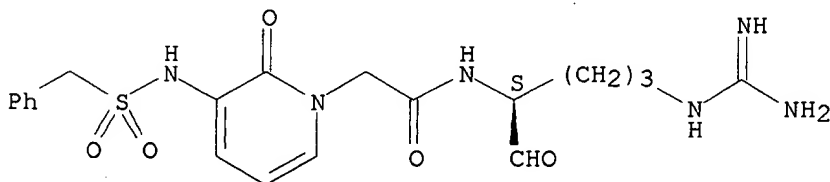
CM 1

CRN 179523-57-0

CMF C20 H26 N6 O5 S

CDES 1:S

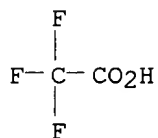
Absolute stereochemistry.



CM 2

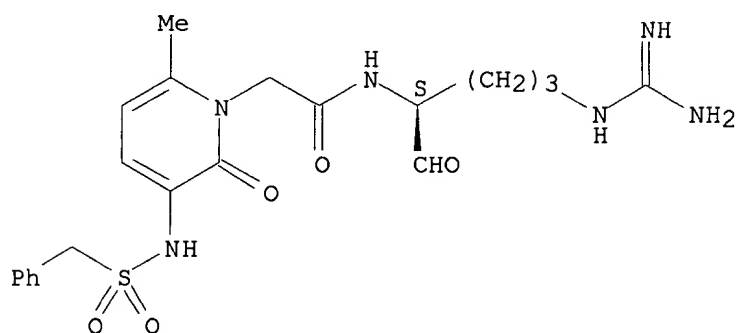
09/ 716,962

CRN 76-05-1  
CMF C2 H F3 O2



RN 179523-64-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

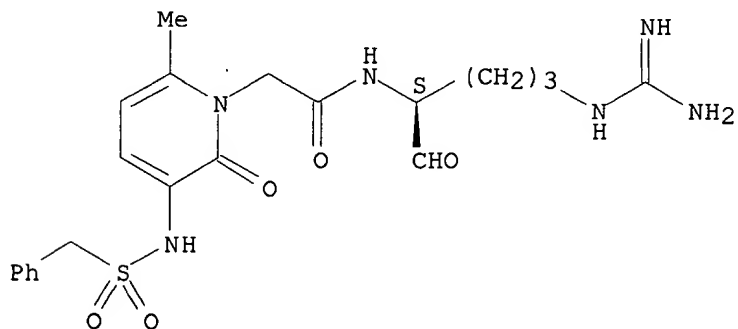


RN 179523-65-0 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179523-64-9  
CMF C21 H28 N6 O5 S  
CDES 1:S

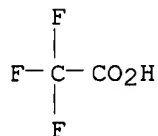
Absolute stereochemistry.



CM 2

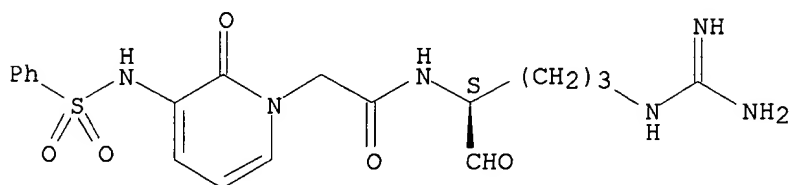
09/ 716,962

CRN 76-05-1  
CMF C2 H F3 O2



RN 179524-99-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

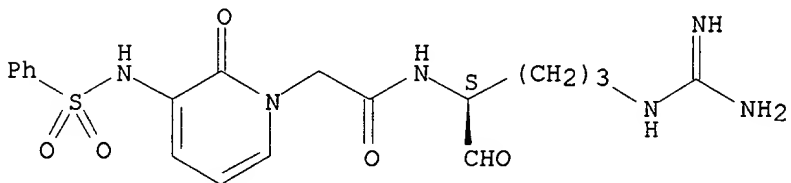


RN 179525-00-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylsulfonyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179524-99-3  
CMF C19 H24 N6 O5 S  
CDES 1:S

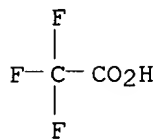
Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

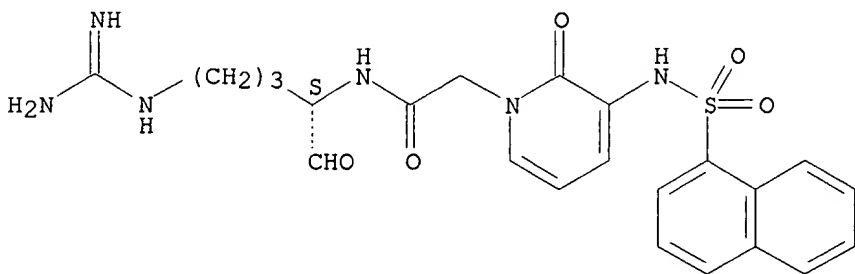
09/ 716,962



RN 179525-01-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-02-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(1-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

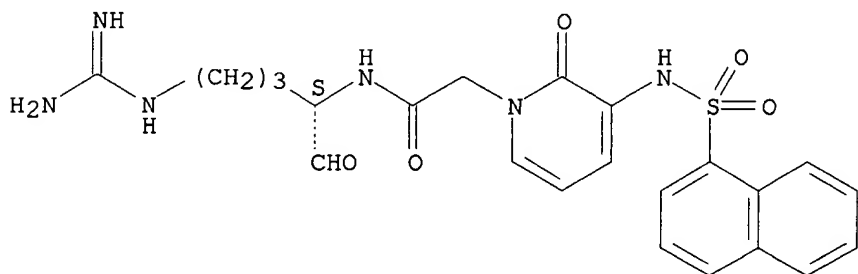
CM 1

CRN 179525-01-0

CMF C23 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.

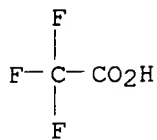


CM 2

CRN 76-05-1

CMF C2 H F3 O2

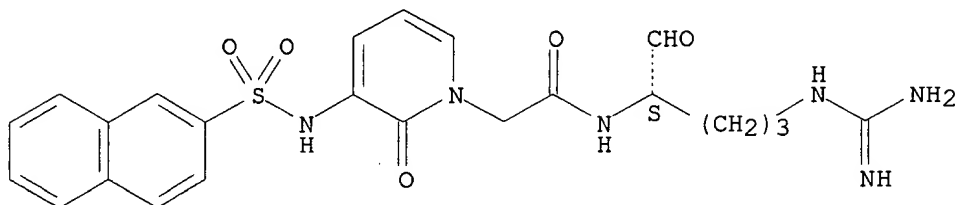
09/ 716,962



RN 179525-03-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-04-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(2-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

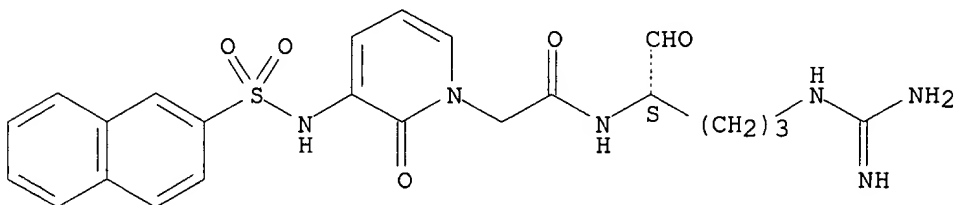
CM 1

CRN 179525-03-2

CMF C23 H26 N6 O5 S

CDES 1:S

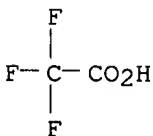
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

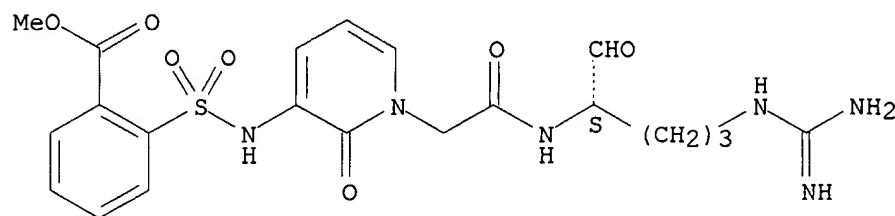


RN 179525-05-4 CAPLUS

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CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-06-5 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

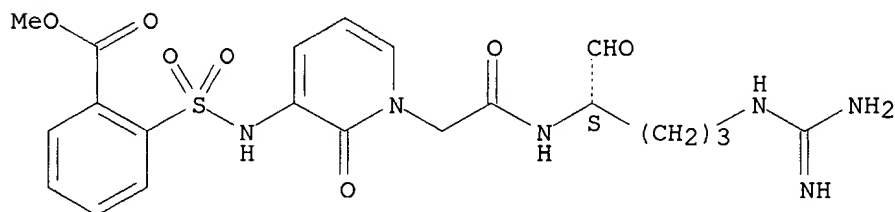
CM 1

CRN 179525-05-4

CMF C21 H26 N6 O7 S

CDES 1:S

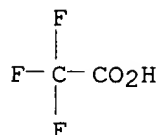
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

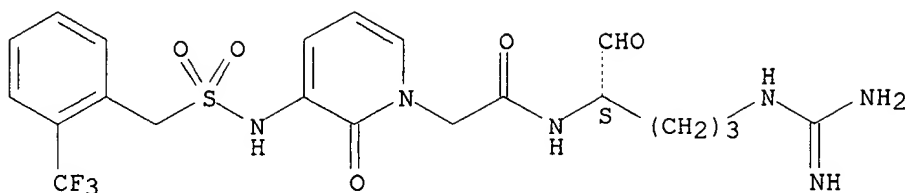


RN 179525-07-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 179525-08-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

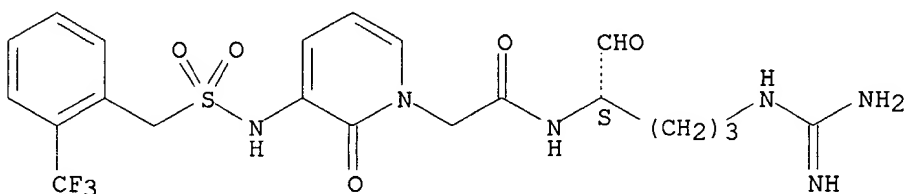
CM 1

CRN 179525-07-6

CMF C21 H25 F3 N6 O5 S

CDES 1:S

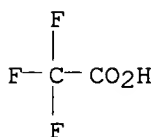
Absolute stereochemistry.



CM 2

CRN 76-05-1

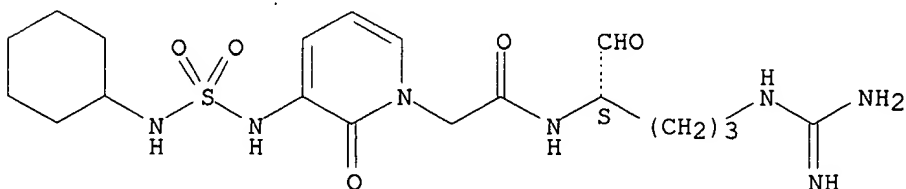
CMF C2 H F3 O2



RN 179525-09-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(cyclohexylamino)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





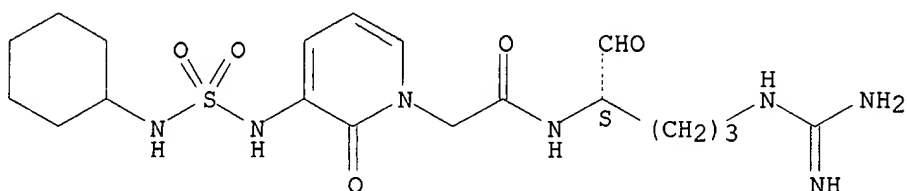
09/ 716,962

RN 179525-10-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(cyclohexylamino)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

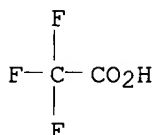
CRN 179525-09-8  
CMF C19 H31 N7 O5 S  
CDES 1:S

Absolute stereochemistry.



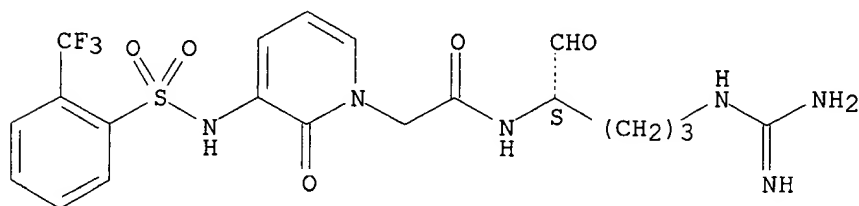
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 179525-11-2 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-12-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

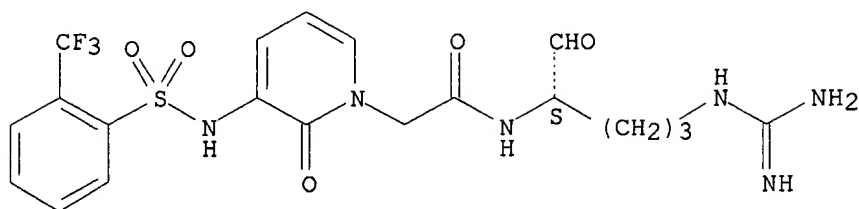
CM 1

CRN 179525-11-2  
CMF C20 H23 F3 N6 O5 S

09/ 716,962

CDES 1:S

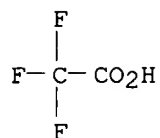
Absolute stereochemistry.



CM 2

CRN 76-05-1

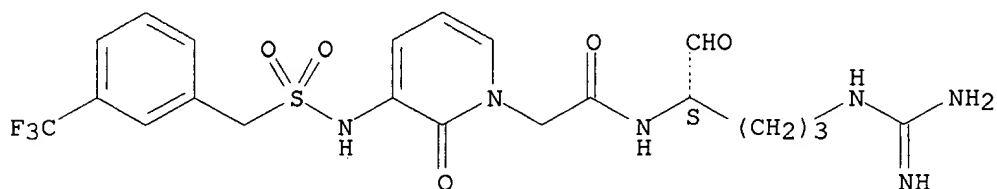
CMF C2 H F3 O2



RN 179525-13-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-  
(9CI) (CA INDEX NAME)

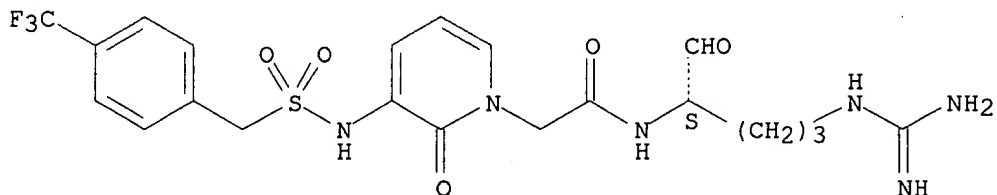
Absolute stereochemistry.



RN 179525-15-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-18-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-

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formylbutyl]-3-[[ (2-methylphenyl) sulfonyl] amino]-2-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

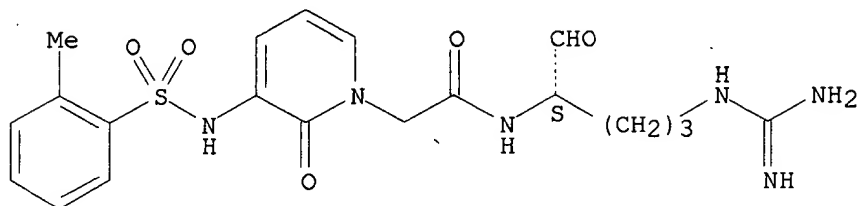
CM 1

CRN 179525-17-8

CMF C20 H26 N6 O5 S

CDES 1:S

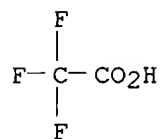
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-20-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-methylphenyl) sulfonyl] amino]-2-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

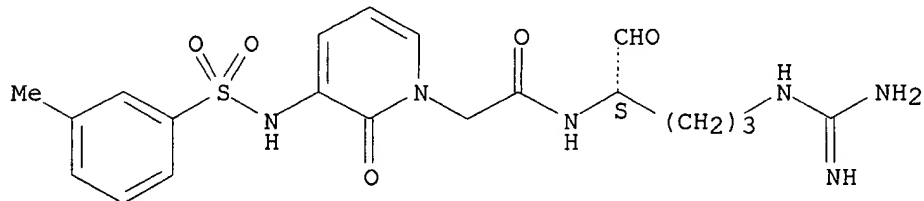
CM 1

CRN 179525-19-0

CMF C20 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.

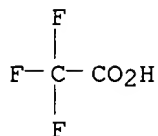


CM 2

CRN 76-05-1

CMF C2 H F3 O2

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RN 179525-22-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-fluoro-2-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

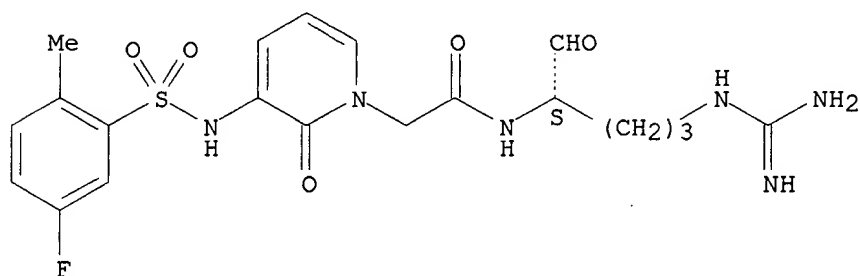
CM 1

CRN 179525-21-4

CMF C20 H25 F N6 O5 S

CDES 1:S

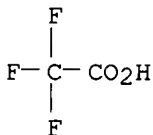
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-24-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methoxyphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

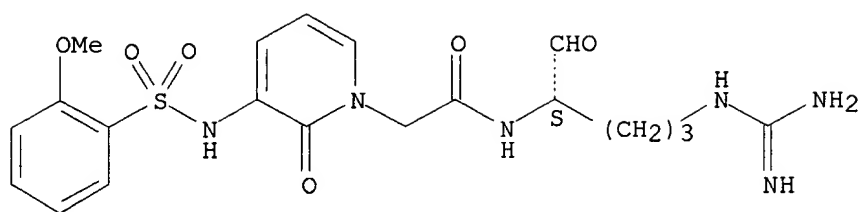
CRN 179525-23-6

CMF C20 H26 N6 O6 S

CDES 1:S

Absolute stereochemistry.

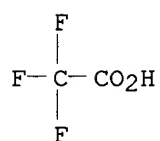
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-26-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[3-methoxyphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

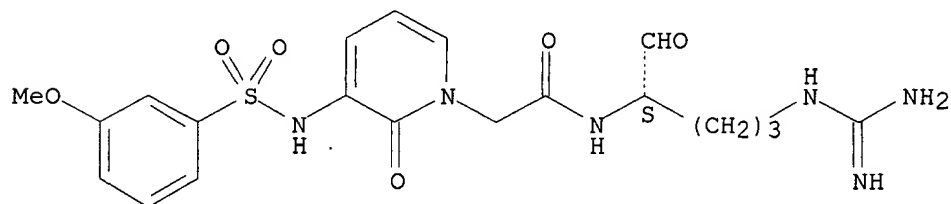
CM 1

CRN 179525-25-8

CMF C20 H26 N6 O6 S

CDES 1:S

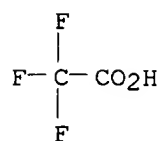
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-28-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-

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formylbutyl]-3-[[ (2-aminophenyl) sulfonyl] amino]-2-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

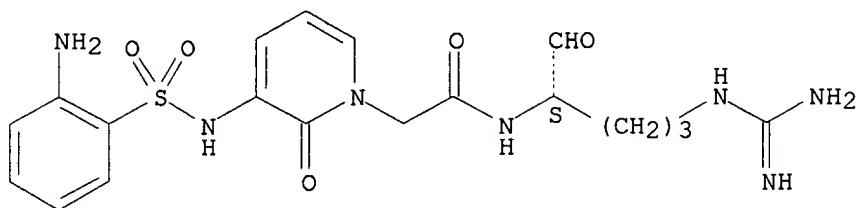
CM 1

CRN 179525-27-0

CMF C19 H25 N7 O5 S

CDES 1:S

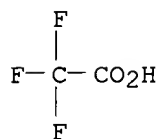
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-44-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-fluorophenyl) sulfonyl] amino]-2-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

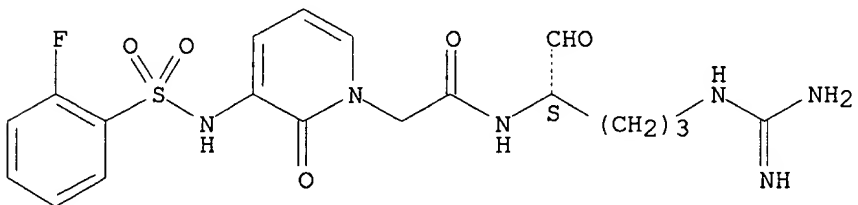
CM 1

CRN 179525-43-0

CMF C19 H23 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

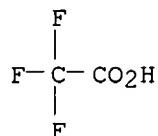


CM 2

CRN 76-05-1

CMF C2 H F3 O2

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RN 179525-54-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,6-difluorophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

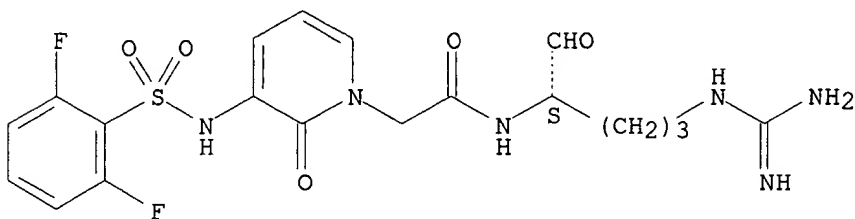
CM 1

CRN 179525-53-2

CMF C19 H22 F2 N6 O5 S

CDES 1:S

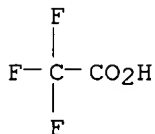
Absolute stereochemistry.



CM 2

CRN 76-05-1

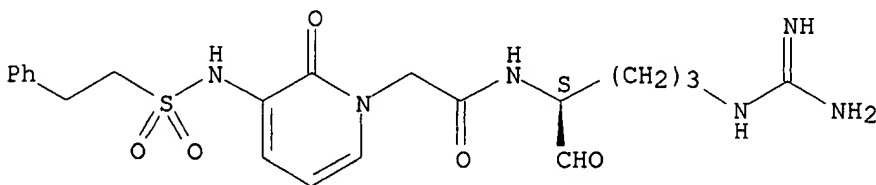
CMF C2 H F3 O2



RN 179525-55-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (2-phenylethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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RN 179525-56-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (2-phenylethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

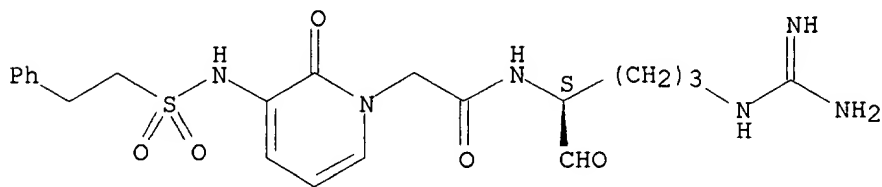
CM 1

CRN 179525-55-4

CMF C21 H28 N6 O5 S

CDES 1:S

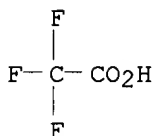
Absolute stereochemistry.



CM 2

CRN 76-05-1

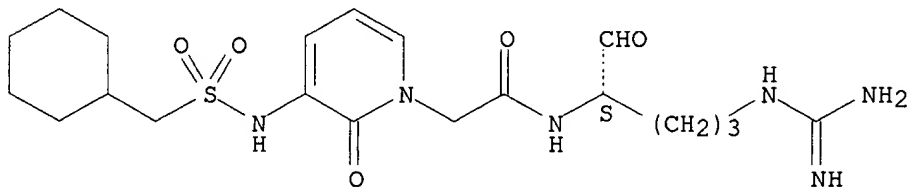
CMF C2 H F3 O2



RN 179525-57-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (cyclohexylmethyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-61-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[ (2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

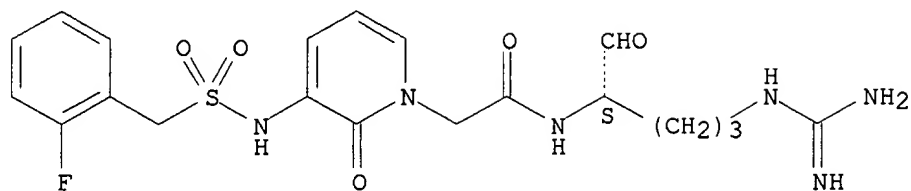
CRN 179524-67-5



09/ 716,962

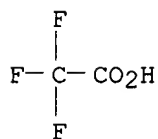
CMF C20 H25 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

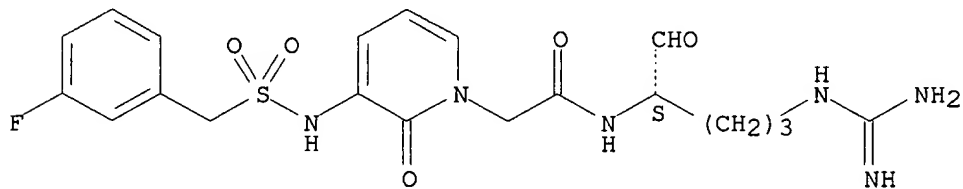


RN 179525-63-4 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-62-3  
CMF C20 H25 F N6 O5 S  
CDES 1:S

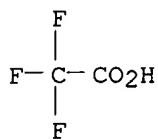
Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

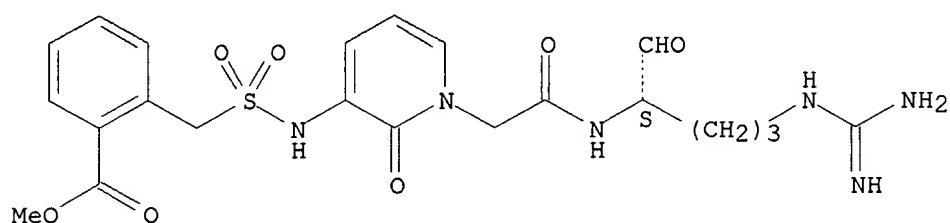
09/ 716,962



RN 179525-64-5 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-65-6 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

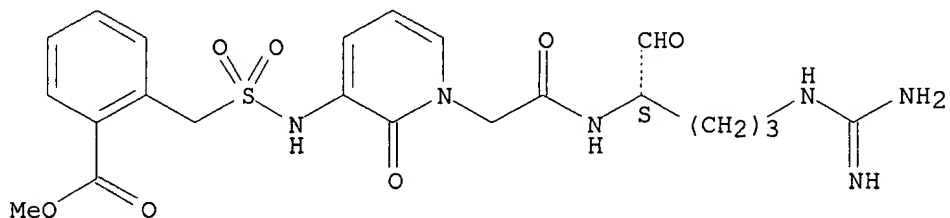
CM 1

CRN 179525-64-5

CMF C22 H28 N6 O7 S

CDES 1:S

Absolute stereochemistry.

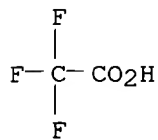


CM 2

CRN 76-05-1

CMF C2 H F3 O2

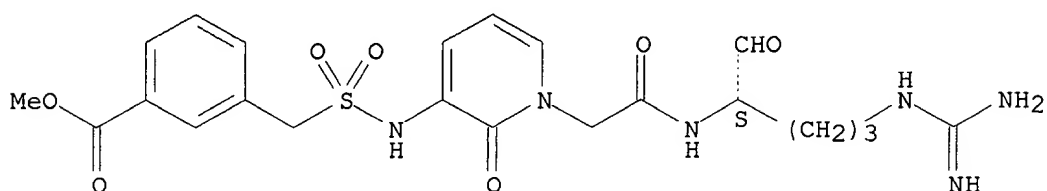
09/ 716,962



RN 179525-66-7 CAPLUS

CN Benzoic acid, 3-[[[1-[2-[[1-(4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-71-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2,5-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

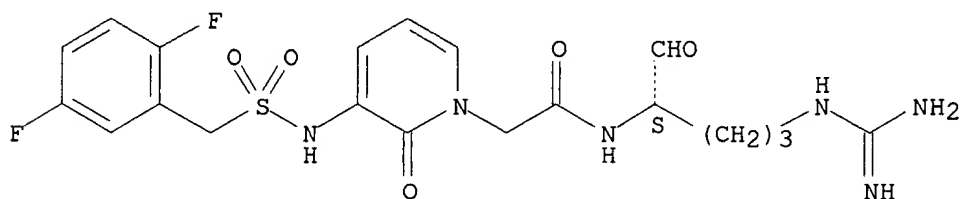
CM 1

CRN 179525-70-3

CMF C20 H24 F2 N6 O5 S

CDES 1:S

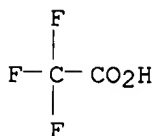
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-90-7 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-fluoro-2-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

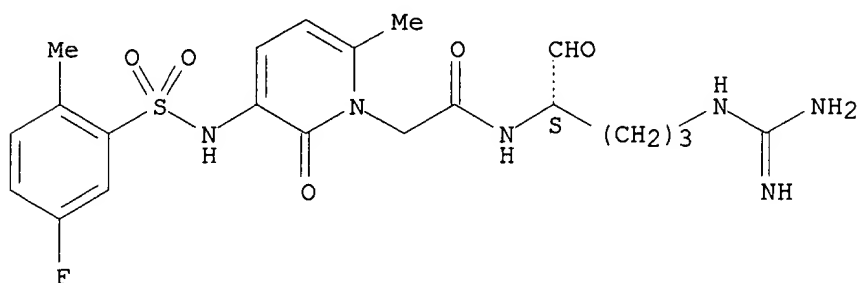
CM 1

CRN 179525-89-4

CMF C21 H27 F N6 O5 S

CDES 1:S

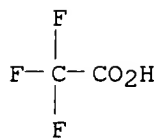
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-92-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,5-dimethoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

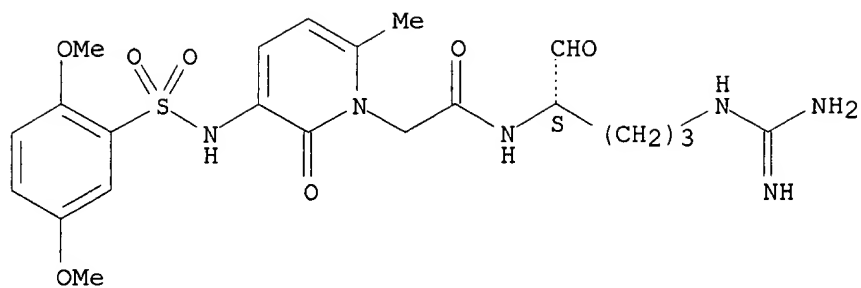
CRN 179525-91-8

CMF C22 H30 N6 O7 S

CDES 1:S

Absolute stereochemistry.

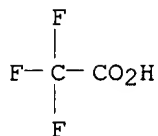
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-96-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-ethyl-3-[[[(5-fluoro-2-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

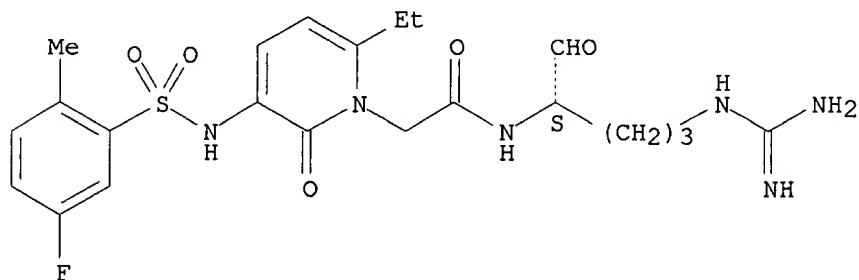
CM 1

CRN .179525-95-2

CMF C22 H29 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

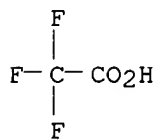


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179526-12-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

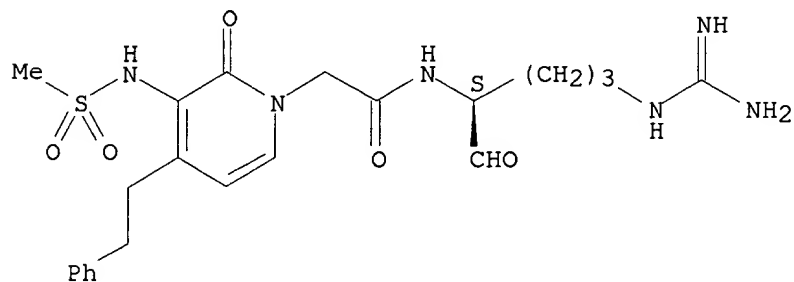
CM 1

CRN 179526-11-5

CMF C22 H30 N6 O5 S

CDES 1:S

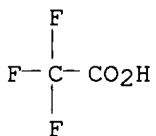
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

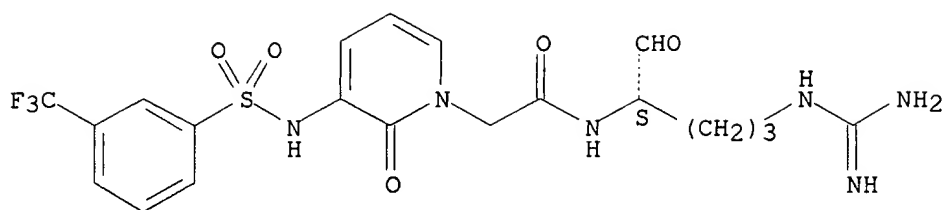


RN 179526-80-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/ 716,962



RN 179526-81-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

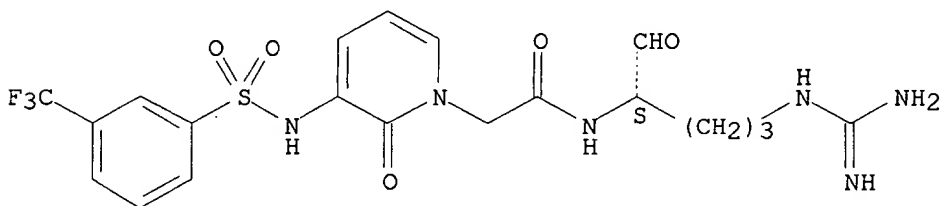
CM 1

CRN 179526-80-8

CMF C20 H23 F3 N6 O5 S

CDES 1:S

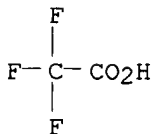
Absolute stereochemistry.



CM 2

CRN 76-05-1

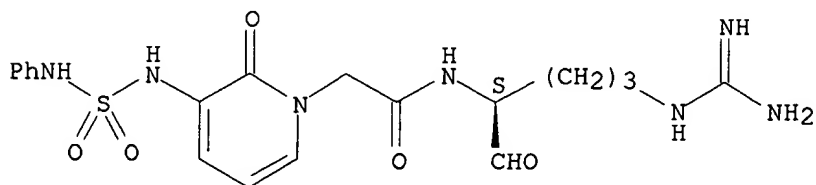
CMF C2 H F3 O2



RN 195204-29-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

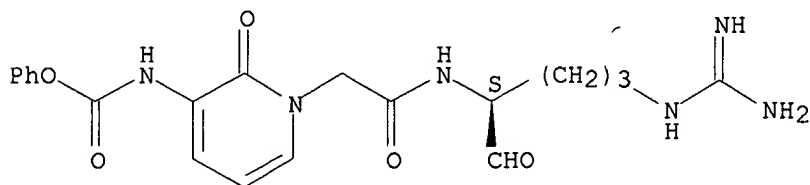


09/ 716,962

RN 195204-30-9 CAPLUS

CN Carbamic acid, [1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]-, phenyl ester (9CI) (CA INDEX NAME)

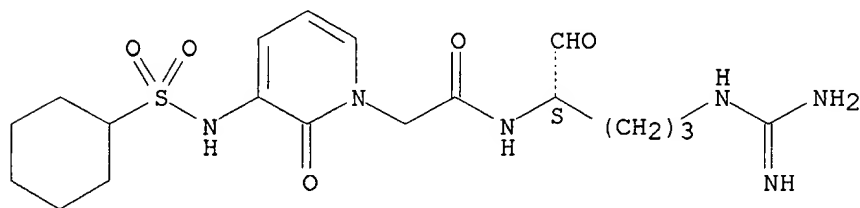
Absolute stereochemistry.



RN 195204-31-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(cyclohexylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)

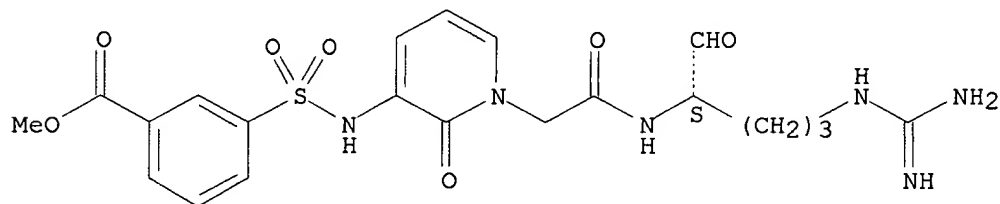
Absolute stereochemistry.



RN 195204-32-1 CAPLUS

CN Benzoic acid, 3-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



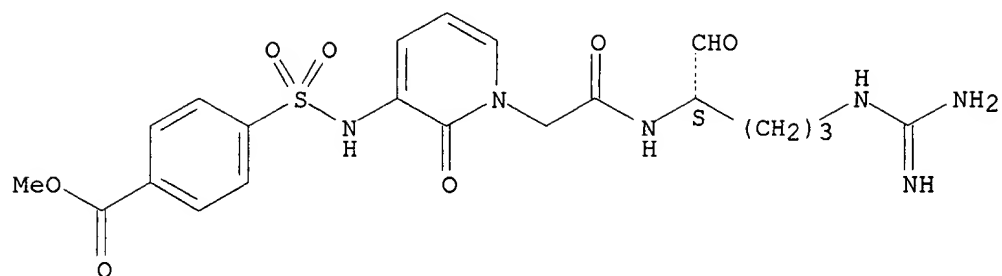
RN 195204-33-2 CAPLUS

CN Benzoic acid, 4-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



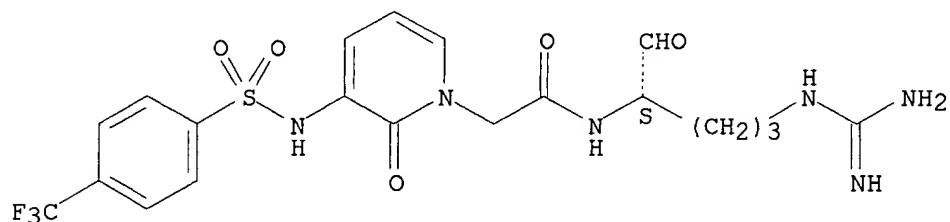
09/ 716,962



RN 195204-37-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI)  
(CA INDEX NAME)

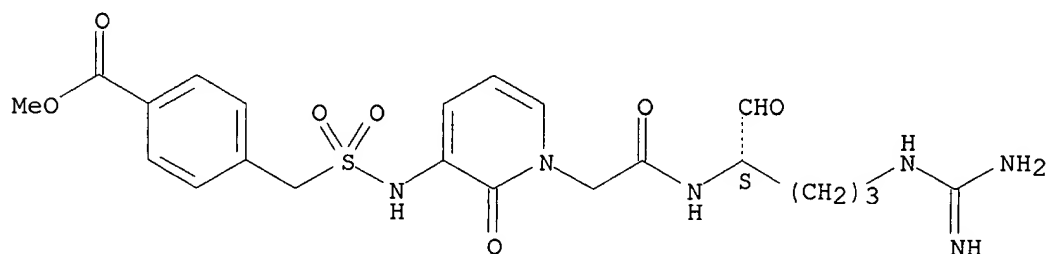
Absolute stereochemistry.



RN 195204-41-2 CAPLUS

CN Benzoic acid, 4-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

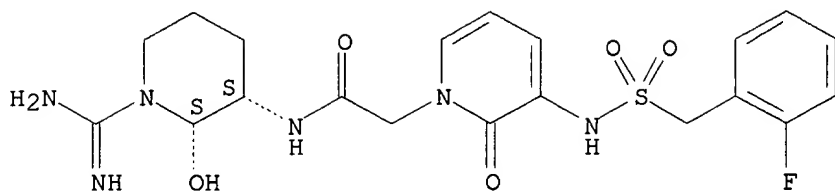


RN 199873-32-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

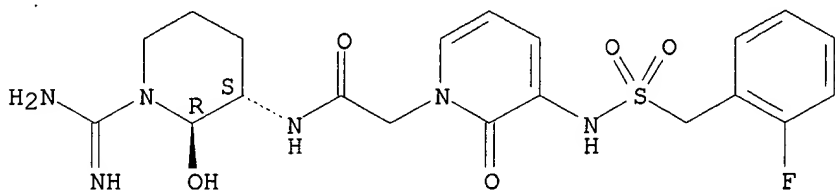
09/ 716,962



RN 199873-70-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252860-86-9 CAPLUS

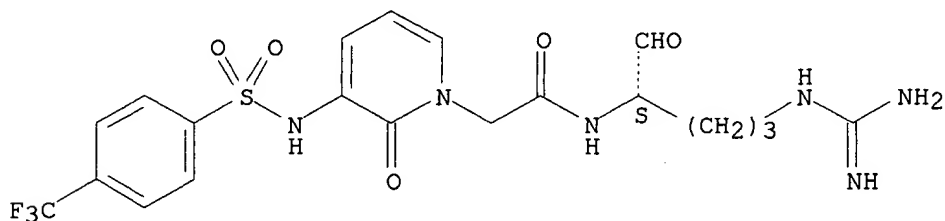
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 195204-37-6

CMF C20 H23 F3 N6 O5 S

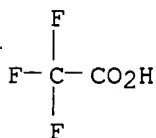
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



3/3

09/ 716,962

REFERENCE COUNT: 10  
 REFERENCE(S): (1) Anon; WO 94/17817 1994 CAPLUS  
 (2) Anon; WO 9526958 1995 CAPLUS  
 (3) Bernstein; J Med Chem 1994, V37(20), P3313 CAPLUS  
 (7) Tamura; US 5656646 1997 CAPLUS  
 (8) Tamura; US 5658930 1997 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1999:818256 CAPLUS  
 DOCUMENT NUMBER: 132:50256  
 TITLE: Preparation of aromatic heterocyclic derivatives as  
 enzyme inhibitors  
 INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka,  
 William Charles; Ardecky, Robert John; Ge, Yu;  
 Carpenter, Stephen H.; Brunck, Terence K.; Lim-Wilby,  
 Marguerita S. L.; Nutt, Ruth F.; Abelman, Matthew M.  
 PATENT ASSIGNEE(S): Corvas International, Inc., USA  
 SOURCE: U.S., 80 pp., Cont.-in-part of U.S. 5,658,930.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6008351	A	19991228	US 1995-573775	19951218
US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
US 6011158	A	20000104	US 1996-659983	19960607
PRIORITY APPLN. INFO.:			US 1994-356833	19941213
			US 1995-481660	19950607
			US 1995-484506	19950607
			US 1995-573775	19951218

OTHER SOURCE(S): MARPAT 132:50256  
 AB Peptide aldehydes R1-X-NH-Het-CHR2CONHCH(CH2R3)CHO-(S) [X = SO2, NR'SO2  
 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, P(O)R'', or a direct link;  
 R1 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl,  
 etc.; R2 = H, alkyl, alkenyl; R3 = 2-guanidinoethyl, 3-amidinocyclohexyl  
 or -Ph, or 1-amidino-3-piperidinyl; Het is a heterocyclic group, e. g.,  
 (un)substituted 4-oxo- or 2,4-dioxo-3,5-pyrimidinediyl] were prepd. as  
 thrombin inhibitors. Thus, N-[3-[(benzylsulfonyl)amino]-2-oxo-1,2-  
 dihydropyridyl]acetyl-L-argininal was prepd., prepd. by a multistep  
 procedure which starts with conversion of N.alpha.-tert-butoxycarbonyl-  
 N.gamma.-nitroarginine to the lactam, showed Ki = 289 +/- 32 pM for  
 inhibition of human .alpha.-thrombin amidolytic activity.

IT 179523-57-0P 179523-58-1P 179523-65-0P  
 179525-00-9P 179525-02-1P 179525-04-3P  
 179525-06-5P 179525-08-7P 179525-10-1P  
 179525-12-3P 179525-18-9P 179525-20-3P  
 179525-22-5P 179525-24-7P 179525-26-9P  
 179525-28-1P 179525-44-1P 179525-54-3P  
 179525-56-5P 179525-61-2P 179525-63-4P  
 179525-65-6P 179525-71-4P 179525-90-7P  
 179525-92-9P 179525-96-3P 179526-12-6P  
 179526-81-9P 199873-32-0P 199873-70-6P  
 252860-86-9P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study);

09/ 716,962

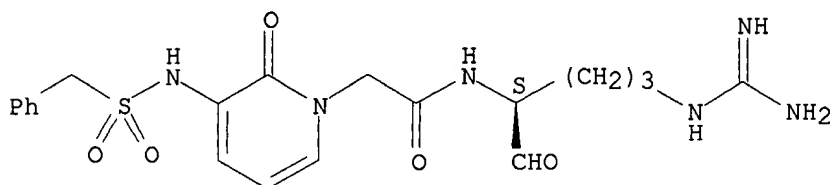
PREP (Preparation); USES (Uses)

(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

RN 179523-57-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179523-58-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

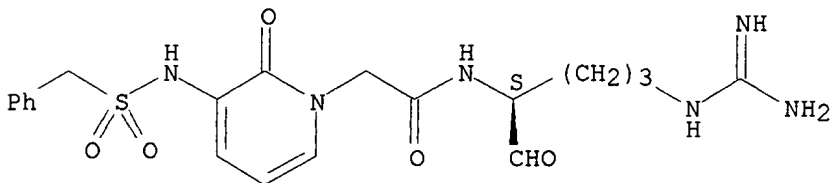
CM 1

CRN 179523-57-0

CMF C20 H26 N6 O5 S

CDES 1:S

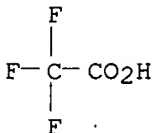
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179523-65-0 CAPLUS

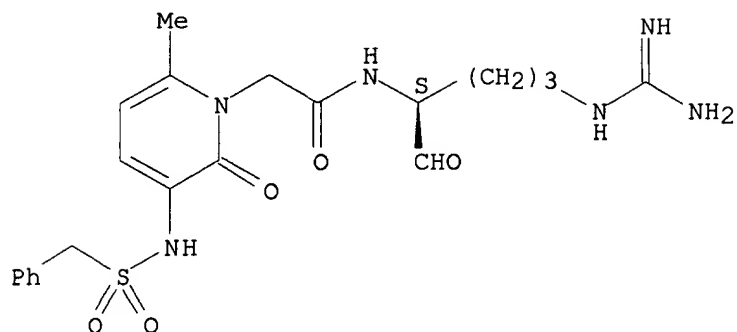
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

09/ 716,962

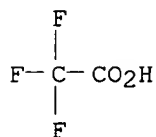
CRN 179523-64-9  
CMF C21 H28 N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

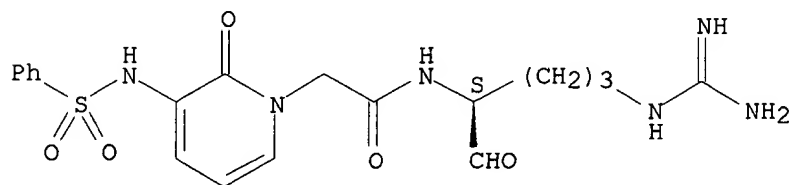


RN 179525-00-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylsulfonyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179524-99-3  
CMF C19 H24 N6 O5 S  
CDES 1:S

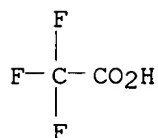
Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

09/ 716,962



RN 179525-02-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(1-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

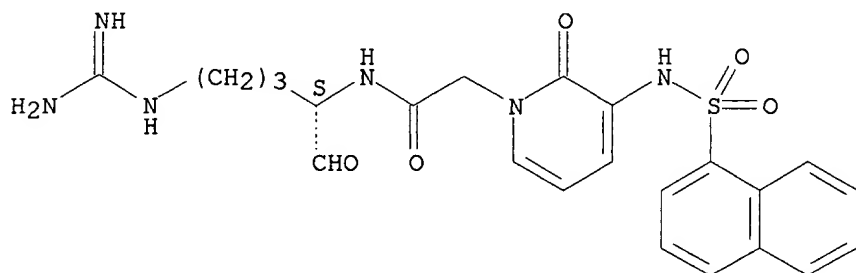
CM 1

CRN 179525-01-0

CMF C23 H26 N6 O5 S

CDES 1:S

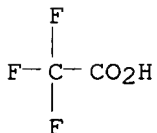
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-04-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(2-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

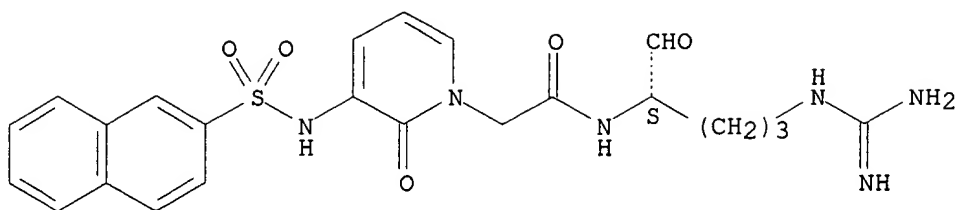
CRN 179525-03-2

CMF C23 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.

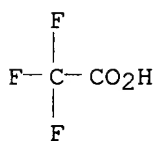
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-06-5 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

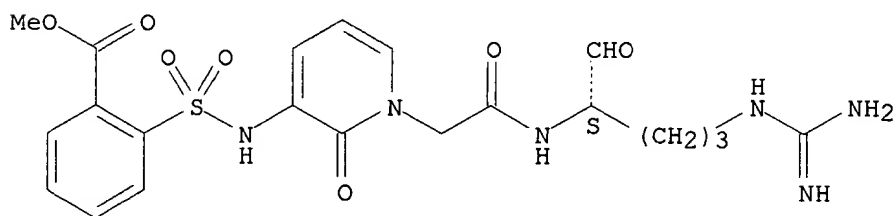
CM 1

CRN 179525-05-4

CMF C21 H26 N6 O7 S

CDES 1:S

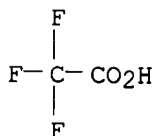
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962

RN 179525-08-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl)methyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

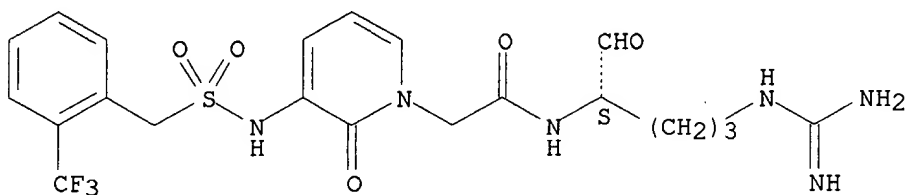
CM 1

CRN 179525-07-6

CMF C21 H25 F3 N6 O5 S

CDES 1:S

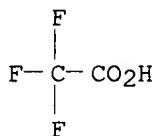
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-10-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(cyclohexylamino)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

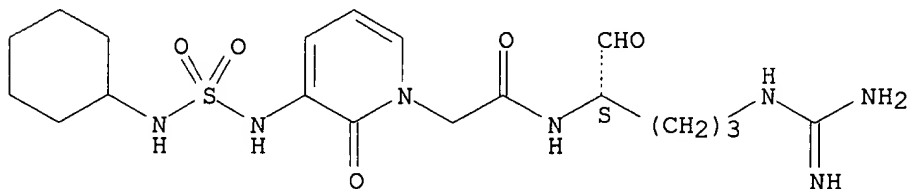
CM 1

CRN 179525-09-8

CMF C19 H31 N7 O5 S

CDES 1:S

Absolute stereochemistry.

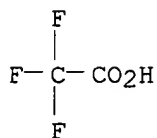


CM 2



09/ 716,962

CRN 76-05-1  
CMF C2 H F3 O2

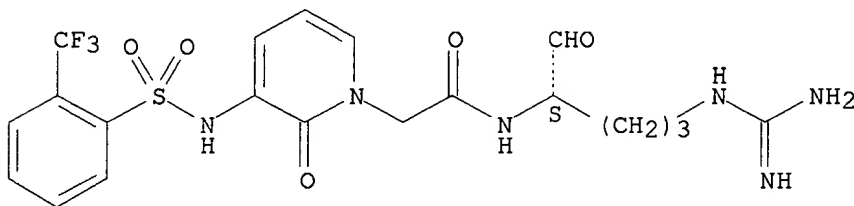


RN 179525-12-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

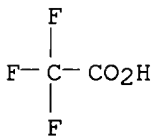
CRN 179525-11-2  
CMF C20 H23 F3 N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



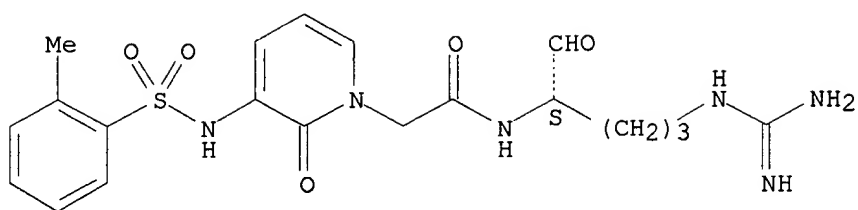
RN 179525-18-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[2-methylphenyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-17-8  
CMF C20 H26 N6 O5 S  
CDES 1:S

Absolute stereochemistry.

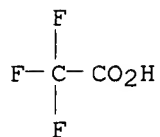
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-20-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

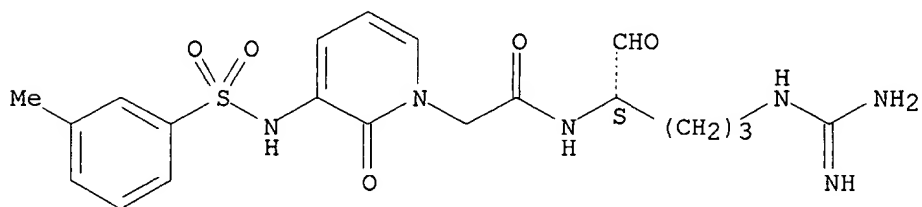
CM 1

CRN 179525-19-0

CMF C20 H26 N6 O5 S

CDES 1:S

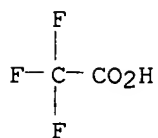
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-22-5 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-fluoro-2-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

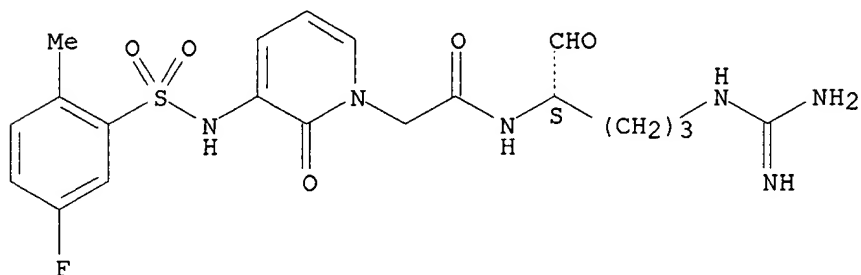
CM 1

CRN 179525-21-4

CMF C20 H25 F N6 O5 S

CDES 1:S

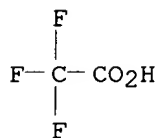
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-24-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methoxyphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

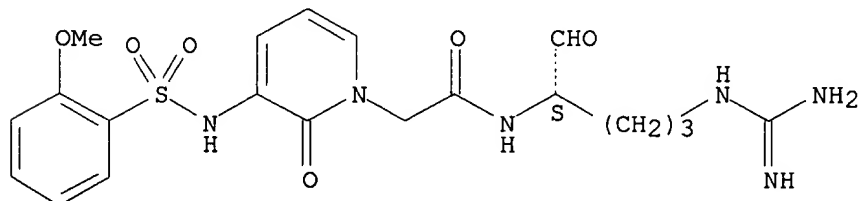
CM 1

CRN 179525-23-6

CMF C20 H26 N6 O6 S

CDES 1:S

Absolute stereochemistry.

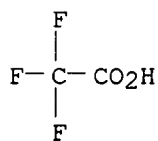


09/ 716,962

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-26-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-methoxyphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

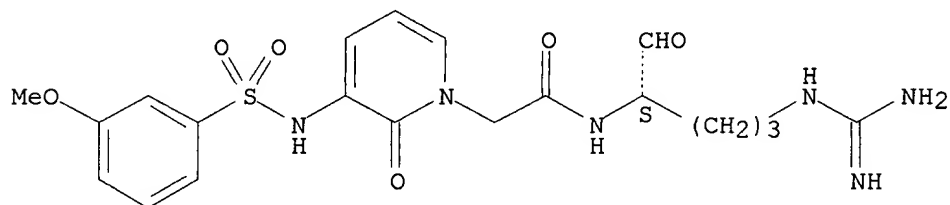
CM 1

CRN 179525-25-8

CMF C20 H26 N6 O6 S

CDES 1:S

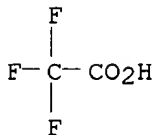
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-28-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-aminophenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

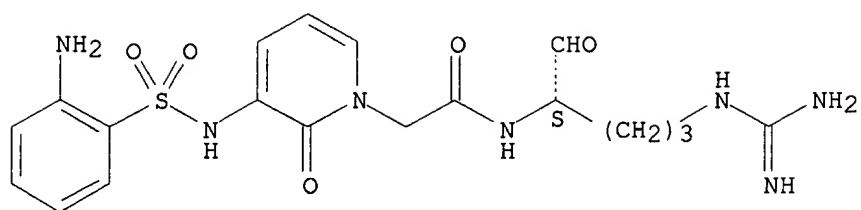
CRN 179525-27-0

CMF C19 H25 N7 O5 S

CDES 1:S

Absolute stereochemistry.

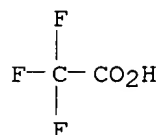
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-44-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-fluorophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

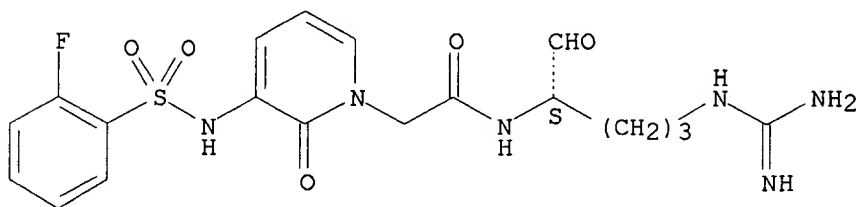
CM 1

CRN 179525-43-0

CMF C19 H23 F N6 O5 S

CDES 1:S

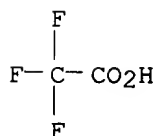
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-54-3 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,6-difluorophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

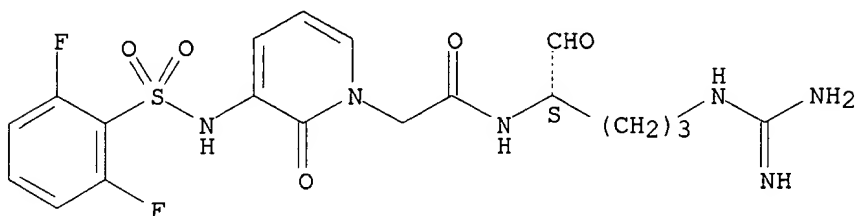
CM 1

CRN 179525-53-2

CMF C19 H22 F2 N6 O5 S

CDES 1:S

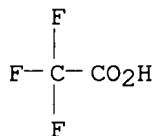
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-56-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (2-phenylethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

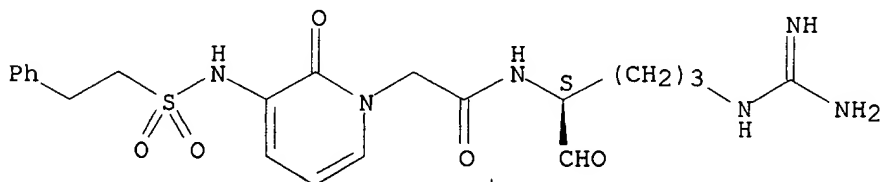
CM 1

CRN 179525-55-4

CMF C21 H28 N6 O5 S

CDES 1:S

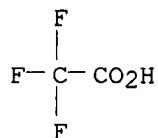
Absolute stereochemistry.



CM 2

09/ 716,962

CRN 76-05-1  
CMF C2 H F3 O2

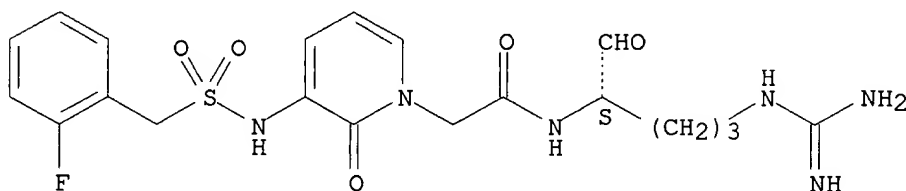


RN 179525-61-2 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

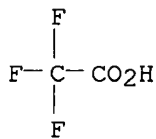
CRN 179524-67-5  
CMF C20 H25 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



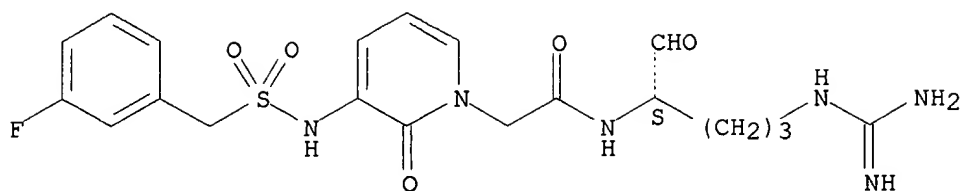
RN 179525-63-4 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-62-3  
CMF C20 H25 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.

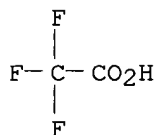
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-65-6 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

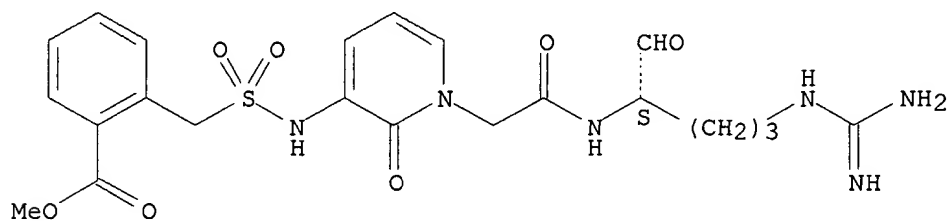
CM 1

CRN 179525-64-5

CMF C22 H28 N6 O7 S

CDES 1:S

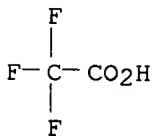
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2





09/ 716,962

RN 179525-71-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2,5-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

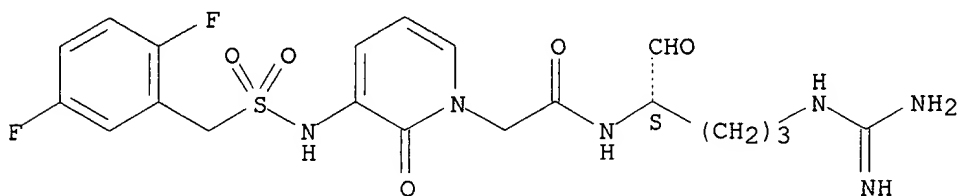
CM 1

CRN 179525-70-3

CMF C20 H24 F2 N6 O5 S

CDES 1:S

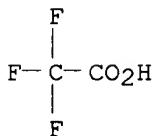
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-90-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(5-fluoro-2-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

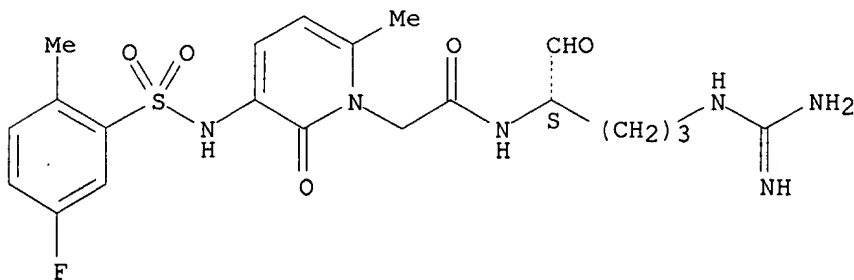
CM 1

CRN 179525-89-4

CMF C21 H27 F N6 O5 S

CDES 1:S

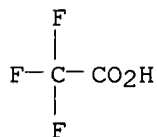
Absolute stereochemistry.



09/ 716,962

CM 2

CRN 76-05-1  
CMF C2 H F3 O2

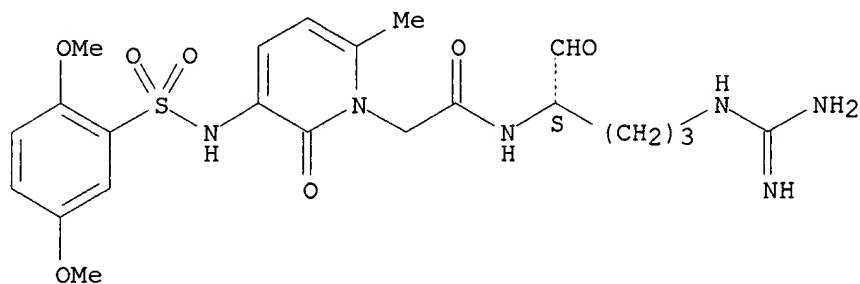


RN 179525-92-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,5-dimethoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

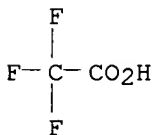
CRN 179525-91-8  
CMF C22 H30 N6 O7 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



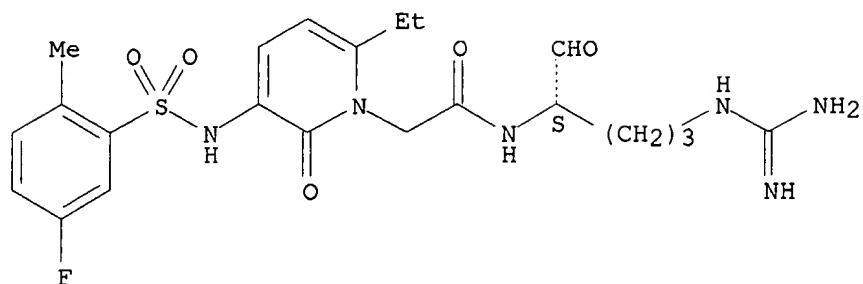
RN 179525-96-3 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-ethyl-3-[[ (5-fluoro-2-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

09/ 716,962

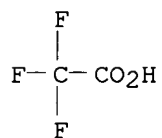
CRN 179525-95-2  
CMF C22 H29 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

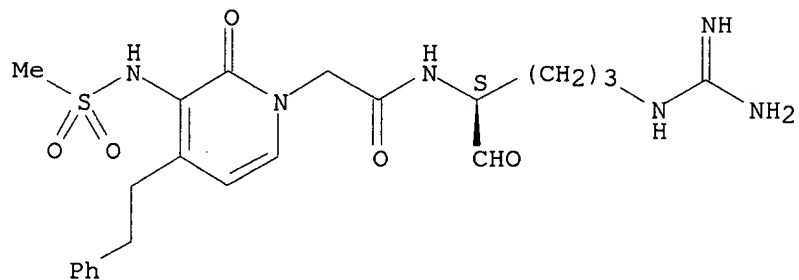


RN 179526-12-6 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179526-11-5  
CMF C22 H30 N6 O5 S  
CDES 1:S

Absolute stereochemistry.

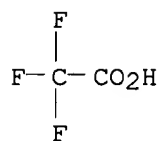


CM 2

CRN 76-05-1

09/ 716,962

CMF C2 H F3 O2



RN 179526-81-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

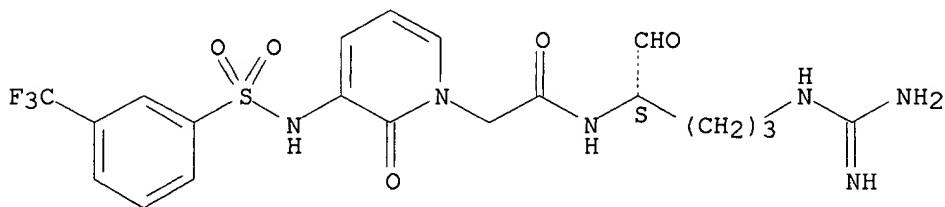
CM 1

CRN 179526-80-8

CMF C20 H23 F3 N6 O5 S

CDES 1:S

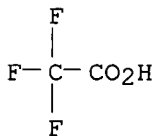
Absolute stereochemistry.



CM 2

CRN 76-05-1

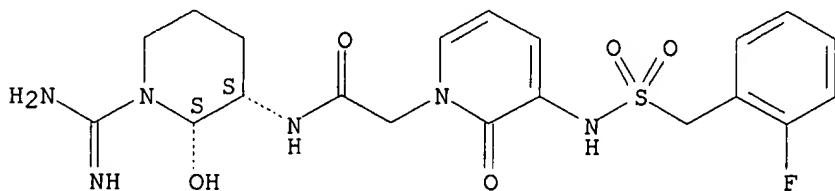
CMF C2 H F3 O2



RN 199873-32-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

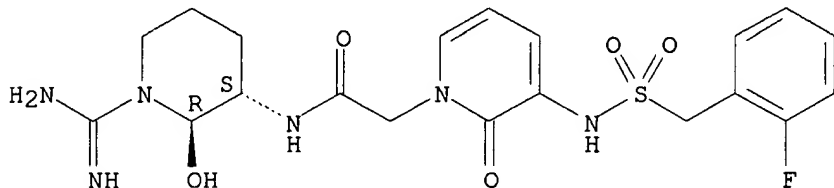


09/ 716,962

RN 199873-70-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252860-86-9 CAPLUS

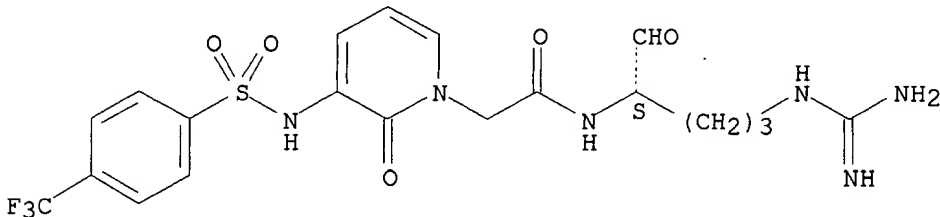
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 195204-37-6

CMF C20 H23 F3 N6 O5 S

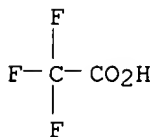
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT:

REFERENCE(S):

11

(1) Anon; WO 94/17817 1994 CAPLUS

(2) Anon; WO 95/26958 1995 CAPLUS

(4) Damewood; J Med Chem 1994, V37, P3303 CAPLUS

(6) Skiles; Bioorg Med Chem Lett 1993, V3(4), P773 CAPLUS

(7) Tamura; US 5656645 1997 CAPLUS

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L5 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:764039 CAPLUS

DOCUMENT NUMBER: 132:12328

TITLE: Preparation of imidazopyridines as thrombin inhibitors

INVENTOR(S): Sanderson, Philip E.; Naylor-Olsen, Adel M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

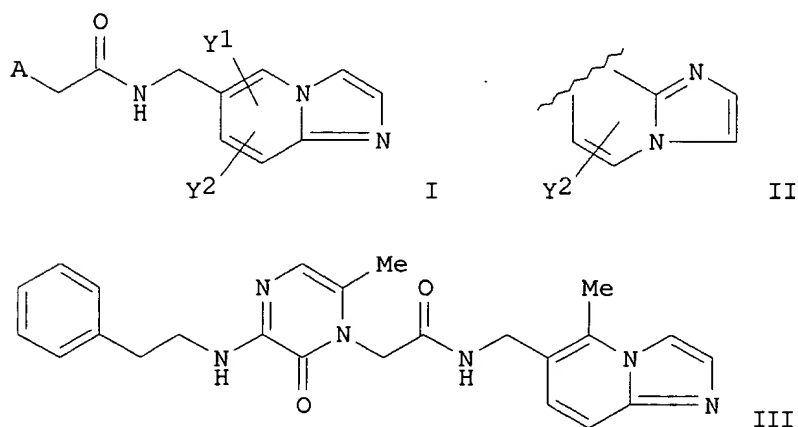
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961442	A1	19991202	WO 1999-US11463	19990524
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940969	A1	19991213	AU 1999-40969	19990524
EP 1082324	A1	20010314	EP 1999-924483	19990524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
US 6093717	A	20000725	US 1999-320339	19990526
PRIORITY APPLN. INFO.:			US 1998-86723	P 19980526
			WO 1999-US11463	W 19990524
OTHER SOURCE(S):		MARPAT 132:12328		
GI				



AB The title compds. [I or II; Y1, Y2 = H, C1-4 alkyl, C1-4 alkoxy, C3-7 cycloalkyl, halo, CF<sub>3</sub>; A = residue of N-linked pyrazinone, pyridinone or tetrahydronaphthyridinone deriv. of specified structure] or their pharmaceutically acceptable salts, useful in inhibiting thrombin and assocd. **thrombotic** occlusions, were prepd. by cyclocondensation of the known 2-aminopyridine precursors with .alpha.-halo acetaldehydes.

Ten compds. I and II are prepd. and claimed. For example, imidazopyridine deriv. III-2HCl (IV) was prepd. by cyclocondensation of known 3-(2-phenylethylamino)-6-methyl-1-(2-amino-6-methyl-5-methylenecarboxamidomethylenepyridinyl)pyrazinone with BrCH<sub>2</sub>CH(OEt)<sub>2</sub>. The tablets and i.v. injection formulations contg. IV and 3 other related compds. are given.

IT **251538-92-8P 251538-94-0P**

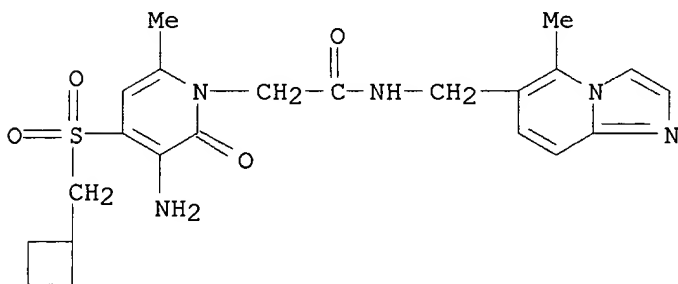
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of imidazopyridines as thrombin inhibitors)

RN 251538-92-8 CAPLUS

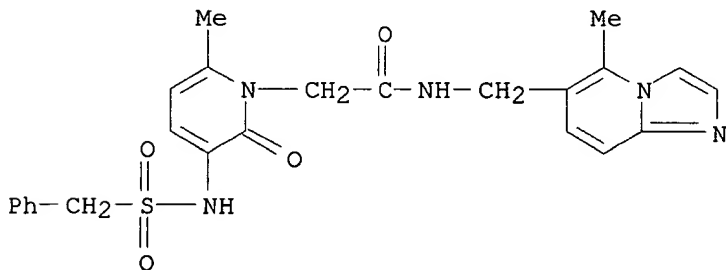
CN 1(2H)-Pyridineacetamide, 3-amino-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-N-[(5-methylimidazo[1,2-a]pyridin-6-yl)methyl]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251538-94-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 6-methyl-N-[(5-methylimidazo[1,2-a]pyridin-6-yl)methyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

1

REFERENCE(S):

(1) Sanderson; US 5866573 A 1999 CAPLUS

L5 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:226282 CAPLUS

DOCUMENT NUMBER: 131:27721

TITLE: Antithrombotic efficacy of thrombin inhibitor L-374,087: intravenous activity in a primate model of venous thrombus extension and oral activity in a canine model of primary venous and coronary artery **thrombosis**

AUTHOR(S): Cook, Jacquelyn J.; Gardell, Stephen J.; Holahan, Marie A.; Sitko, Gary R.; Stump, Gary L.; Wallace, Audrey A.; Gilberto, David B.; Hare, Timothy R.; Krueger, Julie A.; Dyer, Dona L.; Sanderson, Philip E. J.; Vacca, Joseph P.; Shafer, Jules A.; Lynch, Joseph J., Jr.

CORPORATE SOURCE: Departments of Pharmacology, Merck Research Laboratories, West Point, PA, USA

SOURCE: J. Pharmacol. Exp. Ther. (1999), 289(1), 503-510  
CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The small mol. direct thrombin inhibitor L-374,087 was characterized across species in an in vitro activated partial **thromboplastin** clotting time (aPTT) assay and in vivo in rhesus monkey and dog **thrombosis** models. In vitro in rhesus, dog, and human plasma, L-374,087 concns. eliciting 2-fold increases in aPTT were 0.25, 1.9, and 0.28 .mu.M, resp. In anesthetized rhesus monkeys, 300 .mu.g/kg bolus plus 12 .mu.g/kg/min and 300 .mu.g/kg bolus plus 30 .mu.g/kg/min L-374,087 i.v. infusions significantly reduced jugular vein thrombus extension, with both regimens limiting venous thrombus extension to 2-fold that of baseline thrombus mass compared with a 5-fold extension obsd. in the vehicle control group. Antithrombotic efficacy in the rhesus with the lower-dose regimen was achieved with 2.3- to 2.4-fold increases in aPTT and prothrombin time. In a conscious instrumented dog model of electrolytic vessel injury, the oral administration of two 10 mg/kg L-374,087 doses 12 h apart significantly reduced jugular vein thrombus mass, reduced the incidence of and delayed time to occlusive coronary artery **thrombosis**, and significantly reduced coronary artery thrombus mass and ensuing posterolateral myocardial infarct size. Antithrombotic efficacy in the dog was achieved with 1.6- to 2.0-fold increases in aPTT at 1 to 6 h after oral dosing with L-374,087. These results indicate significant antithrombotic efficacy against both venous and coronary arterial **thrombosis** with L-374,087 with only moderate elevations in aPTT or prothrombin time. The oral efficacy of L-374,087 characterizes this compd. as a prototype for the further development of orally active direct thrombin inhibitors.

IT 187162-39-6, L 374087

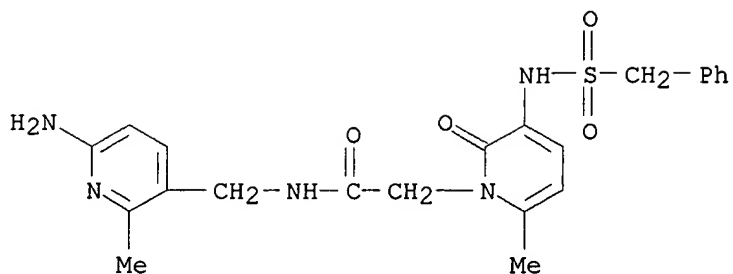
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (**Therapeutic use**); BIOL (Biological study); USES (Uses)

(antithrombotic efficacy of thrombin inhibitor L-374,087 administered i.v. in primate venous thrombus extension model and orally in canine primary venous and coronary artery **thrombosis**)

RN 187162-39-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 39  
 REFERENCE(S): (3) Antman, E; Circulation 1996, V94, P911 CAPLUS  
 (4) Bittl, J; N Engl J Med 1995, V333, P764 CAPLUS  
 (5) Brady, S; J Med Chem 1998, V41, P401 CAPLUS  
 (8) Collier, B; Circulation 1989, V80, P1766 CAPLUS  
 (9) Cook, J; Circulation 1995, V91, P2961 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:26714 CAPLUS

DOCUMENT NUMBER: 130:204895

TITLE: Amrinone, a phosphodiesterase III inhibitor, and arachidonic acid metabolism in humans

AUTHOR(S): Kerttula, Tapio; Alanko, Juha; Seppala, Erkki; Riutta, Asko; Mucha, Istvan; Sievi, Eeva; Kaukinen, Seppo  
 CORPORATE SOURCE: Department of Clinical Chemistry, Tampere University Hospital, Tampere, Finland

SOURCE: J. Cardiovasc. Pharmacol. (1999), 33(1), 140-143

CODEN: JPCPDT; ISSN: 0160-2446

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Amrinone, a phosphodiesterase III inhibitor, is used in the treatment of acute heart failure. In addn. to its hemodynamic effects, amrinone has been shown to inhibit **thromboxane** synthesis in vitro. We investigated the effects of amrinone on **thromboxane**, prostaglandin, and leukotriene synthesis in humans. Eight healthy male volunteers took part in this single-blind study in which either amrinone (a 1.5 mg/kg bolus in 30 min and after that 10 .mu.g/kg/min for 1 h 30 min) or placebo (0.9% NaCl) were infused. Amrinone infusion increased systolic blood pressure but had no significant effect on diastolic blood pressure or heart rate. Amrinone did not modulate **thromboxane** B2 synthesis stimulated by either spontaneous clotting or calcium-ionophore A23187 in whole blood. Amrinone had no effects on prostaglandin E2 or leukotriene E4 prodn. in A23187-stimulated whole blood, nor did it affect urinary excretion of 11-dehydrothromboxane B2 or 2,3-dinor-6-keto-prostaglandin F1.alpha., the index metabolites of **thromboxane** A2 and prostacyclin productions, resp. We conclude that amrinone has no effects on eicosanoid prodn. in humans at the dose level used in this study, and that the hemodynamic effects noticed are not mediated via cyclooxygenase or lipoxygenase products of arachidonic acid metab.

IT 60719-84-8, Amrinone

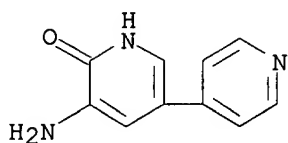
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amrinone, a phosphodiesterase III inhibitor, and arachidonic acid metab. in humans)

RN 60719-84-8 CAPLUS

09/ 716,962

CN [3,4'-Bipyridin]-6(1H)-one, 5-amino- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

21

REFERENCE(S):

- (1) Alanko, J; Eicosanoids 1992, V5, P169 CAPLUS
- (2) Alanko, J; Prostaglandins 1991, V42, P279 CAPLUS
- (3) Alousi, A; Circ Res 1979, V45, P666 CAPLUS
- (4) Banner, K; Eur Respir J 1995, V8, P996 CAPLUS
- (5) de Mey, C; Eur J Clin Pharmacol 1991, V40, P373 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:745086 CAPLUS

DOCUMENT NUMBER: 130:4091

TITLE: Preparation of backbone-cyclized peptide derivatives as serine protease and thrombin inhibitors

INVENTOR(S): Adang, Anton Egbert Peter

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

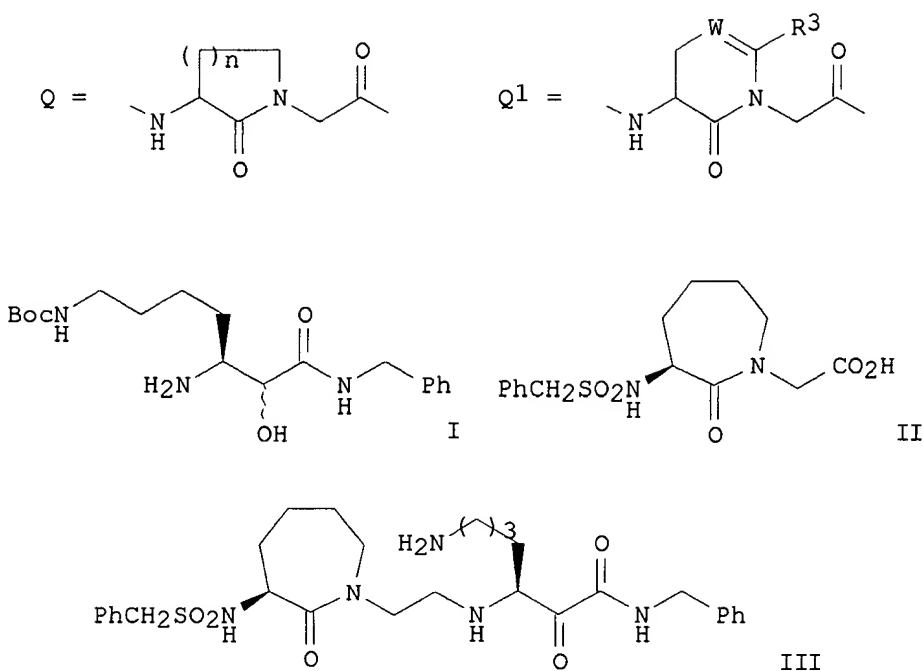
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850420	A1	19981112	WO 1998-EP2587	19980428
W:				
AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9876520	A1	19981127	AU 1998-76520	19980428
AU 729910	B2	20010215		
EP 979240	A1	20000216	EP 1998-924265	19980428
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809342	A	20000704	BR 1998-9342	19980428
ZA 9803629	A	19981104	ZA 1998-3629	19980429
NO 9905316	A	19991101	NO 1999-5316	19991101

PRIORITY APPLN. INFO.:

EP 1997-201286 A 19970502  
WO 1998-EP2587 W 19980428

OTHER SOURCE(S): MARPAT 130:4091

GI



AB The invention relates peptide derivs. R1SO<sub>2</sub>-B-X-Z-CO-Y [B = bond, amino acid NHCH[(CH<sub>2</sub>)<sub>p</sub>CO<sub>2</sub>H]CO or ester deriv. thereof, Gly, D-1-perhydroisquinolinecarboxylic acid (D-1-Piq), D-3-Piq, D-1,2,3,4-tetrahydroisoquinoline-1-carboxylic acid (D-1-Tiq), D-3-Tiq, D-aminotetralincarboxylic acid, aminoindanecarboxylic acid, L- or D-amino acid contg. hydrophobic, basic, or neutral side chain; X = amino acid contg. hydrophobic side chain, Gln, Ser, Thr, 2-aminoisobutyric acid, NR<sub>2</sub>CH<sub>2</sub>CO, Q, Q1, cyclic amino acid optionally contg. addnl. heteroatom N, O or S, (un)substituted with C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, PhCH<sub>2</sub>O, oxo; Z = Lys, 4-aminocyclohexylglycine; Y = (un)substituted NHC<sub>1-6</sub> alkylene-Ph, OR<sub>4</sub>, NR<sub>5</sub>R<sub>6</sub>; W = CH, N; R<sub>1</sub> = R<sub>2</sub>O<sub>2</sub>C(CHR<sub>2</sub>)<sub>m</sub>, R<sub>2</sub>NH(CHR<sub>2</sub>)<sub>m</sub>, (un)substituted C<sub>1-12</sub> alkyl, C<sub>2-12</sub> alkenyl, C<sub>6-14</sub> aryl, C<sub>7-15</sub> aralkyl, C<sub>8-16</sub> aralkenyl; each R<sub>2</sub> = independently H, C<sub>1-12</sub> alkyl, C<sub>3-8</sub> cycloalkyl, (un)substituted C<sub>6-14</sub> aryl or C<sub>7-15</sub> aralkyl; R<sub>3</sub> = H, C<sub>1-6</sub>alkyl, Ph optionally substituted with OH, C<sub>1-6</sub> alkoxy, CO<sub>2</sub>H, CO<sub>2</sub>-C<sub>1-6</sub> alkyl, CONH<sub>2</sub>, halo; R<sub>4</sub> = H, C<sub>2-6</sub> alkyl, CH<sub>2</sub>Ph; R<sub>5</sub>, R<sub>6</sub> = independently H, C<sub>1-6</sub> alkoxy, (un)substituted C<sub>1-6</sub> alkyl; R<sub>5</sub>R<sub>6</sub> = CH<sub>2</sub>CH<sub>2</sub>VCH<sub>2</sub>CH<sub>2</sub>; V = O, S, SO<sub>2</sub>; m = 1-3; n = 2-4; p = 1-3]. The compds. of the invention have **anticoagulant** activity and can be used in treating or preventing thrombin-related diseases. Thus, coupling of homologated Lys deriv. I (prepd. in 6 steps from Cbz-Lys(Boc)-OH, NaCN, and benzylamine) with backbone-cyclized dipeptide deriv. II (prepd. in 4 steps from L-α-amino-ε-caprolactam, Me bromoacetate, and benzyisulfonyl chloride), followed by oxidn. and deprotection gave desired title compd. III. III inhibited factor Xa with IC<sub>50</sub> = 0.64 μM.

IT 215791-31-4P 215791-32-5P 215791-34-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of backbone-cyclized peptide derivs. as serine protease inhibitors)

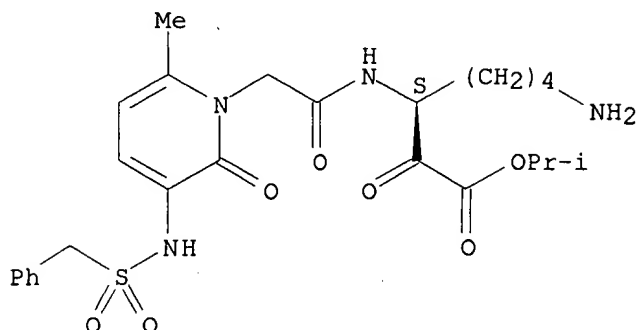
RN 215791-31-4 CAPLUS

CN Heptanoic acid, 7-amino-3-[[[6-methyl-2-oxo-3-[[[phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]-2-oxo-,

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1-methylethyl ester, (3S)- (9CI) (CA INDEX NAME)

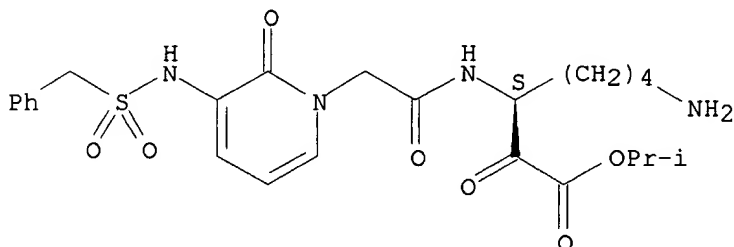
Absolute stereochemistry.



RN 215791-32-5 CAPLUS

CN Heptanoic acid, 7-amino-2-oxo-3-[[[2-oxo-3-[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]-, 1-methylethyl ester, (3S)- (9CI) (CA INDEX NAME)

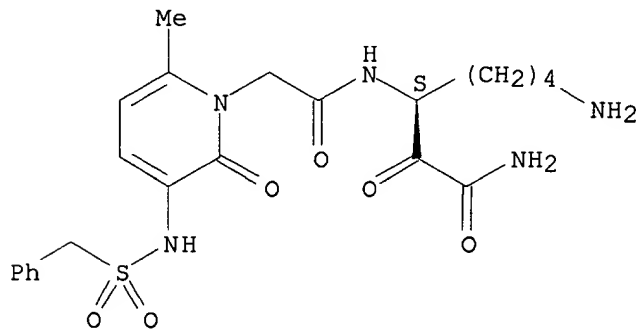
Absolute stereochemistry.



RN 215791-34-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-5-amino-1-(aminooxoacetyl)pentyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

REFERENCE(S):

- (1) Cor Therapeutics Inc; WO 9640743 A 1996 CAPLUS
- (2) Jones, D; JOURNAL OF ENZYME INHIBITION 1995, V9, P43 CAPLUS

L5 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:642711 CAPLUS

DOCUMENT NUMBER: 130:47115

TITLE: Efficacious, Orally Bioavailable Thrombin Inhibitors Based on 3-Aminopyridinone or 3-Aminopyrazinone Acetamide Peptidomimetic Templates

AUTHOR(S): Sanderson, Philip E. J.; Lyle, Terry A.; Cutrona, Kellie J.; Dyer, Dona L.; Dorsey, Bruce D.; McDonough, Colleen M.; Naylor-Olsen, Adel M.; Chen, I-Wu; Chen, Zhongguo; Cook, Jacquelyn J.; Cooper, Carolyn M.; Gardell, Stephen J.; Hare, Timothy R.; Krueger, Julie A.; Lewis, S. Dale; Lin, Jiunn H.; Lucas, Bobby J., Jr.; Lyle, Elizabeth A.; Lynch, Joseph J.; Stranieri, Maria T.; Vastag, Kari; Yan, Youwei; Shafer, Jules A.; Vacca, Joseph P.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: J. Med. Chem. (1998), 41(23), 4466-4474

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The key deficiency of noncovalent pyridinone acetamide thrombin inhibitor L-374,087 (I), namely, its modest half-lives in animals, was addressed by making a chem. stable 3-alkylaminopyrazinone bioisostere for its 3-sulfonylaminopyridinone core. The new compd., L-375,378, the closest aminopyrazinone analog of I, has comparable selectivity and slightly decreased efficacy but significantly improved pharmacokinetics in rats, dogs, and monkeys to I. An efficient and versatile synthesis of L-375,378 is presented; this compd. has been chosen for further preclin. and clin. development.

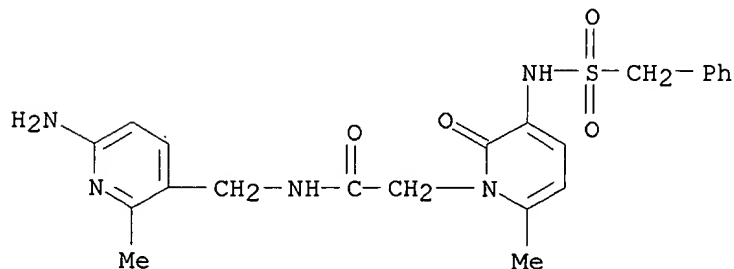
IT 187162-39-6P, L 374087

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); **THU (Therapeutic use)**;

BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (prepn. and structure-activity relations and pharmacokinetics of orally bioavailable thrombin inhibitors)

RN 187162-39-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21

REFERENCE(S):

- (1) Brady, S; J Med Chem 1998, V41, P401 CAPLUS
  - (2) Brown, F; J Med Chem 1994, V37, P1259 CAPLUS
  - (3) Carlsen, P; J Org Chem 1981, V46, P3936 CAPLUS
  - (4) Cousins, G; Circulation 1996, V94, P1705 CAPLUS
  - (6) Feng, D; J Med Chem 1997, V40, P3726 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

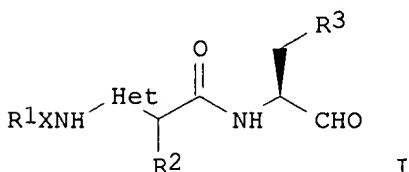
09/ 716,962

L5 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:1379 CAPLUS  
DOCUMENT NUMBER: 128:48502  
TITLE: Preparation of aromatic heterocyclic peptide aldehydes  
as enzyme inhibitors  
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ardecky,  
Robert John; Ge, Yu; Ripka, William Charles; Brunck,  
Terence Kevin; Lim-Wilby, Marguerita S.  
PATENT ASSIGNEE(S): Corvas International, Inc., USA; Tamura, Susan  
Yoshiko; Semple, Joseph Edward; Ardecky, Robert John;  
Ge, Yu; Ripka, William Charles; Brunck, Terence Kevin;  
Lim-Wilby, Marguerita S.  
SOURCE: PCT Int. Appl., 227 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746207	A2	19971211	WO 1997-US9818	19970609
WO 9746207	A3	19980423		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 6011158	A	20000104	US 1996-659983	19960607
AU 9733790	A1	19980105	AU 1997-33790	19970609
PRIORITY APPLN. INFO.:			US 1996-659983	19960607
			US 1994-356833	19941213
			US 1995-481660	19950607
			US 1995-484506	19950607
			US 1995-573775	19951218
			WO 1997-US9818	19970609

OTHER SOURCE(S): MARPAT 128:48502  
GI



AB Peptide aldehydes I [X = SO<sub>2</sub>, NR'SO<sub>2</sub> (R' = H, alkyl, aryl, aralkyl), CO, OCO, NHCO, POR'' (P'' = NR', OR', R', SR'), or a direct bond; R1 = (un)substituted aryl, heteroaryl, aralkyl, heteroaralkyl; R2 = H, alkyl, alkenyl; R3 = 2-amidinoaminoethyl, 3-amidinocyclohexyl, 1-amidino-3-piperidinyl, 3-amidinophenyl; Het = (un)substituted 2-oxo-1,2-dihydro-1,3-pyridinediyl, 4-oxo-3,4-dihydro- or

09/ 716,962

2,4-dioxo-1,2,3,4-tetrahydro-3,5-pyrimidinediyl] and their pharmaceutically acceptable salts were prepd. as potent and specific inhibitors of thrombin. Thus, [3-[(benzylsulfonyl)amino]-2-oxo-1,2-dihydropyridyl]acetyl-L-argininal was prepd. and assayed against human .alpha.-thrombin amidolytic activity ( $K_i = 289 \pm 32$  pM).

IT 179523-57-0P 179523-58-1P 179524-84-6P  
179524-85-7P 179524-87-9P 179524-88-0P  
179524-89-1P 179524-90-4P 179524-91-5P  
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179525-56-5P 179525-61-2P 179525-63-4P  
179525-65-6P 179525-71-4P 179525-90-7P  
179525-92-9P 179525-96-3P 179526-12-6P  
199873-52-4P 199873-55-7P 199873-57-9P  
199873-59-1P 199873-61-5P 199873-63-7P  
199873-65-9P 199873-67-1P 199873-69-3P

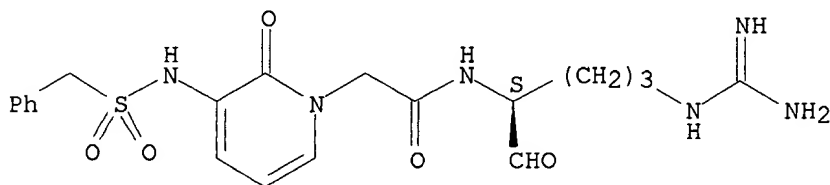
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arom. heterocyclic peptide aldehydes as enzyme inhibitors)

RN 179523-57-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179523-58-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

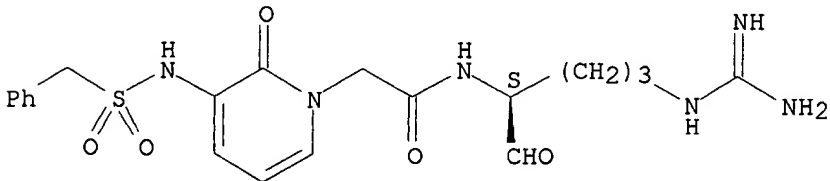
CM 1

CRN 179523-57-0

CMF C20 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.

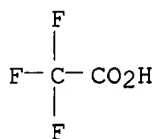


CM 2

CRN 76-05-1

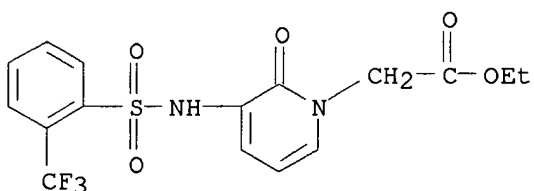
09/ 716,962

CMF C2 H F3 O2



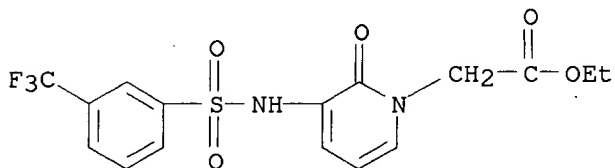
RN 179524-84-6 CAPLUS

CN 1(2H)-Pyridineacetic acid, 2-oxo-3-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



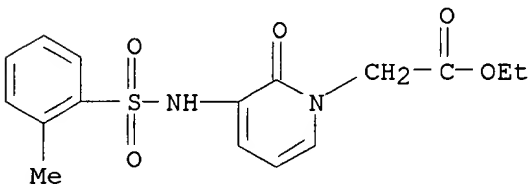
RN 179524-85-7 CAPLUS

CN 1(2H)-Pyridineacetic acid, 2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 179524-87-9 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[[[2-methylphenyl]sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

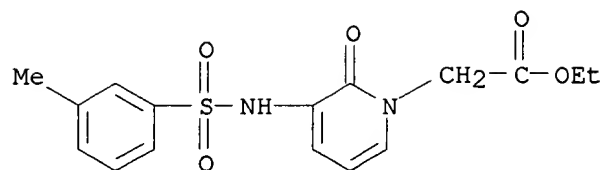


RN 179524-88-0 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[[[3-methylphenyl]sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

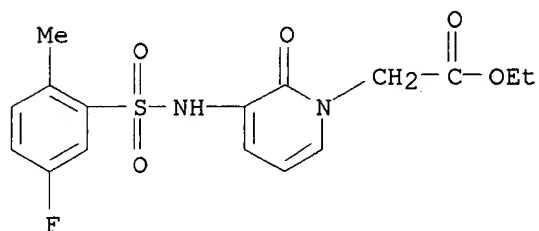


09/ 716,962



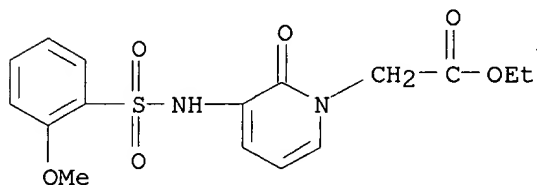
RN 179524-89-1 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[[5-fluoro-2-methylphenyl)sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



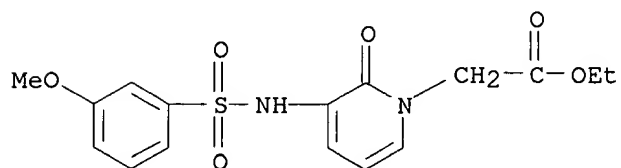
RN 179524-90-4 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[[2-methoxyphenyl)sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 179524-91-5 CAPLUS

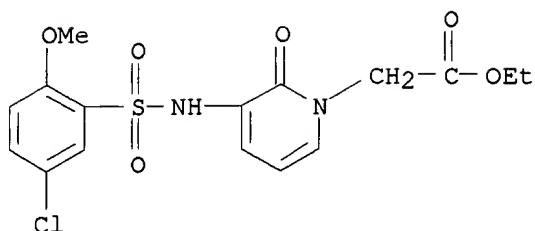
CN 1(2H)-Pyridineacetic acid, 3-[[3-methoxyphenyl)sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 179524-92-6 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[[5-chloro-2-methoxyphenyl)sulfonyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

09/ 716,962

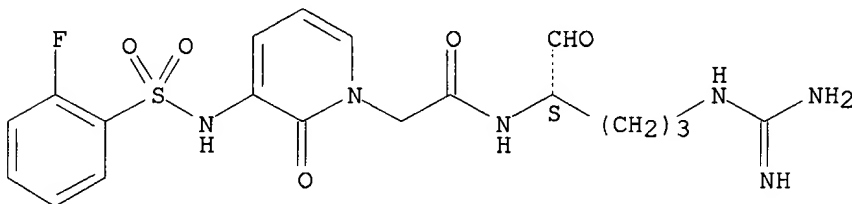


RN 179525-44-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-fluorophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

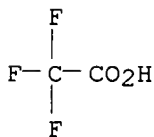
CRN 179525-43-0  
CMF C19 H23 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



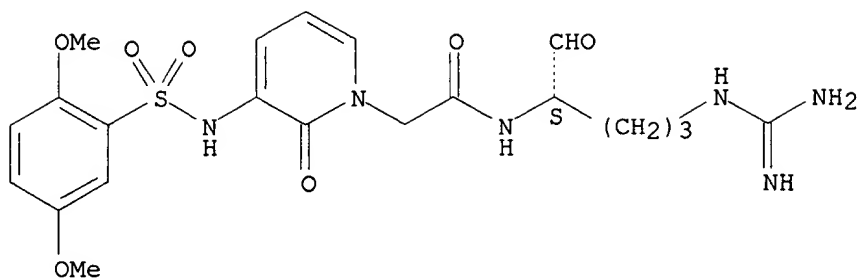
RN 179525-52-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,5-dimethoxyphenyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-51-0  
CMF C21 H28 N6 O7 S  
CDES 1:S

Absolute stereochemistry.

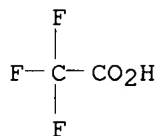
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-56-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[(2-phenylethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

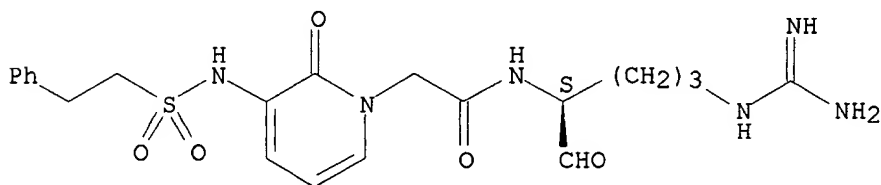
CM 1

CRN 179525-55-4

CMF C21 H28 N6 O5 S

CDES 1:S

Absolute stereochemistry.

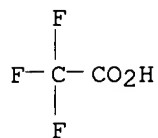


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179525-61-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

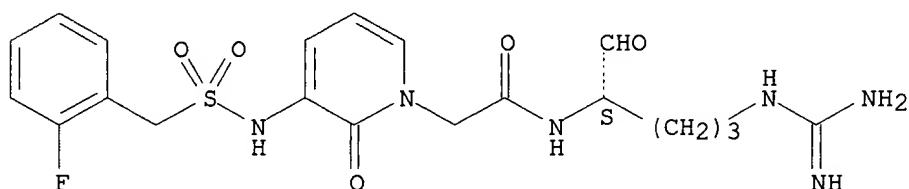
CM 1

CRN 179524-67-5

CMF C20 H25 F N6 O5 S

CDES 1:S

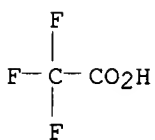
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-63-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

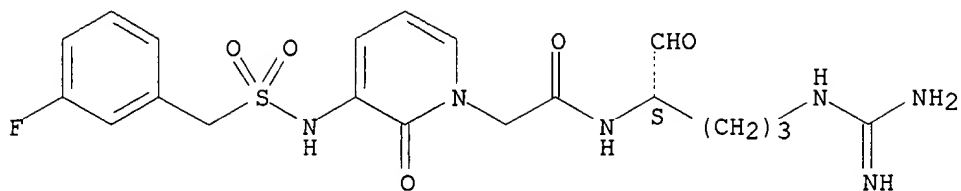
CRN 179525-62-3

CMF C20 H25 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

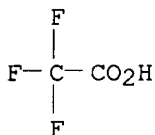
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-65-6 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

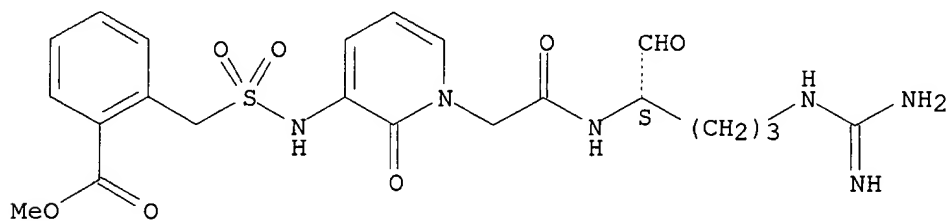
CM 1

CRN 179525-64-5

CMF C22 H28 N6 O7 S

CDES 1:S

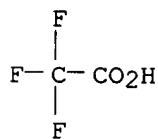
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962

RN 179525-71-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2,5-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

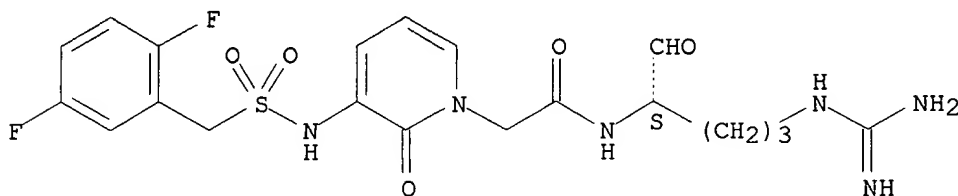
CM 1

CRN 179525-70-3

CMF C20 H24 F2 N6 O5 S

CDES 1:S

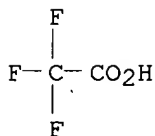
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-90-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(5-fluoro-2-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

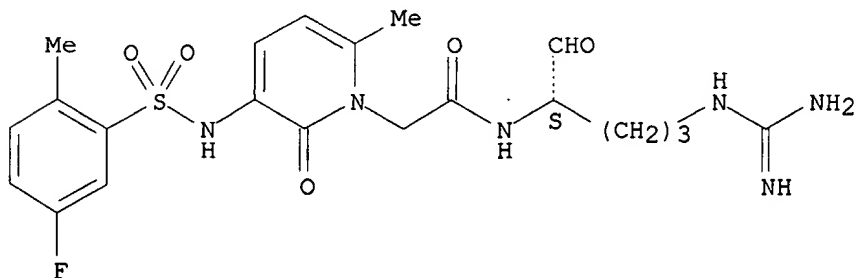
CM 1

CRN 179525-89-4

CMF C21 H27 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

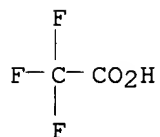


09/ 716,962

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-92-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,5-dimethoxyphenyl) sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

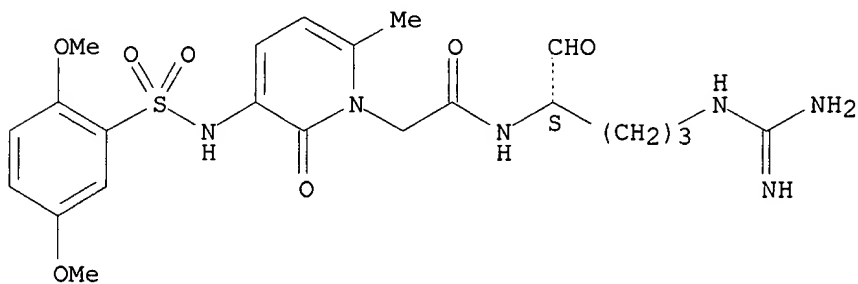
CM 1

CRN 179525-91-8

CMF C22 H30 N6 O7 S

CDES 1:S

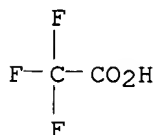
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-96-3 CAPLUS

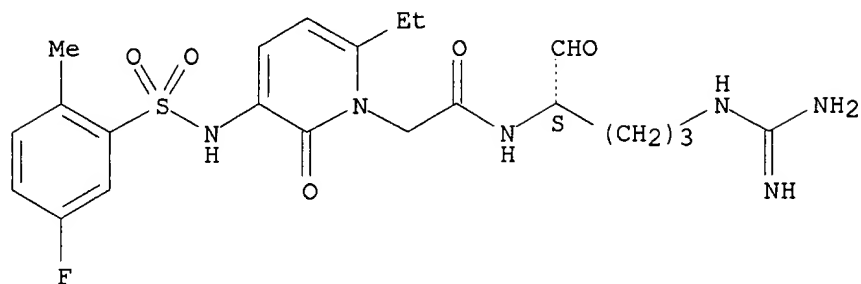
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-ethyl-3-[[ (5-fluoro-2-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

09/ 716,962

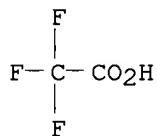
CRN 179525-95-2  
CMF C22 H29 F N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2 .

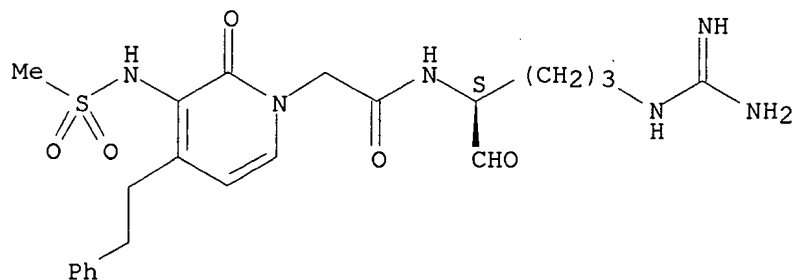


RN 179526-12-6 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179526-11-5  
CMF C22 H30 N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1



09/ 716,962

CMF C2 H F3 O2

$$\begin{array}{c} \text{F} \\ | \\ \text{F}-\text{C}-\text{CO}_2\text{H} \\ | \\ \text{F} \end{array}$$

RN 199873-52-4 CAPLUS  
CN 1(2H)-Pyridineacetic acid, 3-[[ (2-aminophenyl) sulfonyl] amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

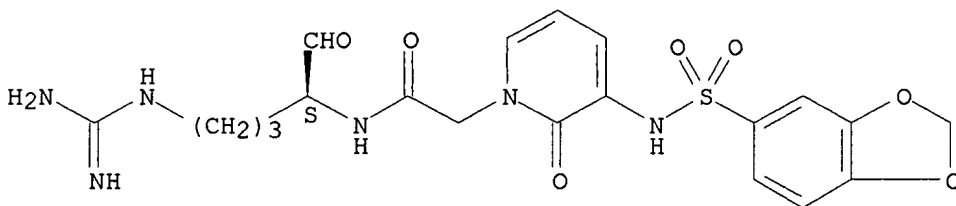
NC1=CC=CC=C1S(=O)(=O)NC2=CC=CC(=O)N2CC(=O)OCC

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[ (1,3-benzodioxol-5-ylsulfonyl)amino]-2-oxo-, (S)-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

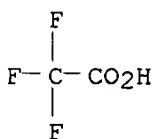
CMF C20 H24 N6 O7 S

Absolute stereochemistry.



CRN 76-05-1

CMF C2 H F3 O2



CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-

09/ 716,962

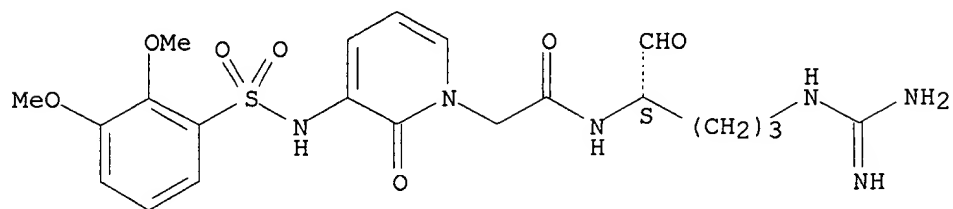
[[ (2,3-dimethoxyphenyl)sulfonyl]amino]-2-oxo-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199873-56-8

CMF C21 H28 N6 O7 S

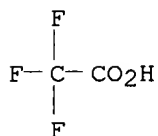
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 199873-59-1 CAPLUS

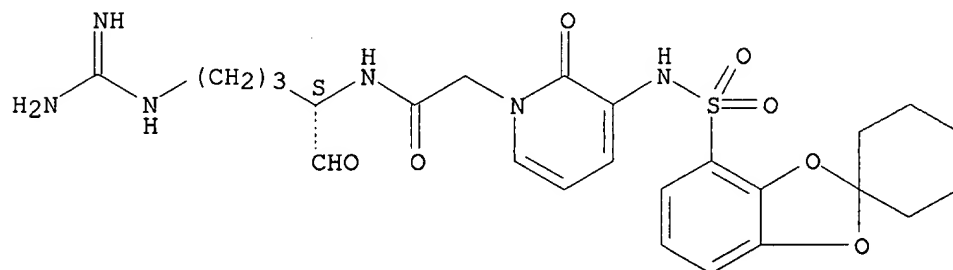
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(spiro[1,3-benzodioxole-2,1'-cyclohexan]-4-ylsulfonyl)amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199873-58-0

CMF C25 H32 N6 O7 S

Absolute stereochemistry.

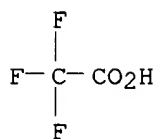


CM 2

CRN 76-05-1

09/ 716,962

CMF C2 H F3 O2



RN 199873-61-5 CAPLUS

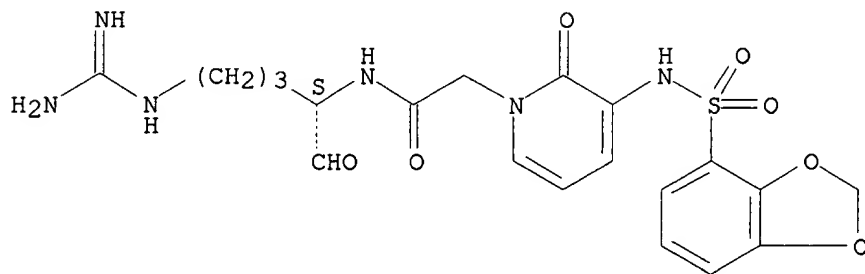
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[(1,3-benzodioxol-4-ylsulfonyl)amino]-2-oxo-, (S)-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

CRN 199873-60-4

CMF C20 H24 N6 O7 S

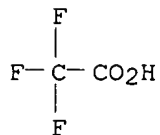
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 199873-63-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[(3-methoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

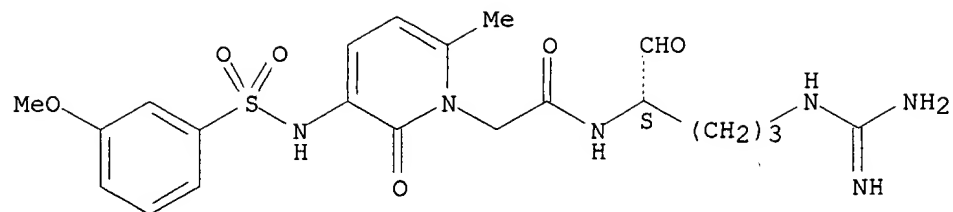
CM 1

CRN 199873-62-6

CMF C21 H28 N6 O6 S

Absolute stereochemistry.

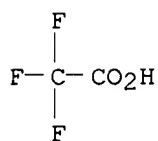
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 199873-65-9 CAPLUS

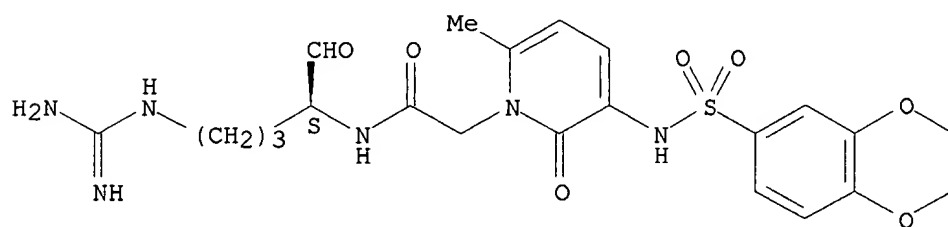
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-6-methyl-2-oxo-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199873-64-8

CMF C22 H28 N6 O7 S

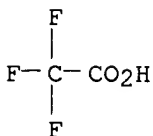
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962

RN 199873-67-1 CAPLUS

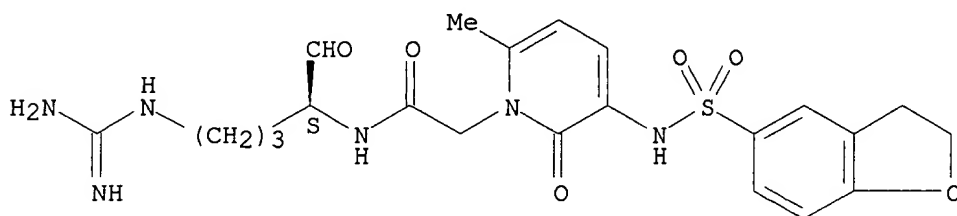
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (2,3-dihydro-5-benzofuranyl) sulfonyl]amino]-6-methyl-2-oxo-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199873-66-0

CMF C22 H28 N6 O6 S

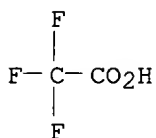
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 199873-69-3 CAPLUS

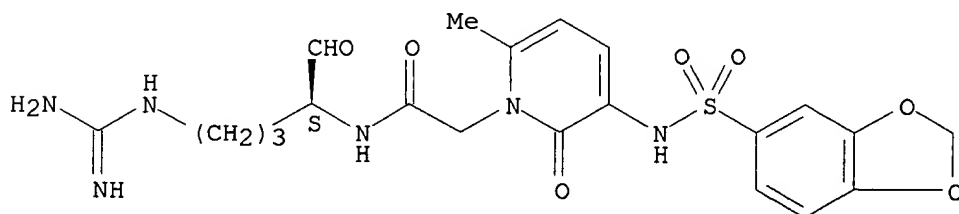
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[(1,3-benzodioxol-5-ylsulfonyl)amino]-6-methyl-2-oxo-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 199873-68-2

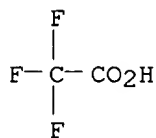
CMF C21 H26 N6 O7 S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



L5 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:613133 CAPLUS

DOCUMENT NUMBER: 127:257361

TITLE: Human platelet Ca<sup>2+</sup> mobilization, glycoprotein IIb/IIIa activation, and experimental coronary **thrombosis** in vivo in dogs are all inhibited by the inotropic agent amrinone

AUTHOR(S): Sill, J. C.; Bertha, B.; Berger, I.; Uhl, C.; Nugent, M.; Folts, J.

CORPORATE SOURCE: Department of Anesthesiology, Mayo Clinic, Rochester, MN, 55905, USA

SOURCE: Circulation (1997), 96(5), 1647-1653  
CODEN: CIRCAZ; ISSN: 0009-7322

PUBLISHER: American Heart Association

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Inotropic drugs are often used to treat acute, severe heart failure resulting from acute myocardial infarction and other unstable coronary artery syndromes. However, catecholamine inotropic agents may potentiate coronary **thrombosis** via a platelet .alpha.2-adrenergic mechanism, thus exacerbating the original problem. The present studies were designed to det. whether the nonadrenergic inotropic and vasodilator drug amrinone, which elevates platelet cAMP levels, would both inhibit human platelet Ca<sup>2+</sup> mobilization and adhesion mol. expression ex vivo and protect against exptl. coronary **thrombosis** in vivo in dogs. Human platelets in suspension were preincubated with amrinone 2.5 to 15 .mu.g/mL; stimulated with the agonists thrombin 0.1 U/mL, ADP 10<sup>-6</sup> mol/L, or arginine vasopressin 10<sup>-7</sup> mol/L; and studied for Ca<sup>2+</sup> mobilization, glycoprotein IIb/IIIa activation, and P-selectin expression by fluorescent flow cytometry methods. Exptl. coronary **thrombosis** in vivo was studied in an open-chest dog model with crit. coronary artery stenosis and deep vessel wall injury. Results showed that at the cellular level, amrinone inhibited agonist-induced Ca<sup>2+</sup> mobilization and had modest inhibitory effects on adhesion mol. expression. In vivo in dogs, i.v. amrinone 2 mg/kg plus infusion at 20 .mu.g .cntdot. kg<sup>-1</sup> .cntdot. min<sup>-1</sup> completely abolished coronary **thrombosis**. The fact that amrinone inhibited human platelet activation at the cellular level and protected against exptl. coronary **thrombosis** in vivo in dogs suggests a potentially advantageous antithrombotic action for this inotropic and vasodilator drug.

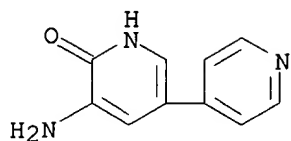
IT 60719-84-8, Amrinone

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amrinone inhibition of human platelet calcium mobilization, glycoprotein IIb/IIIa activation, and coronary **thrombosis**)

RN 60719-84-8 CAPLUS

CN [3,4'-Bipyridin]-6(1H)-one, 5-amino- (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1997:574513 CAPLUS  
 DOCUMENT NUMBER: 127:234607  
 TITLE: Preparation of aromatic heterocyclic arginine aldehyde derivatives as thrombin inhibitors  
 INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence K.  
 PATENT ASSIGNEE(S): Corvas International, Inc., USA  
 SOURCE: U.S., 68 pp. Cont.-in-part of U.S. Ser. No. 356,833. CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5658930	A	19970819	US 1995-481660	19950607
CA 2206400	AA	19960620	CA 1995-2206400	19951213
WO 9618644	A1	19960620	WO 1995-US16410	19951213
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9644248	A1	19960703	AU 1996-44248	19951213
AU 693636	B2	19980702		
EP 804464	A1	19971105	EP 1995-943130	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9509994	A	19971230	BR 1995-9994	19951213
CN 1169730	A	19980107	CN 1995-196753	19951213
JP 10510539	T2	19981013	JP 1995-519290	19951213
US 6008351	A	19991228	US 1995-573775	19951218
US 6011158	A	20000104	US 1996-659983	19960607
HU 77888	A2	19951213	HU 1998-1160	19980928
PRIORITY APPLN. INFO.:			US 1994-356833	19941213
			US 1995-481660	19950607
			US 1995-484506	19950607
			WO 1995-US16410	19951213
			US 1995-573775	19951218
OTHER SOURCE(S):		MARPAT 127:234607		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention discloses peptide aldehydes I [X = SO<sub>2</sub>, NRSO<sub>2</sub>, CO,

O<sub>2</sub>C, NHCO, P(O)(R<sub>11</sub>), bond; R = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R<sub>11</sub> = NR, OR, R, SR except R<sub>11</sub> .noteq. NH, OH, H, SH; R<sub>1</sub> = H, C1-12 alkyl; (un)substituted C1-3 alkyl-C5-8 cycloalkyl, C3-15 cycloalkyl, C4-10 heterocycloalkyl, C3-6 alkenyl, C6-14 aryl, C5-14 heteroaryl, C7-15 aralkyl, C6-11 heteroaralkyl, C8-15 aralkenyl, C7-12 heteroaralkenyl, C1-12 perfluoroalkyl, C6-14 perfluoroaryl, C7-14 perfluoroaralkyl, etc; R<sub>2</sub> = H, C1-4 alkyl, C2-4 alkenyl; R<sub>3</sub> = Q, Q<sub>1</sub>, W = C, N; Het = Q<sub>2</sub>-Q<sub>4</sub>, R<sub>4</sub>-R<sub>6</sub> = independently R<sub>1</sub>, OR<sub>1</sub>, NHR<sub>1</sub>, S(O)nR<sub>1</sub>, halo, n = 0-2; R<sub>7</sub> = any group in R<sub>1</sub> which are specific inhibitors of thrombin, their pharmaceutically acceptable salts, pharmaceutically acceptable compns. thereof, and methods of using them as therapeutic agents for disease states in mammals characterized by abnormal **thrombosis**. Thus, heterocyclic arginine aldehyde deriv. II was prepd. by coupling of a protected argininal deriv. with 3-(benzylsulfonylamino)-2-oxo-1,2-dihydropyridine-1-acetic acid (prepn. given) and deprotection. II inhibited human .alpha.-thrombin with K<sub>i</sub> = 289 pM.

IT 179523-57-0P 179523-58-1P 179523-65-0P  
 179524-15-3P 179524-22-2P 179524-30-2P  
 179524-53-9P 179525-12-3P 179525-18-9P  
 179525-20-3P 179525-24-7P 179525-26-9P  
 179525-28-1P 179526-81-9P 195204-20-7P  
 195315-79-8P

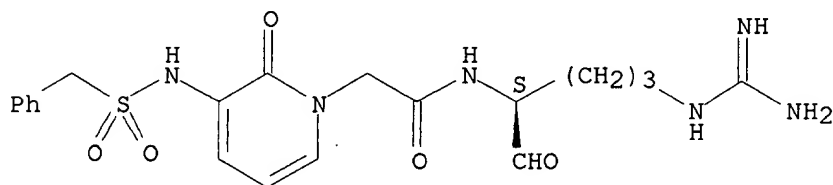
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arom. heterocyclic arginine aldehyde derivs. as thrombin inhibitors)

RN 179523-57-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179523-58-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179523-57-0

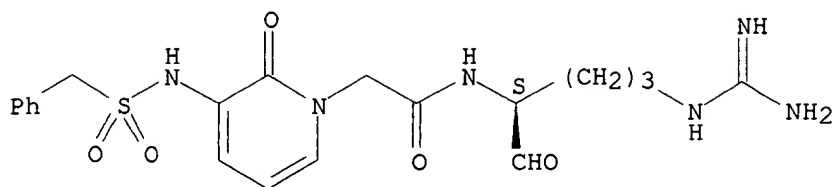
CMF C20 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.



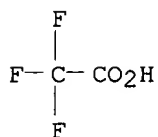
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179523-65-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonylamino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

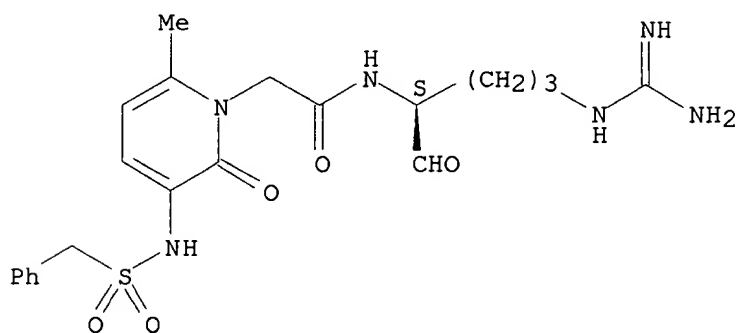
CM 1

CRN 179523-64-9

CMF C21 H28 N6 O5 S

CDES 1:S

Absolute stereochemistry.

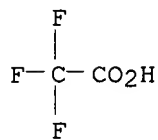


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179524-15-3 CAPLUS

CN Carbamic acid, [1-[2-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]-, 2-propenyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

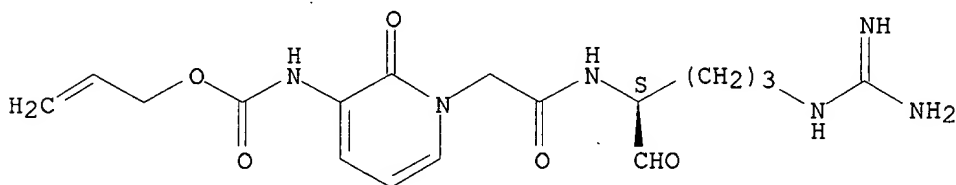
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CRN 179524-14-2

CMF C17 H24 N6 O5

CDES 1:S

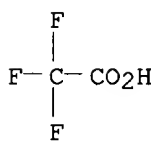
Absolute stereochemistry.



CM 2

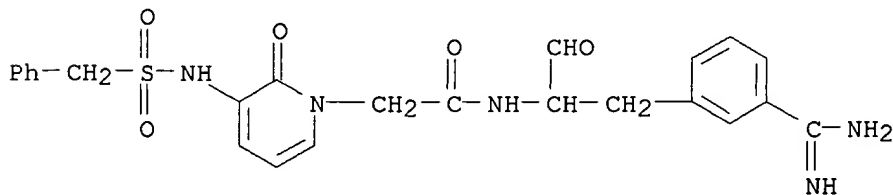
CRN 76-05-1

CMF C2 H F3 O2



RN 179524-22-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-[3-(aminoiminomethyl)phenyl]-1-formylethyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 179524-30-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-(methylamino)-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

09/ 716,962

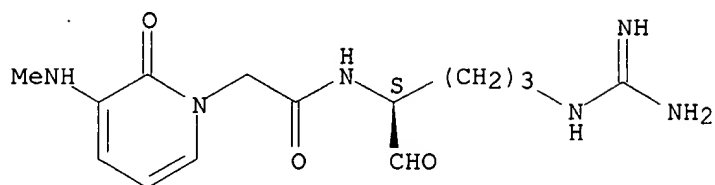
CM 1

CRN 179524-29-9

CMF C14 H22 N6 O3

CDES 1:S

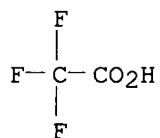
Absolute stereochemistry.



CM 2

CRN 76-05-1

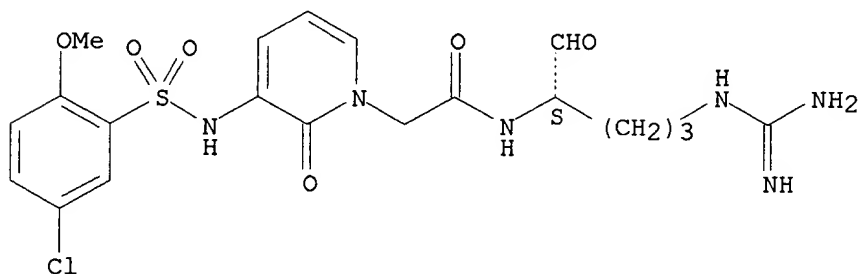
CMF C2 H F3 O2



RN 179524-53-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[5-chloro-2-methoxyphenyl)sulfonyl]amino]-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179525-12-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

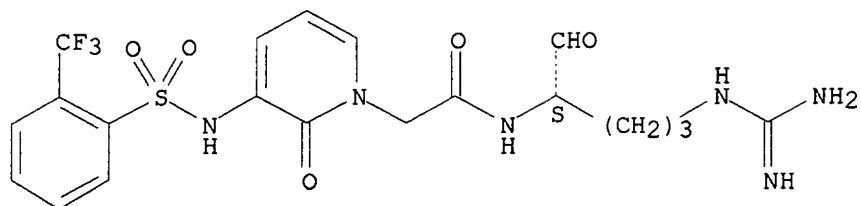
CRN 179525-11-2

CMF C20 H23 F3 N6 O5 S

CDES 1:S

09/ 716,962

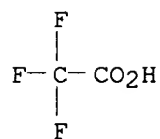
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-18-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

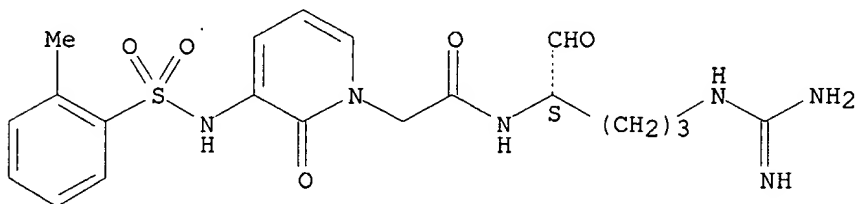
CM 1

CRN 179525-17-8

CMF C20 H26 N6 O5 S

CDES 1:S

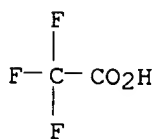
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962

RN 179525-20-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

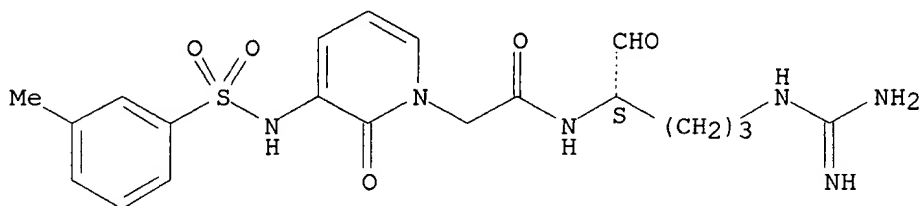
CM 1

CRN 179525-19-0

CMF C20 H26 N6 O5 S

CDES 1:S

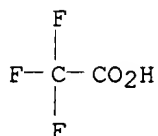
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-24-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methoxyphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

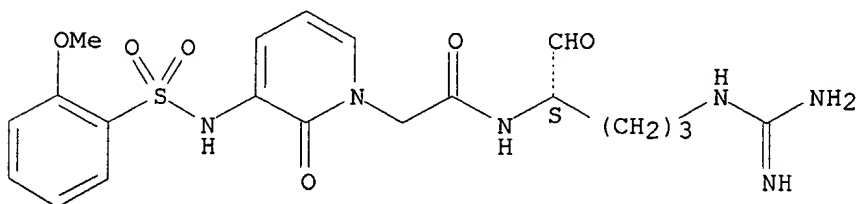
CM 1

CRN 179525-23-6

CMF C20 H26 N6 O6 S

CDES 1:S

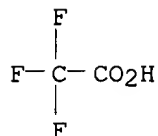
Absolute stereochemistry.



CM 2

09/ 716,962

CRN 76-05-1  
CMF C2 H F3 O2

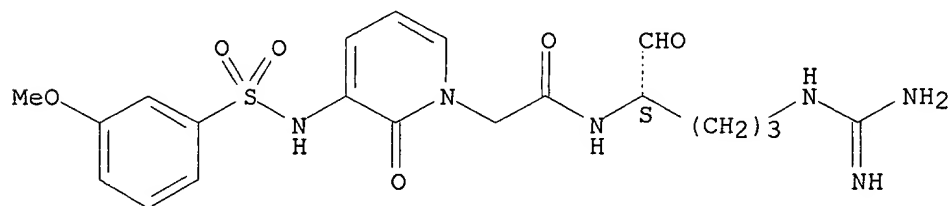


RN 179525-26-9 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(3-methoxyphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

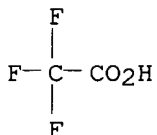
CRN 179525-25-8  
CMF C20 H26 N6 O6 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



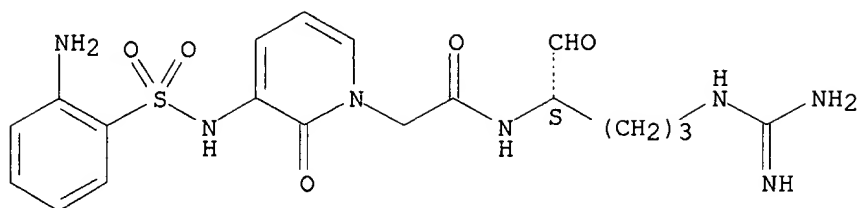
RN 179525-28-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-aminophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-27-0  
CMF C19 H25 N7 O5 S  
CDES 1:S

Absolute stereochemistry.

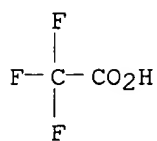
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179526-81-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

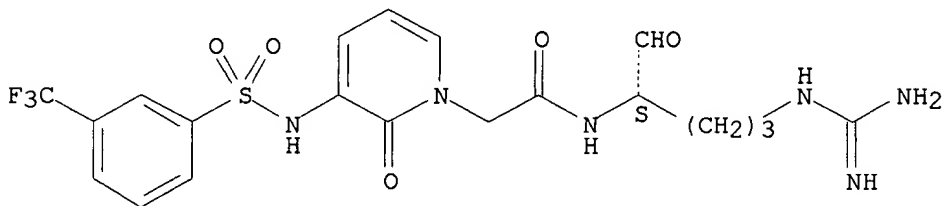
CM 1

CRN 179526-80-8

CMF C20 H23 F3 N6 O5 S

CDES 1:S

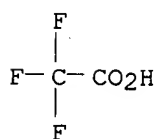
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



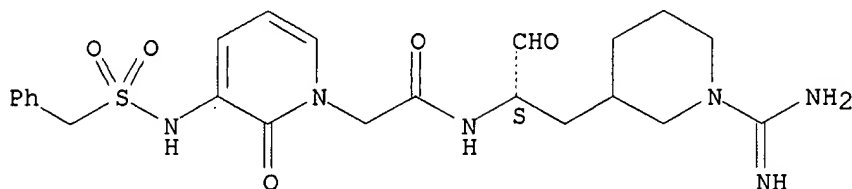
RN 195204-20-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-[1-(aminoiminomethyl)-3-piperidinyl]-1-

09/ 716,962

formylethyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, [3(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195315-79-8 CAPLUS

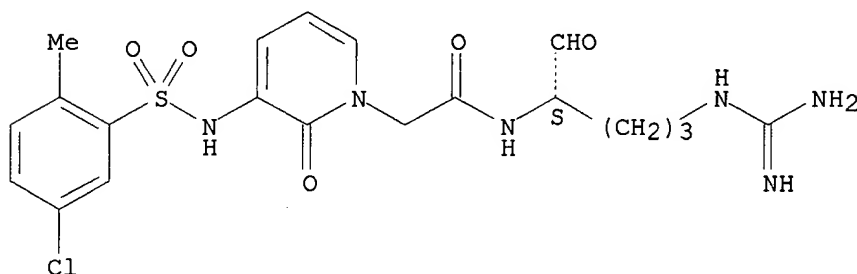
CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-chloro-2-methylphenyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 195315-78-7

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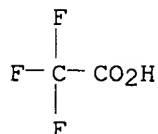
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L5 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:178881 CAPLUS

DOCUMENT NUMBER: 126:171490

TITLE: Preparation of 2-pyridinones as thrombin inhibitors  
INVENTOR(S): Sanderson, Philip E.; Naylor-Olsen, Adel M.; Dyer, Dona L.; Vacca, Joseph P.; Isaacs, Richard C. A.; Dorsey, Bruce D.; Fraley, Mark E.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Sanderson, Philip E.;



Naylor-Olsen, Adel M.; Dyer, Dona L.; Vacca, Josep, P.; Isaacs, Richard C. A.; Dorsey, Bruce D.; Fraley, Mark, E.

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9701338	A1	19970116	WO 1996-US10778	19960624
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2224437	AA	19970116	CA 1996-2224437	19960624
AU 9663917	A1	19970130	AU 1996-63917	19960624
AU 703744	B2	19990401		
EP 835109	A1	19980415	EP 1996-923399	19960624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11508558	T2	19990727	JP 1996-504499	19960624
PRIORITY APPLN. INFO.:			US 1995-560	19950627
			US 1995-3818	19950915
			GB 1996-3450	19960219
			WO 1996-US10778	19960624
OTHER SOURCE(S):			MARPAT 126:171490	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; W = benzenemethylsulfonyl, diphenylmethylsulfonyl, naphthylsulfonyl, etc.; A = trans-4-aminocyclohexyl, 2-aminopyridin-4-yl, etc.; R3 = H, C1-4 alkyl, C3-7 cycloalkyl, CF3], useful in inhibiting thrombin and assocd. **thrombotic** occlusions, were prepd. Thus, reaction of PhCH2SO2Cl with 2-pyridinone II in the presence of Et3N in CH2Cl2 followed by treatment of the intermediate III in CH2Cl2/EtOAc with HCl gas, reaction of the Boc-protected intermediate with H2NC(:NH)SO3H in the presence of Et3N in DMF, and treatment of the resulting 2-pyridinone IV in MeOH/THF with 1M LiOH afforded V which showed Ki < 100 nM against human thrombin and Ki of > 500 nM against human trypsin.

IT 187162-33-0P 187162-34-1P 187162-35-2P  
 187162-37-4P 187162-39-6P 187162-41-0P  
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 187162-49-8P 187162-51-2P 187162-53-4P  
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 187162-82-9P 187162-84-1P 187162-86-3P  
 187162-89-6P 187162-91-0P 187162-93-2P  
 187162-95-4P 187162-97-6P 187162-99-8P  
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 187163-07-1P 187163-09-3P 187163-11-7P

09/ 716,962

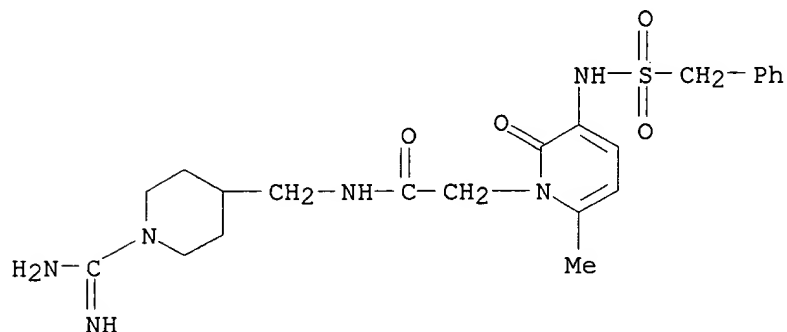
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187163-19-5P 187163-21-9P 187163-23-1P  
187163-25-3P 187163-27-5P 187163-29-7P  
187163-31-1P 187163-33-3P 187163-35-5P  
187163-37-7P 187237-48-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-pyridinones as thrombin inhibitors)

RN 187162-33-0 CAPLUS

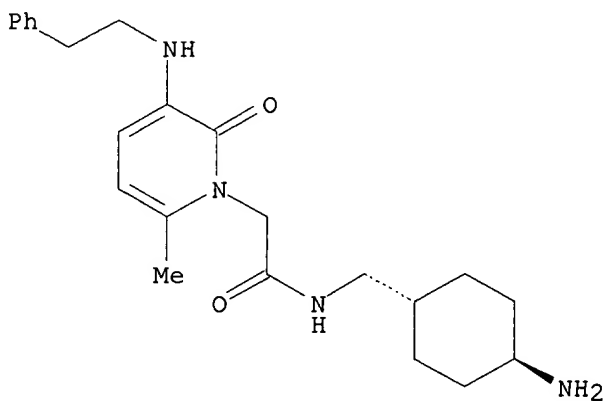
CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-34-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-aminocyclohexyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

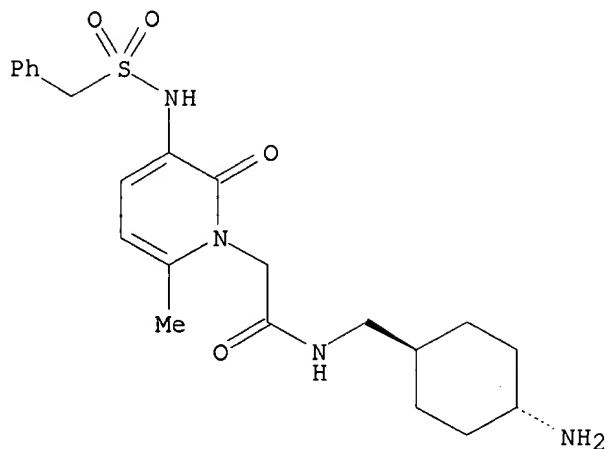


RN 187162-35-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-aminocyclohexyl)methyl]-6-methyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, trans- (9CI) (CA INDEX NAME)

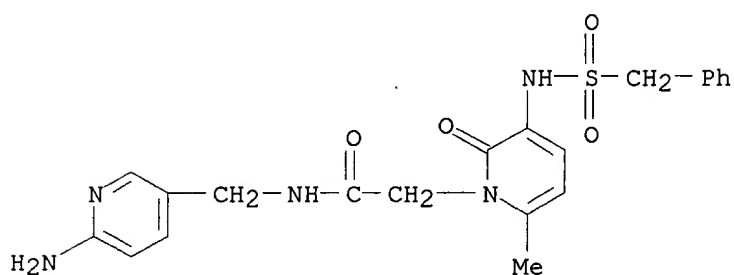
Relative stereochemistry.

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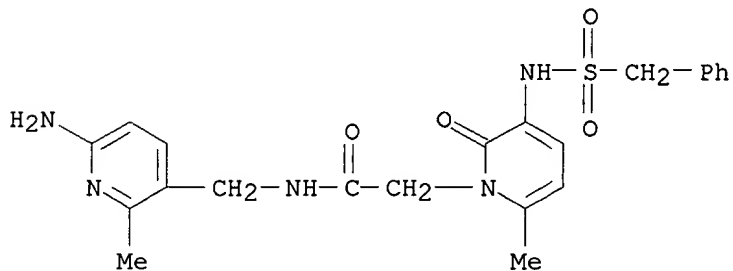
RN 187162-37-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-  
[[phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-39-6 CAPLUS

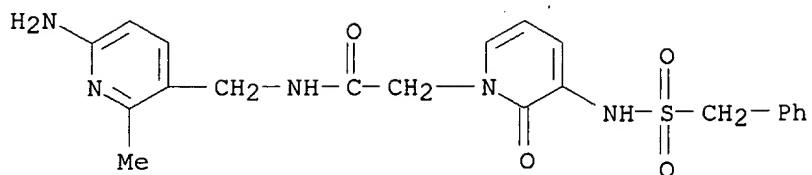
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-  
2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-41-0 CAPLUS

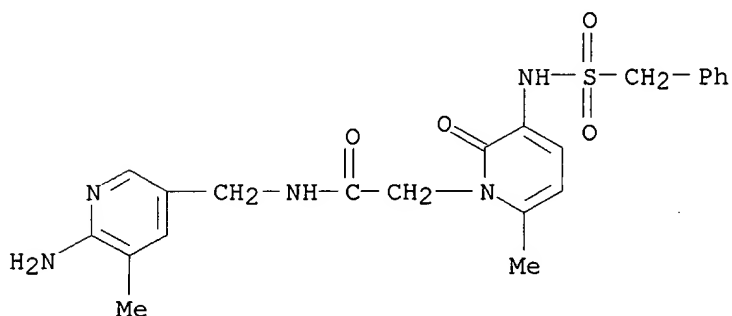
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-  
[[phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

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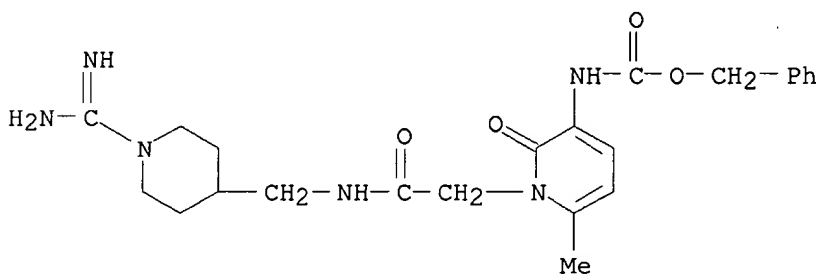
RN 187162-43-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-5-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino- (9CI) (CA INDEX NAME)



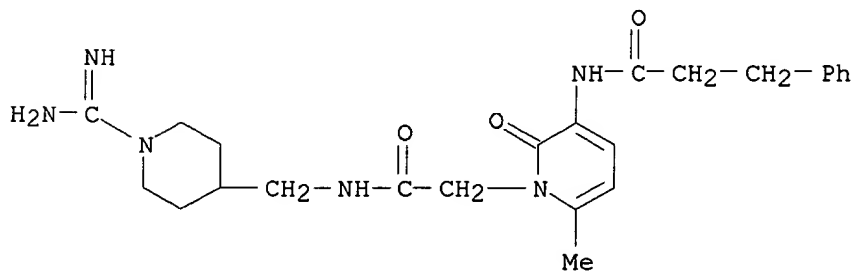
RN 187162-45-4 CAPLUS

CN Carbamic acid, [1-[2-[[[1-(aminoiminomethyl)-4-piperidinyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 187162-47-6 CAPLUS

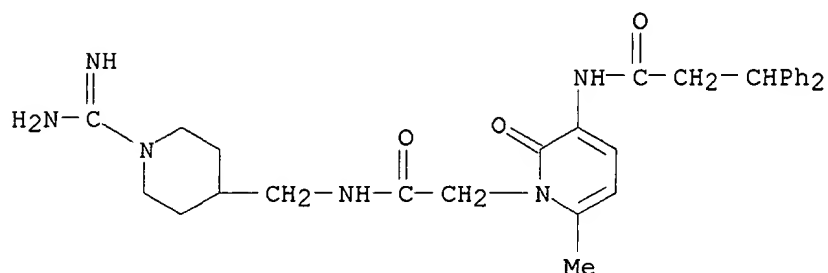
CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-6-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]- (9CI) (CA INDEX NAME)



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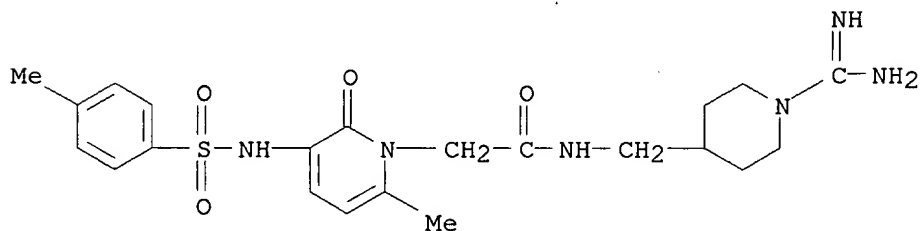
RN 187162-49-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-6-methyl-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)



RN 187162-51-2 CAPLUS

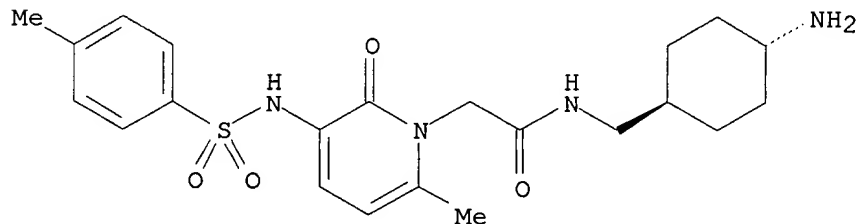
CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidinyl]methyl]-6-methyl-3-[[ (4-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-53-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-aminocyclohexyl)methyl]-6-methyl-3-[[ (4-methylphenyl)sulfonyl]amino]-2-oxo-, trans- (9CI) (CA INDEX NAME)

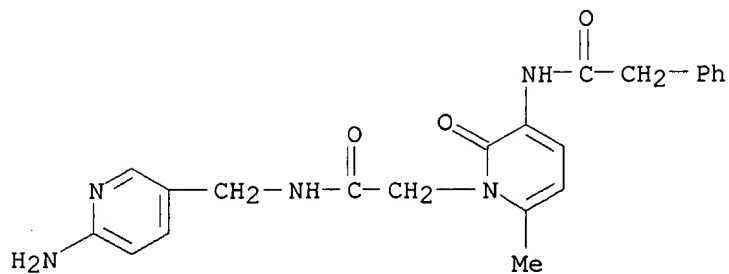
Relative stereochemistry.



RN 187162-55-6 CAPLUS

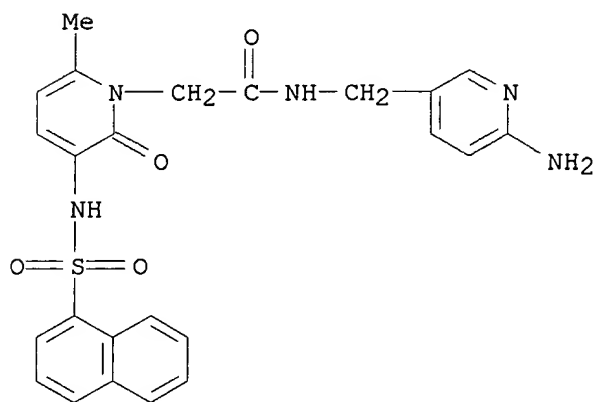
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylacetyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



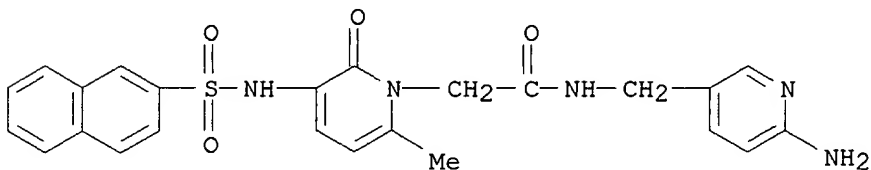
RN 187162-57-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



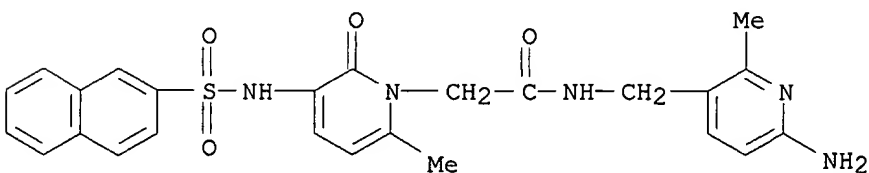
RN 187162-59-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-63-6 CAPLUS

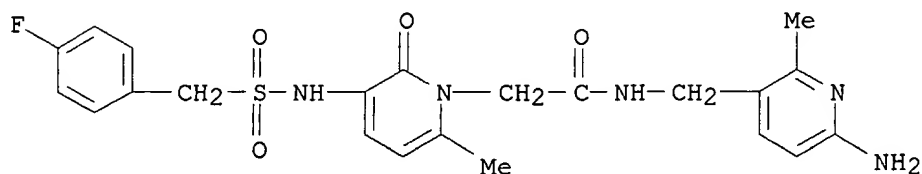
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-65-8 CAPLUS

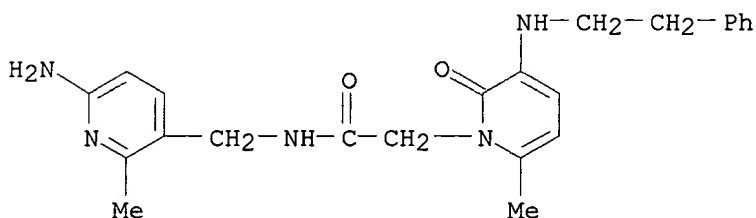
09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



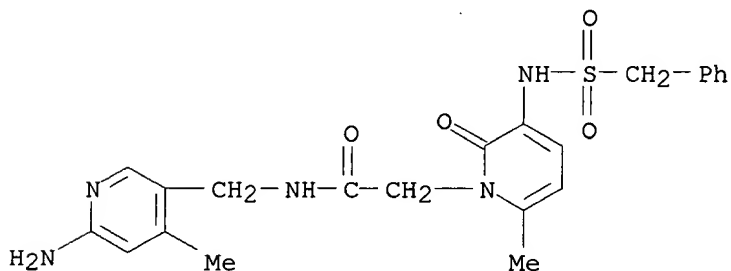
RN 187162-67-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



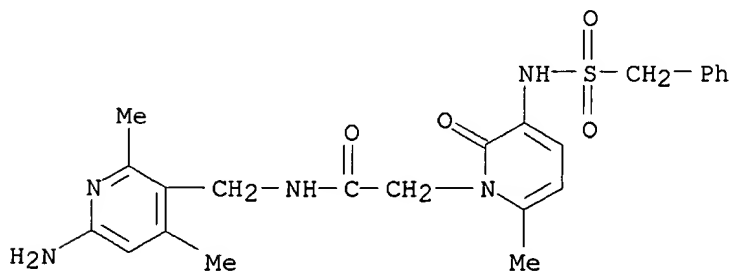
RN 187162-69-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-4-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-71-6 CAPLUS

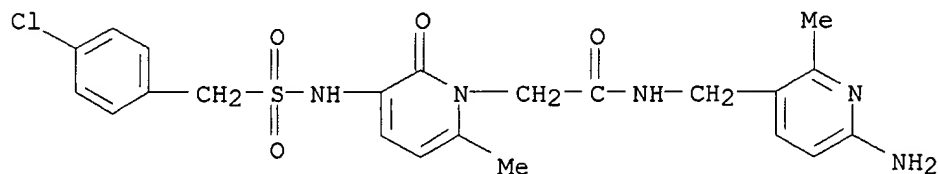
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2,4-dimethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



09/ 716,962

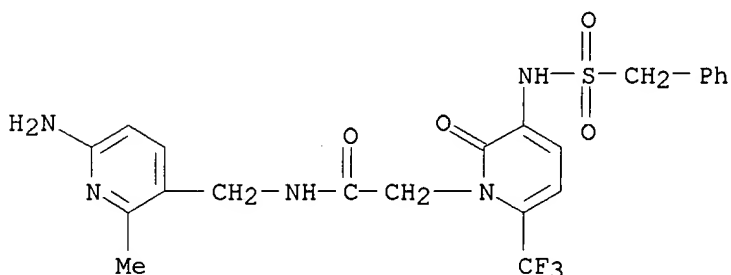
RN 187162-73-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



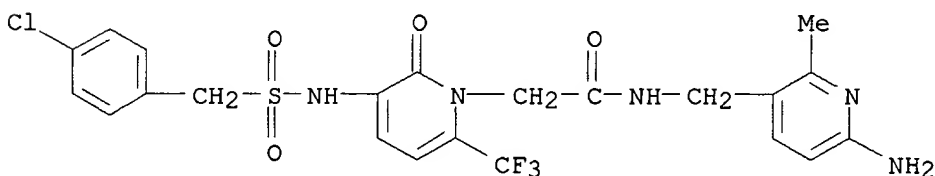
RN 187162-75-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 187162-77-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-2-oxo-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

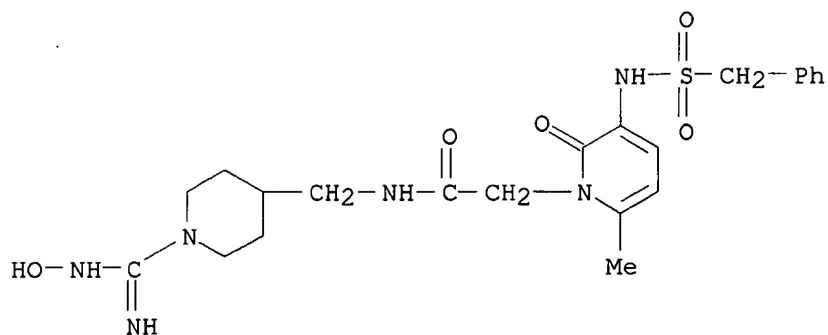


RN 187162-79-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[1-[(hydroxyamino)iminomethyl]-4-piperidinyl)methyl]-6-methyl-2-oxo-3-[[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

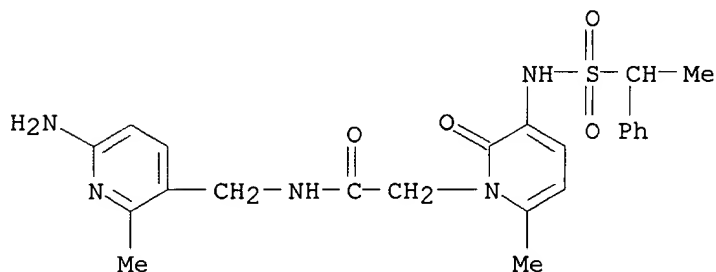


09/ 716,962



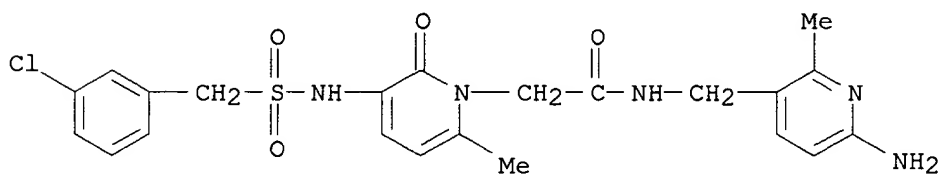
RN 187162-82-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[1-phenylethyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



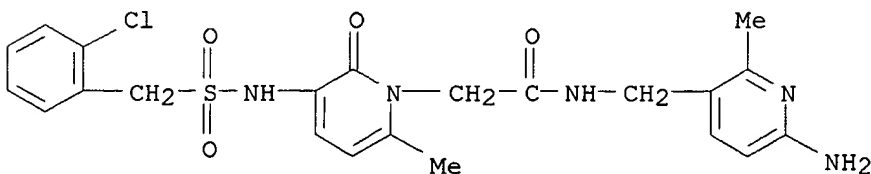
RN 187162-84-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-86-3 CAPLUS

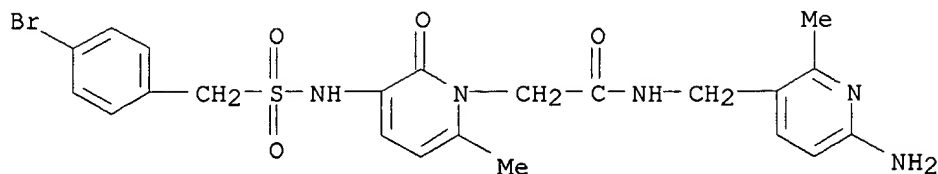
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-89-6 CAPLUS

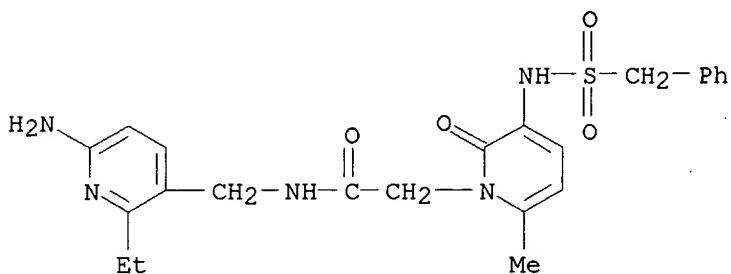
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

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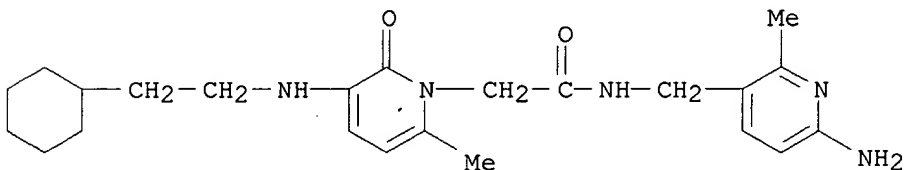
RN 187162-91-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-ethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[phenylmethylsulfonyl]amino]- (9CI) (CA INDEX NAME)



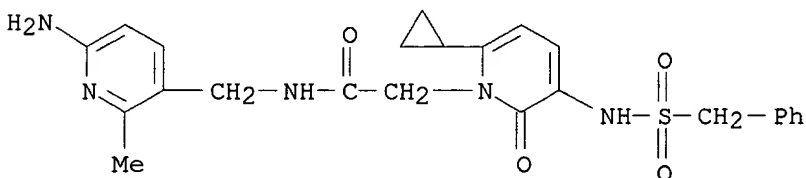
RN 187162-93-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(2-cyclohexylethyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-95-4 CAPLUS

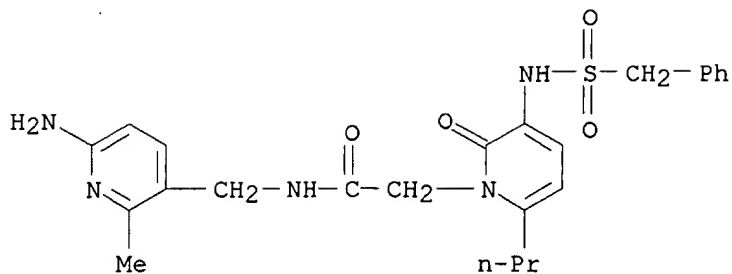
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-cyclopropyl-2-oxo-3-[[phenylmethylsulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-97-6 CAPLUS

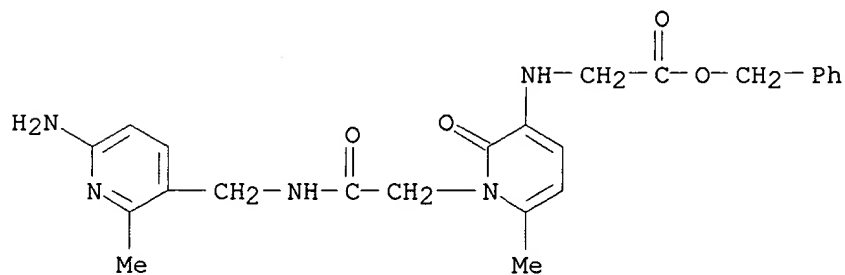
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-[[phenylmethylsulfonyl]amino]-6-propyl- (9CI) (CA INDEX NAME)

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RN 187162-99-8 CAPLUS

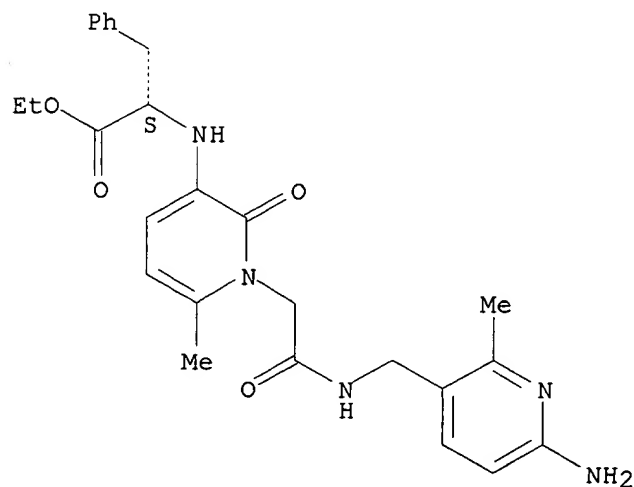
CN Glycine, [1-[2-[[6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 187163-01-5 CAPLUS

CN L-Phenylalanine, N-[1-[2-[[6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

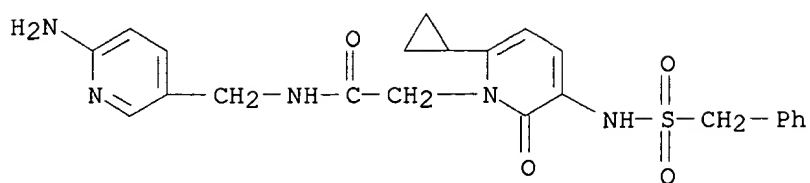
Absolute stereochemistry.



RN 187163-03-7 CAPLUS

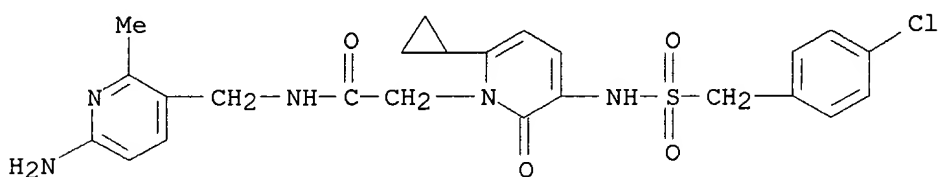
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-cyclopropyl-2-oxo-3-[[phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

09/ 716,962



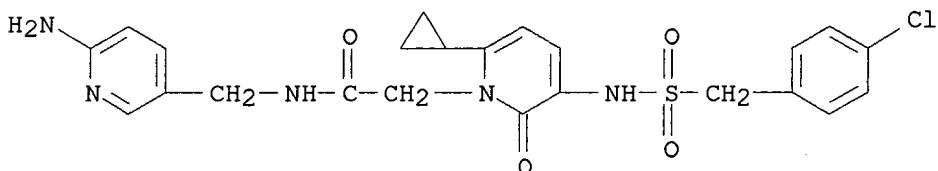
RN 187163-05-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-cyclopropyl-2-oxo- (9CI) (CA INDEX NAME)



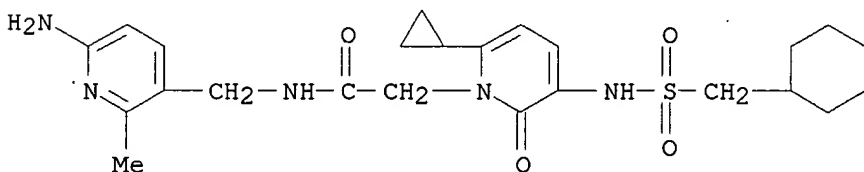
RN 187163-07-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-cyclopropyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-09-3 CAPLUS

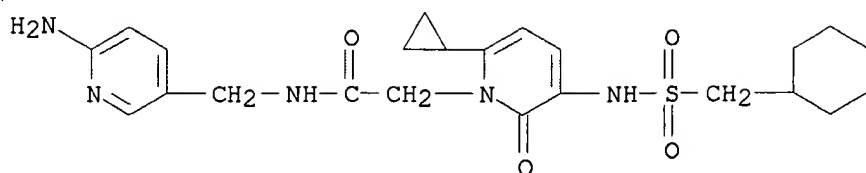
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(cyclohexylmethyl)sulfonyl]amino]-6-cyclopropyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-11-7 CAPLUS

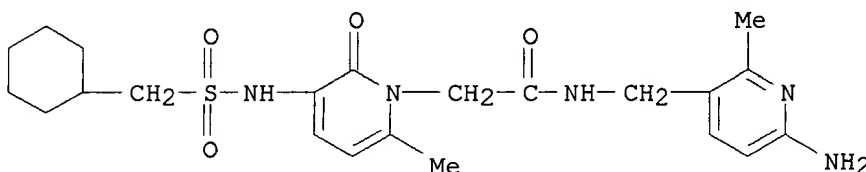
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[[(cyclohexylmethyl)sulfonyl]amino]-6-cyclopropyl-2-oxo- (9CI) (CA INDEX NAME)

09/ 716,962



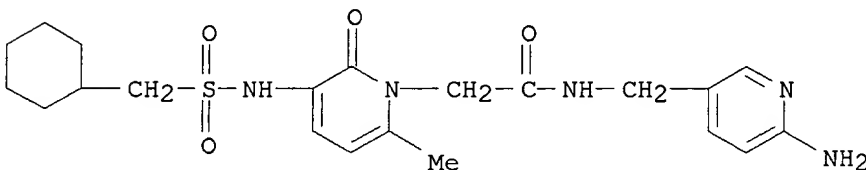
RN 187163-13-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-  
[[cyclohexylmethyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



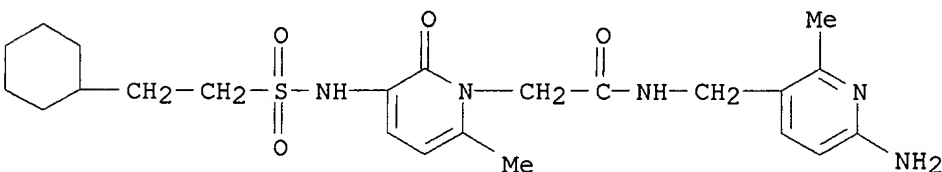
RN 187163-15-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-  
[[cyclohexylmethyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



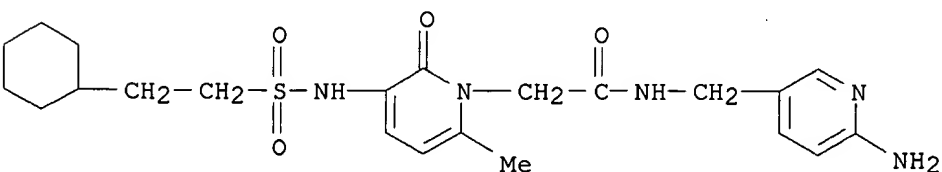
RN 187163-17-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[2-  
cyclohexylethyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-19-5 CAPLUS

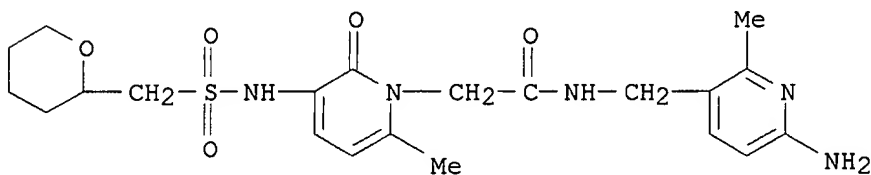
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[2-  
cyclohexylethyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



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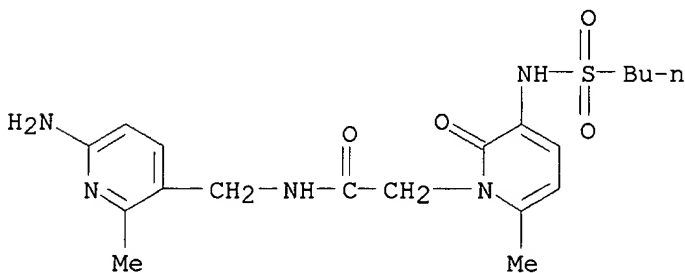
RN 187163-21-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[(tetrahydro-2H-pyran-2-yl)methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



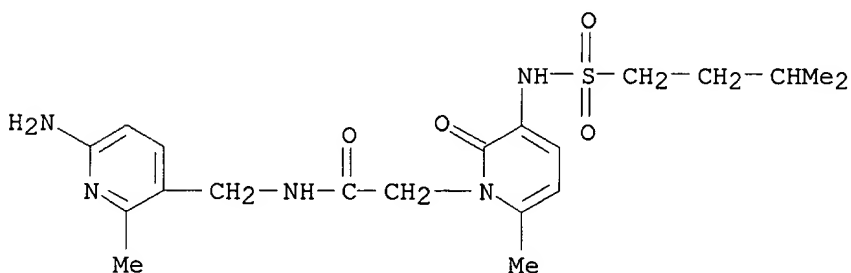
RN 187163-23-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(butylsulfonyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-25-3 CAPLUS

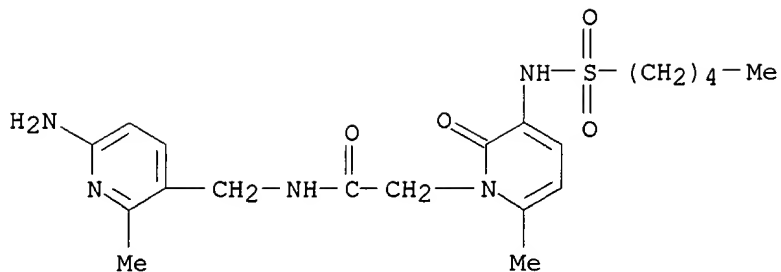
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[[(3-methylbutyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-27-5 CAPLUS

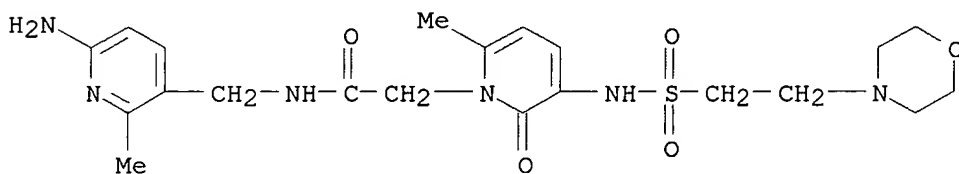
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(pentylsulfonyl)amino]- (9CI) (CA INDEX NAME)

09/ 716,962



RN 187163-29-7 CAPLUS

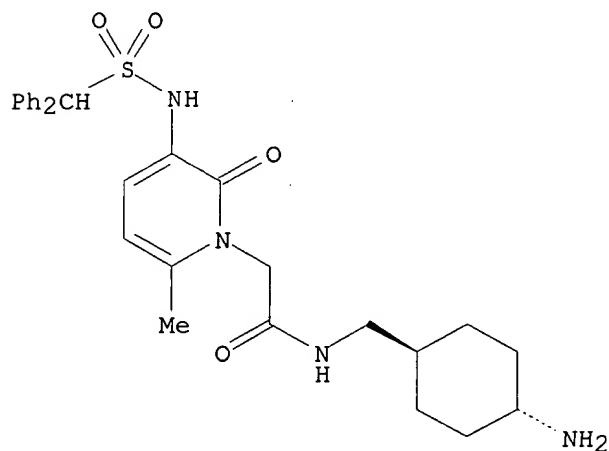
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-31-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(4-aminocyclohexyl)methyl]-3-[[[(diphenylmethyl)sulfonyl]amino]-6-methyl-2-oxo-, trans- (9CI) (CA INDEX NAME)

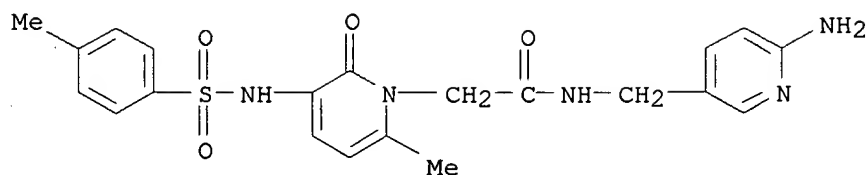
Relative stereochemistry.



RN 187163-33-3 CAPLUS

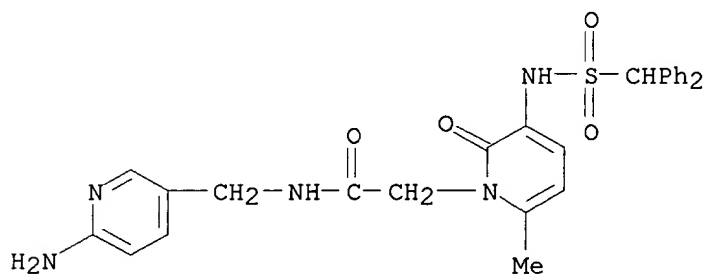
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[[[(4-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

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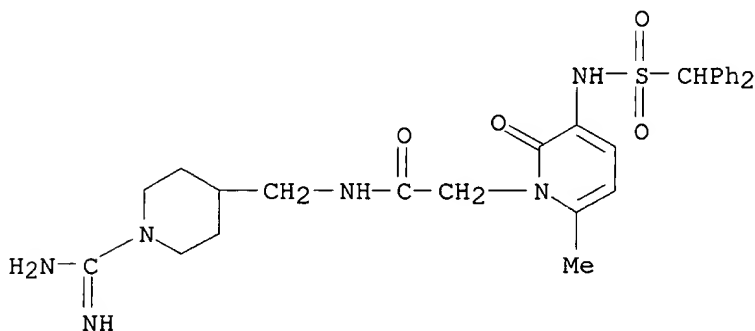
RN 187163-35-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[6-amino-3-pyridinyl)methyl]-3-  
[[[(diphenylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



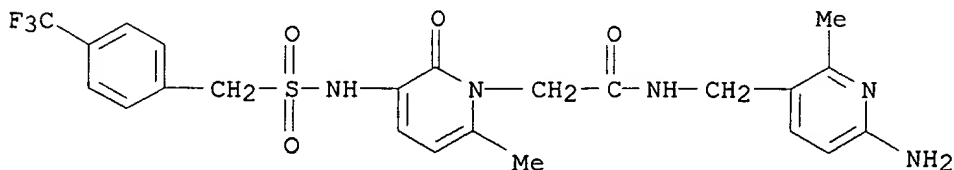
RN 187163-37-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[1-(aminoiminomethyl)-4-piperidinyl)methyl]-3-  
[[[(diphenylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187237-48-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-  
2-oxo-3-[[[4-(trifluoromethyl)phenyl)methyl]sulfonyl]amino]- (9CI) (CA  
INDEX NAME)





09/ 716,962

ACCESSION NUMBER: 1996:479294 CAPLUS  
DOCUMENT NUMBER: 125:143331  
TITLE: Preparation of aromatic heterocyclic peptide aldehydes  
as thrombin inhibitors  
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka,  
William Charles; Ardecky, Robert John; Ge, Yu;  
Carpenter, Stephen H.; Brunck, Terence Kevin;  
Lim-Wilby, Marguerita S.; Nutt, Ruth F.; Abelman,  
Matthew Mark  
PATENT ASSIGNEE(S): Corvas International, Inc., USA  
SOURCE: PCT Int. Appl., 230 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618644	A1	19960620	WO 1995-US16410	19951213
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
AU 9644248	A1	19960703	AU 1996-44248	19951213
AU 693636	B2	19980702		
EP 804464	A1	19971105	EP 1995-943130	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9509994	A	19971230	BR 1995-9994	19951213
JP 10510539	T2	19981013	JP 1995-519290	19951213
PRIORITY APPLN. INFO.:			US 1994-356833	19941213
			US 1995-481660	19950607
			US 1995-484506	19950607
			WO 1995-US16410	19951213
OTHER SOURCE(S):		CASREACT 125:143331; MARPAT 125:143331		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Peptide aldehydes I [X = SO<sub>2</sub>, NR'SO<sub>2</sub>, CO, O<sub>2</sub>C, NHCO, P(O)(R''); R' = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R'' = NR', OR', R', SR'; R1 = optionally substituted alkyl, alkenyl, cycloalkyl, aryl, heterocycloalkyl, heterocyclo, aryl, heteroaryl, aralkyl, aralkenyl, heteroaralkenyl, bicycloalkyl, fluoroalkyl; R2 = H, C1-4 alkyl, C2-4 alkenyl; R3 = CH<sub>2</sub>CH<sub>2</sub>NHC(NH<sub>2</sub>):NH, Q1, Q2, W = C, N; Het = Q3, Q4, Y = CR<sub>5</sub>, N; R4, R6 = independently R1, OR1, NHR1, SOnR1, halo, n = 0-2; R5, R7 = independently H, R1], which are potent and specific inhibitors of thrombin, and their pharmaceutically acceptable salts, pharmaceutically acceptable compns. thereof, and methods of using them as therapeutic agents for disease states in mammals characterized by abnormal **thrombosis**. Thus argininal deriv. II, prepd. in several steps from Boc-Arg(NO<sub>2</sub>)-OH, 3-nitro-2-hydroxypyridine, BrCH<sub>2</sub>CO<sub>2</sub>Et, and PhCH<sub>2</sub>SO<sub>2</sub>Cl, inhibited human

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.alpha.-thrombin amidolytic activity with  $K_i = 289. \pm .32$  pM in an in vitro assay.

IT 179523-58-1P 179523-65-0P 179524-15-3P  
179524-19-7P 179524-22-2P 179524-30-2P  
179524-51-7P 179524-54-0P 179524-67-5P  
179524-74-4P 179525-00-9P 179525-02-1P  
179525-04-3P 179525-06-5P 179525-08-7P  
179525-10-1P 179525-12-3P 179525-14-5P  
179525-16-7P 179525-18-9P 179525-20-3P  
179525-22-5P 179525-24-7P 179525-26-9P  
179525-28-1P 179525-30-5P 179525-44-1P  
179525-46-3P 179525-48-5P 179525-50-9P  
179525-52-1P 179525-54-3P 179525-56-5P  
179525-58-7P 179525-60-1P 179525-61-2P  
179525-63-4P 179525-65-6P 179525-67-8P  
179525-69-0P 179525-71-4P 179525-73-6P  
179525-88-3P 179525-90-7P 179525-92-9P  
179525-94-1P 179525-96-3P 179525-98-5P  
179526-10-4P 179526-12-6P 179526-14-8P  
179526-16-0P 179526-18-2P 179526-81-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arom. heterocyclic peptide aldehydes as thrombin inhibitors)

RN 179523-58-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

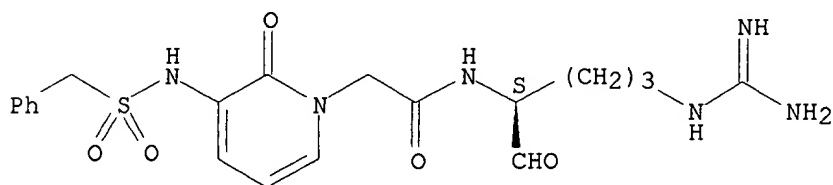
CM 1

CRN 179523-57-0

CMF C20 H26 N6 O5 S

CDES 1:S

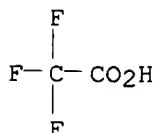
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179523-65-0 CAPLUS

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CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[[ (phenylmethyl) sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

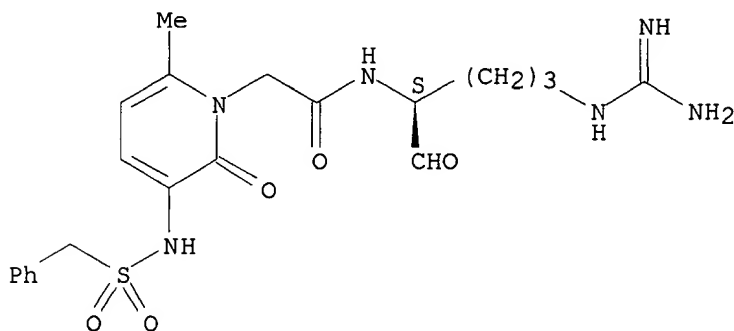
CM 1

CRN 179523-64-9

CMF C21 H28 N6 O5 S

CDES 1:S

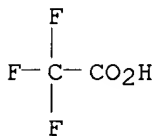
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179524-15-3 CAPLUS

CN Carbamic acid, [1-[2-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]-, 2-propenyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

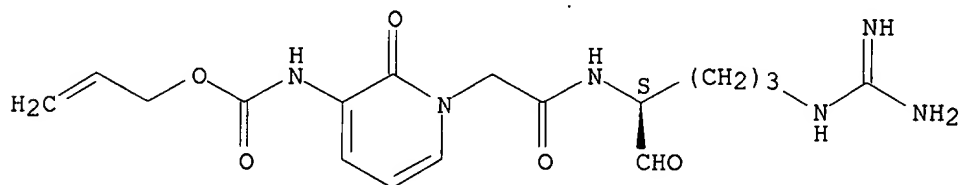
CM 1

CRN 179524-14-2

CMF C17 H24 N6 O5

CDES 1:S

Absolute stereochemistry.

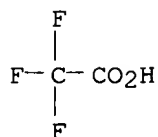


09/ 716,962

CM 2

CRN 76-05-1

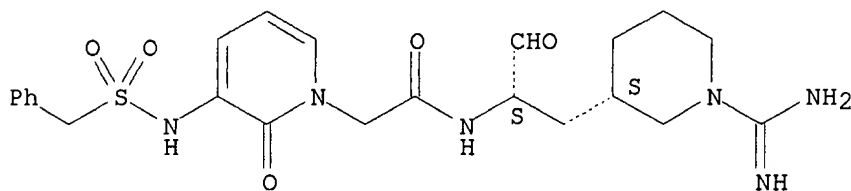
CMF C2 H F3 O2



RN 179524-19-7 CAPLUS

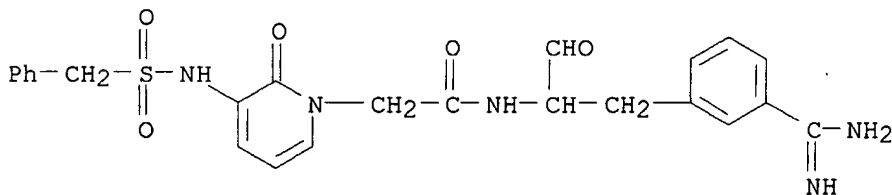
CN 1(2H)-Pyridineacetamide, N-[2-[1-(aminoiminomethyl)-3-piperidiny]-1-formylethyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, [S-(R\*,R\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 179524-22-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-[3-(aminoiminomethyl)phenyl]-1-formylethyl]-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 179524-30-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-(methylamino)-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

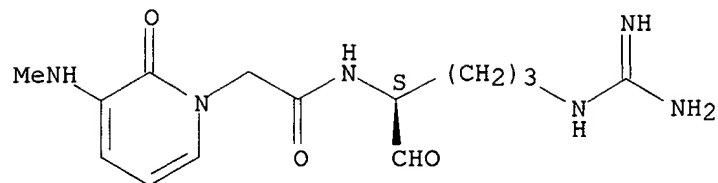
CRN 179524-29-9

CMF C14 H22 N6 O3

CDES 1:S

Absolute stereochemistry.

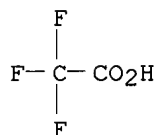
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179524-51-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-ethyl-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

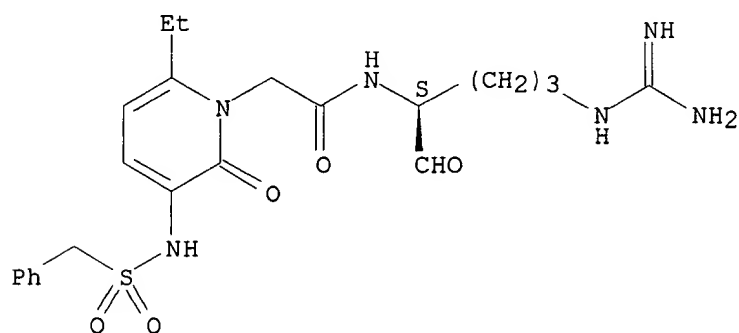
CM 1

CRN 179524-50-6

CMF C22 H30 N6 O5 S

CDES 1:S

Absolute stereochemistry.

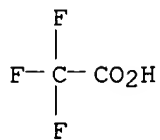


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179524-54-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-chloro-2-methoxyphenyl) sulfonyl] amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

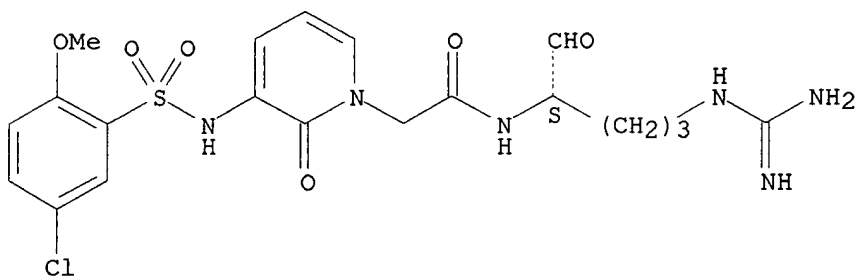
CM 1

CRN 179524-53-9

CMF C20 H25 Cl N6 O6 S

CDES 1:S

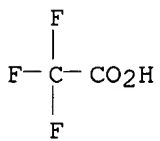
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

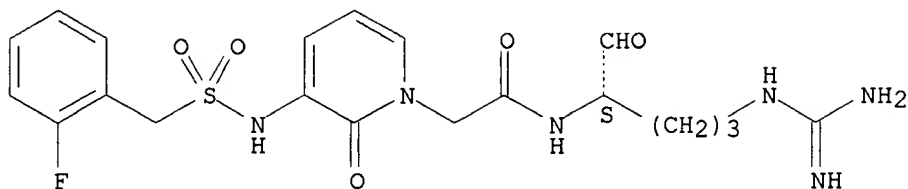


RN 179524-67-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

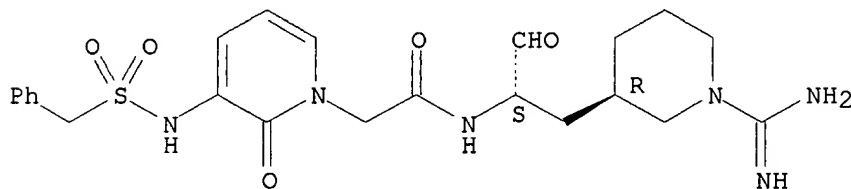
09/ 716,962



RN 179524-74-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-[1-(aminoiminomethyl)-3-piperidinyl]-1-formylethyl]-2-oxo-3-[(phenylmethyl)sulfonyl]amino-, [S-(R\*,S\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 179525-00-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[(phenylsulfonyl)amino]-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

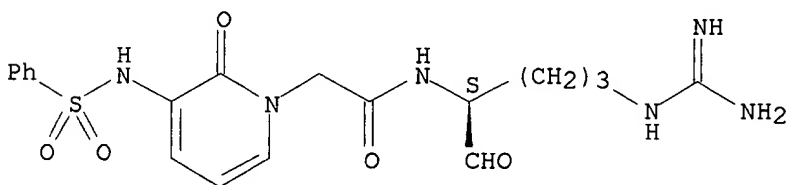
CM 1

CRN 179524-99-3

CMF C19 H24 N6 O5 S

CDES 1:S

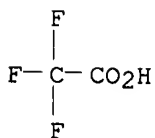
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



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RN 179525-02-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(1-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

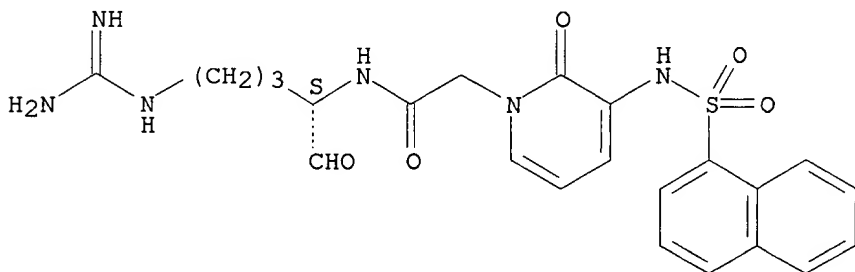
CM 1

CRN 179525-01-0

CMF C23 H26 N6 O5 S

CDES 1:S

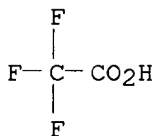
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-04-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(2-naphthalenylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

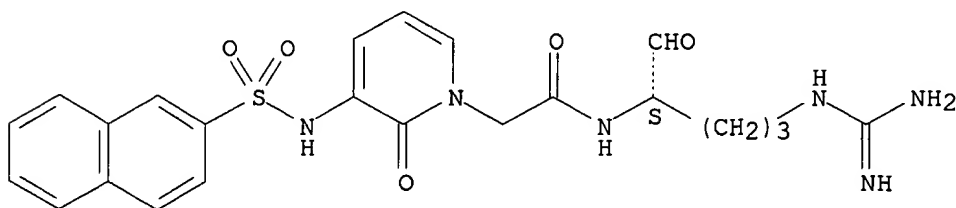
CM 1

CRN 179525-03-2

CMF C23 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.



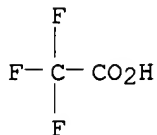


09/ 716,962

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-06-5 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]-, methyl ester, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

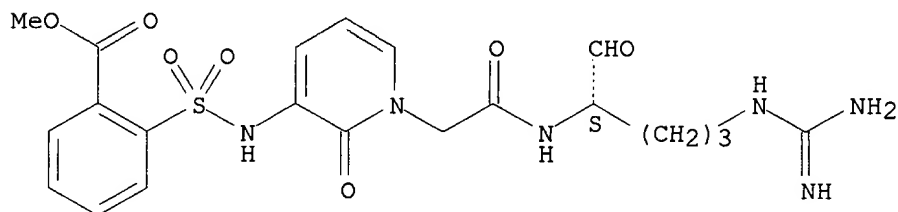
CM 1

CRN 179525-05-4

CMF C21 H26 N6 O7 S

CDES 1:S

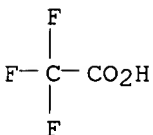
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-08-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

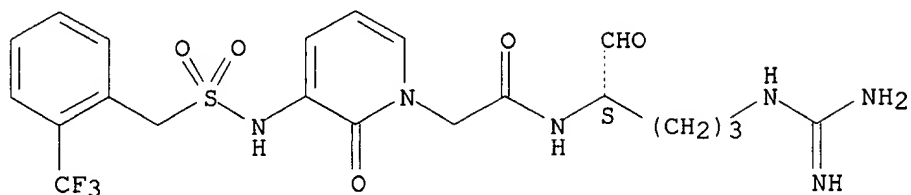
CM 1

CRN 179525-07-6

09/ 716,962

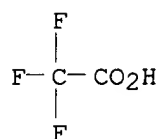
CMF C21 H25 F3 N6 O5 S  
CDES 1:S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

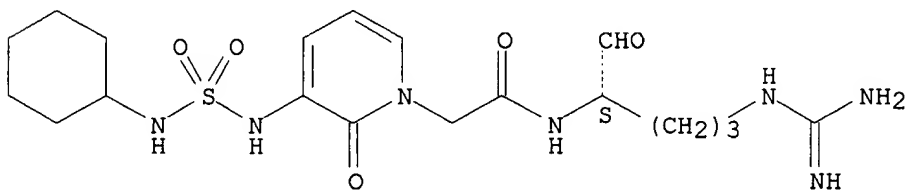


RN 179525-10-1 CAPLUS  
CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (cyclohexylamino)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-09-8  
CMF C19 H31 N7 O5 S  
CDES 1:S

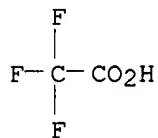
Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

09/ 716,962



RN 179525-12-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethyl)phenyl]sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

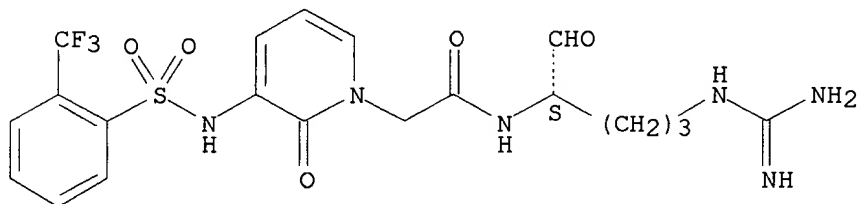
CM 1

CRN 179525-11-2

CMF C20 H23 F3 N6 O5 S

CDES 1:S

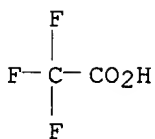
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-14-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

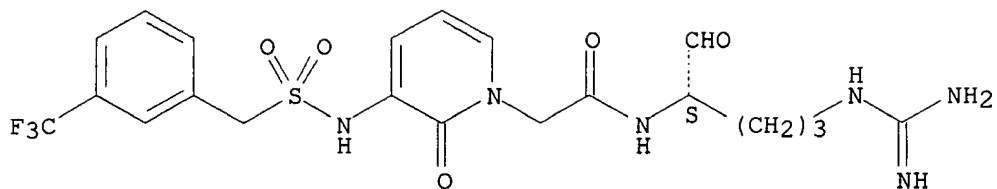
CRN 179525-13-4

CMF C21 H25 F3 N6 O5 S

CDES 1:S

Absolute stereochemistry.

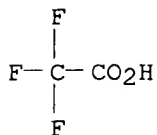
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-16-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

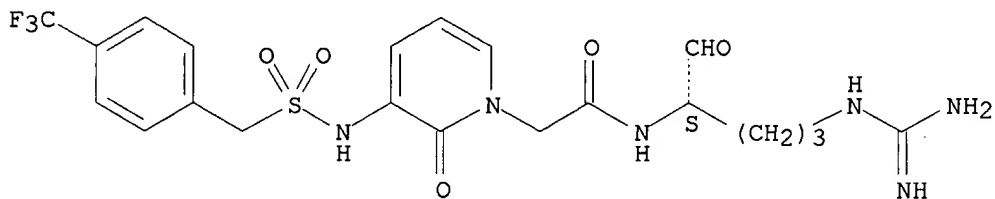
CM 1

CRN 179525-15-6

CMF C21 H25 F3 N6 O5 S

CDES 1:S

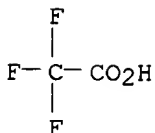
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-18-9 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

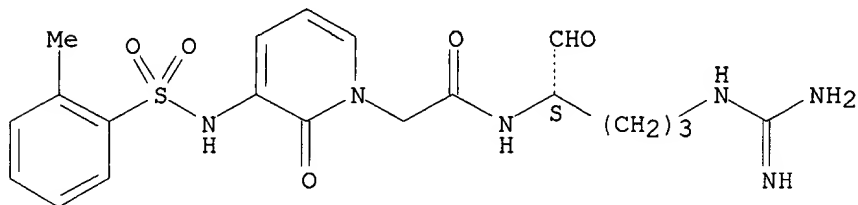
CM 1

CRN 179525-17-8

CMF C20 H26 N6 O5 S

CDES 1:S

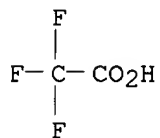
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-20-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-methylphenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

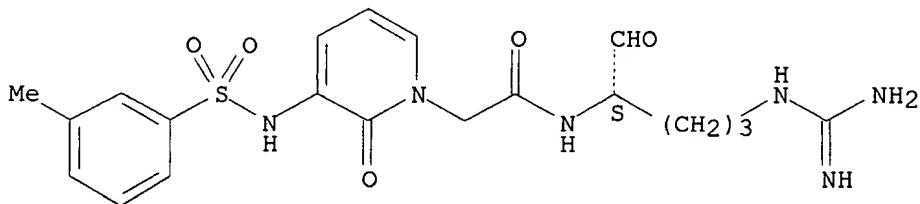
CM 1

CRN 179525-19-0

CMF C20 H26 N6 O5 S

CDES 1:S

Absolute stereochemistry.

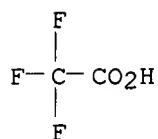


CM 2

CRN 76-05-1

09/ 716,962

CMF C2 H F3 O2



RN 179525-22-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-fluoro-2-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

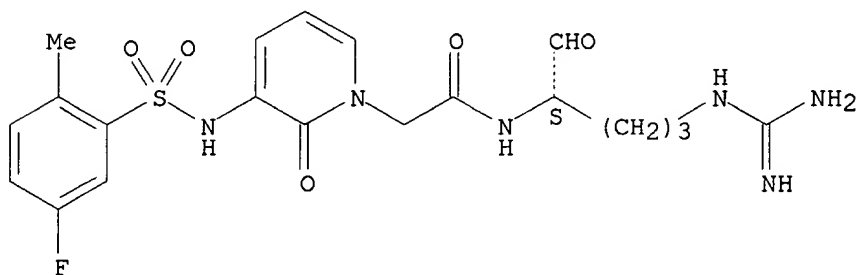
CM 1

CRN 179525-21-4

CMF C20 H25 F N6 O5 S

CDES 1:S

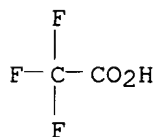
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-24-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-methoxyphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

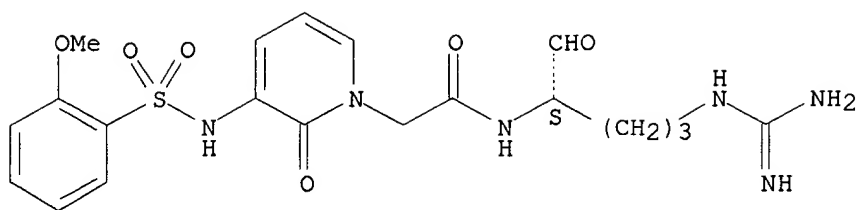
CRN 179525-23-6

CMF C20 H26 N6 O6 S

CDES 1:S

Absolute stereochemistry.

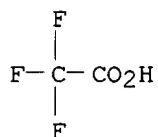
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-26-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[3-methoxyphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

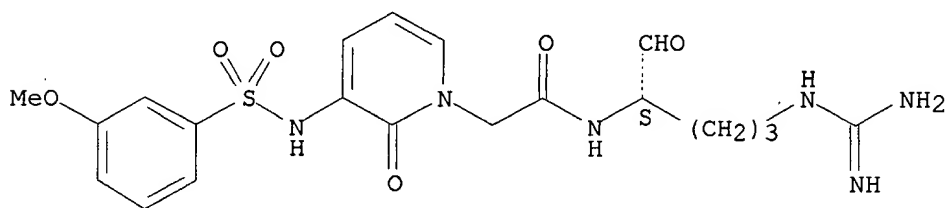
CM 1

CRN 179525-25-8

CMF C20 H26 N6 O6 S

CDES 1:S

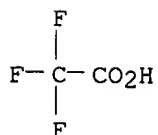
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-28-1 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-aminophenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

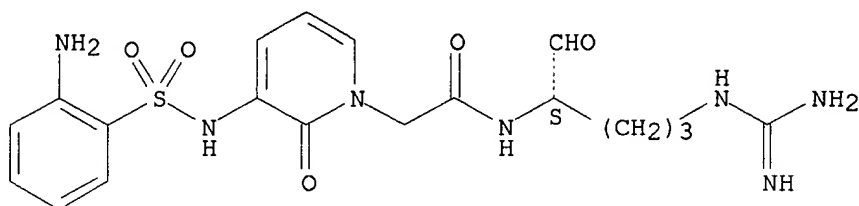
CM 1

CRN 179525-27-0

CMF C19 H25 N7 O5 S

CDES 1:S

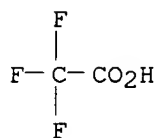
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



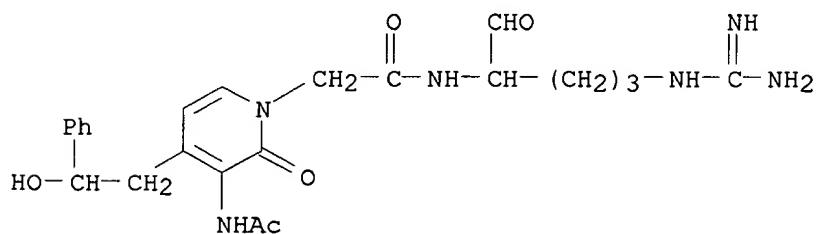
RN 179525-30-5 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-(acetylamino)-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-4-(2-hydroxy-2-phenylethyl)-2-oxo-, (S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 179525-29-2

CMF C23 H30 N6 O5



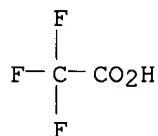
CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962



RN 179525-44-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2-fluorophenyl) sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

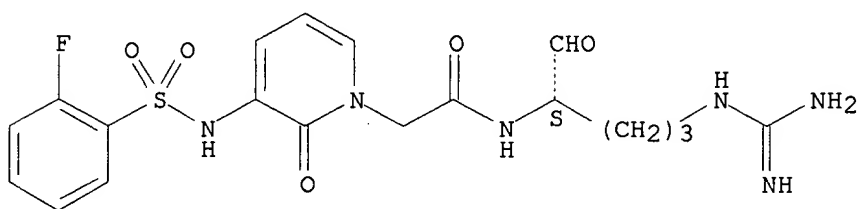
CM 1

CRN 179525-43-0

CMF C19 H23 F N6 O5 S

CDES 1:S

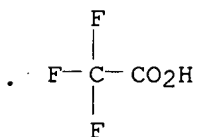
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-46-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (3-fluorophenyl) sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

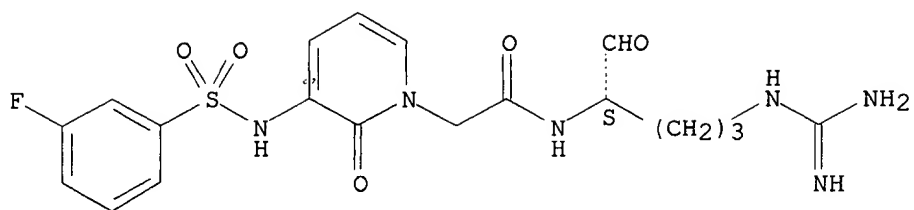
CRN 179525-45-2

CMF C19 H23 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

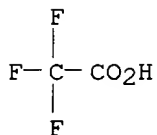
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-48-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[[2-(trifluoromethoxy)phenyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

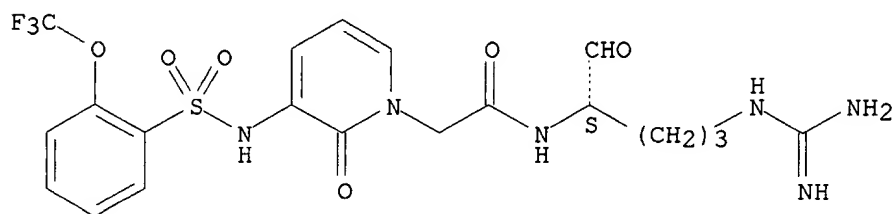
CM 1

CRN 179525-47-4

CMF C20 H23 F3 N6 O6 S

CDES 1:S

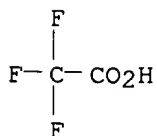
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



09/ 716,962

RN 179525-50-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (2,5-dimethylphenyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

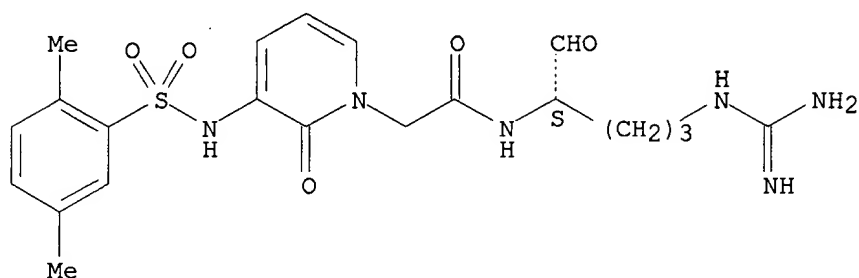
CM 1

CRN 179525-49-6

CMF C21 H28 N6 O5 S

CDES 1:S

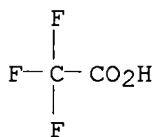
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-52-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (2,5-dimethoxyphenyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

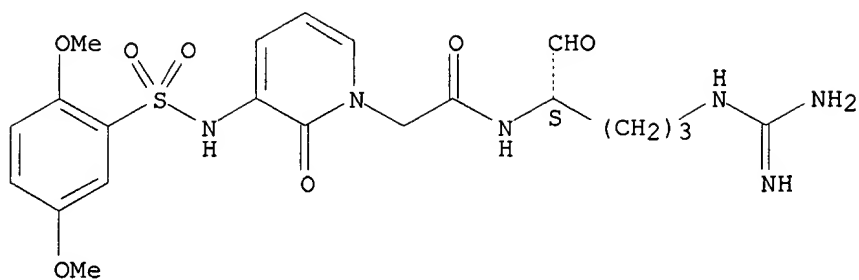
CRN 179525-51-0

CMF C21 H28 N6 O7 S

CDES 1:S

Absolute stereochemistry.

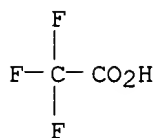
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-54-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,6-difluorophenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

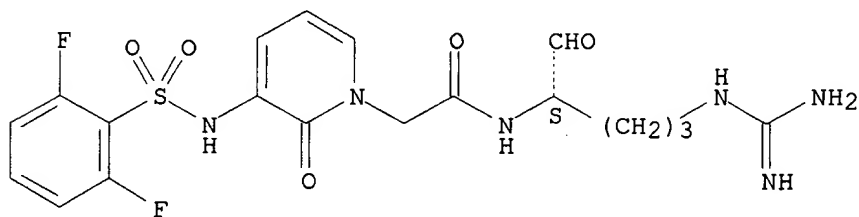
CM 1

CRN 179525-53-2

CMF C19 H22 F2 N6 O5 S

CDES 1:S

Absolute stereochemistry.

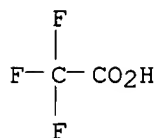


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179525-56-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-3-[[ (2-phenylethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

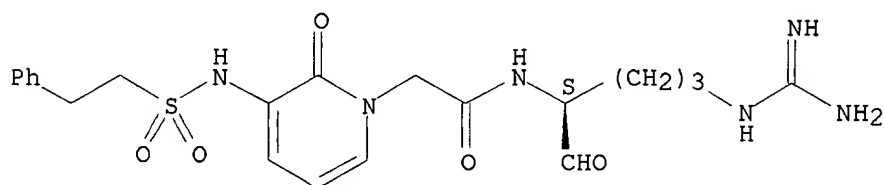
CM 1

CRN 179525-55-4

CMF C21 H28 N6 O5 S

CDES 1:S

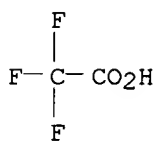
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-58-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (cyclohexylmethyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

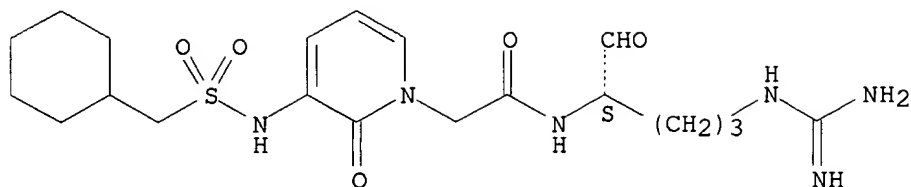
CRN 179525-57-6

CMF C20 H32 N6 O5 S

CDES 1:S

Absolute stereochemistry.

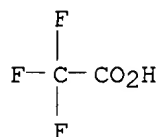
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-60-1 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (2,5-dichlorophenyl)sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

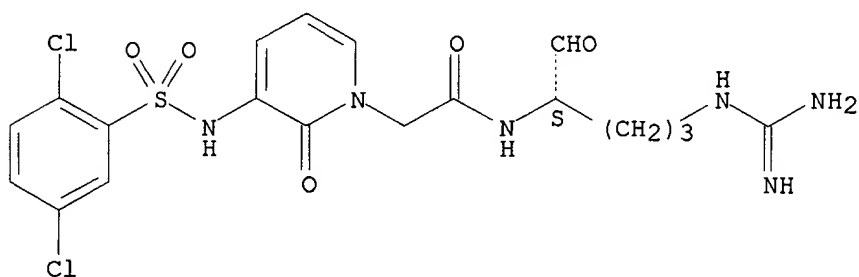
CM 1

CRN 179525-59-8

CMF C19 H22 Cl2 N6 O5 S

CDES 1:S

Absolute stereochemistry.

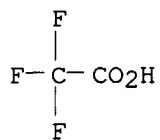


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179525-61-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

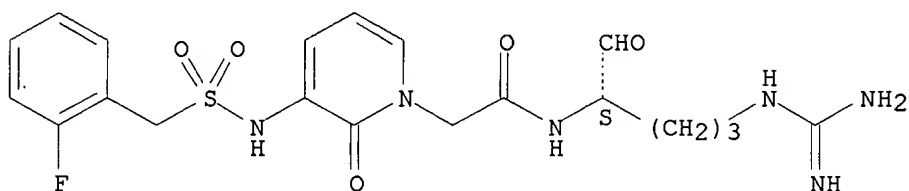
CM 1

CRN 179524-67-5

CMF C20 H25 F N6 O5 S

CDES 1:S

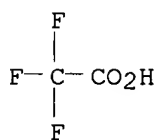
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-63-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

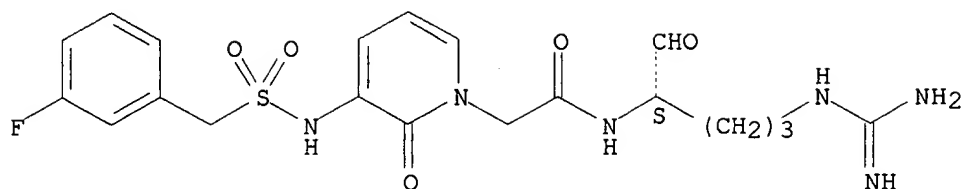
CRN 179525-62-3

CMF C20 H25 F N6 O5 S

CDES 1:S

Absolute stereochemistry.

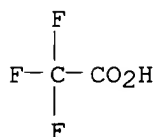
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-65-6 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[1-(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

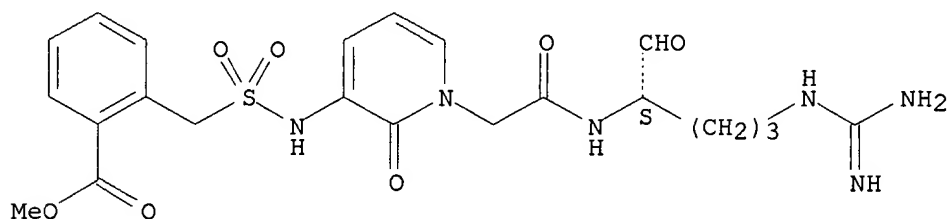
CM 1

CRN 179525-64-5

CMF C22 H28 N6 O7 S

CDES 1:S

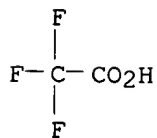
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2





09/ 716,962

RN 179525-67-8 CAPLUS

CN Benzoic acid, 3-[[[1-[2-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

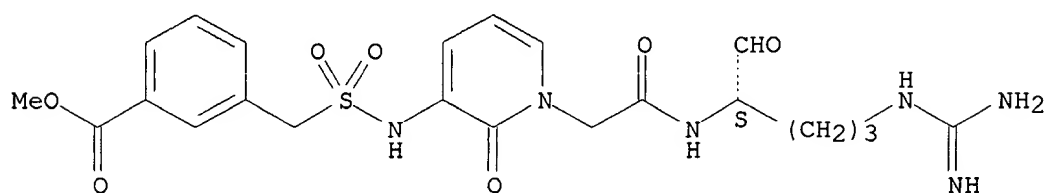
CM 1

CRN 179525-66-7

CMF C22 H28 N6 O7 S

CDES 1:S

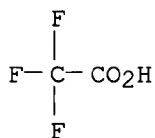
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-69-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[2,6-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

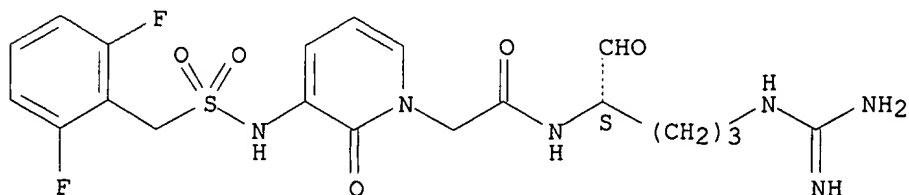
CM 1

CRN 179525-68-9

CMF C20 H24 F2 N6 O5 S

CDES 1:S

Absolute stereochemistry.

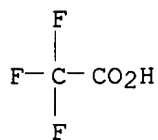


09/ 716,962

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-71-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2,5-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

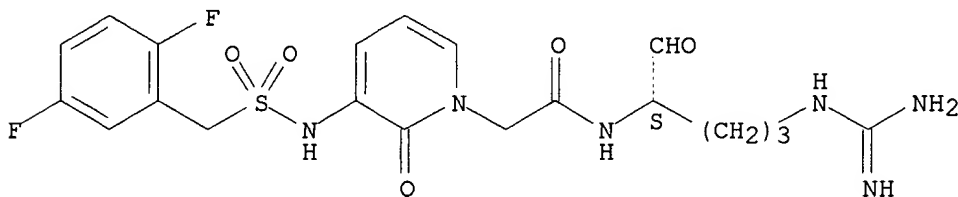
CM 1

CRN 179525-70-3

CMF C20 H24 F2 N6 O5 S

CDES 1:S

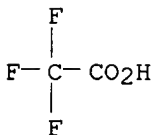
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-73-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[[(2,4-difluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

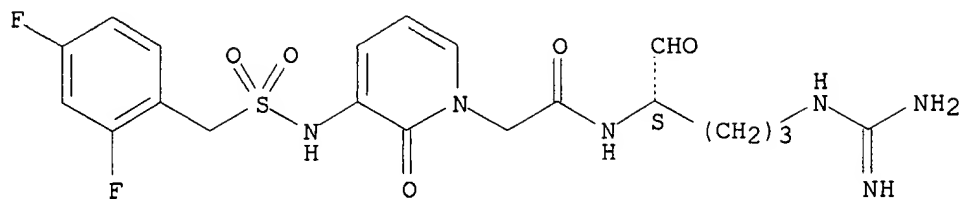
CRN 179525-72-5

CMF C20 H24 F2 N6 O5 S

CDES 1:S

Absolute stereochemistry.

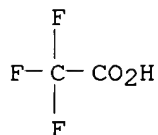
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-88-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-methyl-2-oxo-3-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

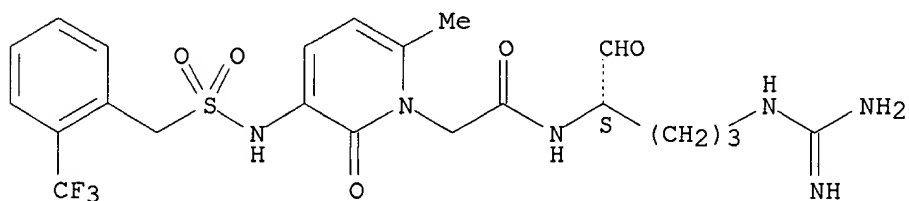
CM 1

CRN 179525-87-2

CMF C22 H27 F3 N6 O5 S

CDES 1:S

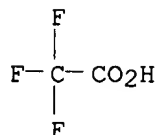
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-90-7 CAPLUS

09/ 716,962

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (5-fluoro-2-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

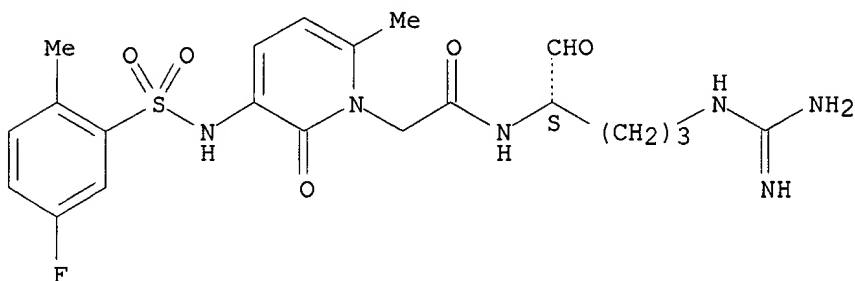
CM 1

CRN 179525-89-4

CMF C21 H27 F N6 O5 S

CDES 1:S

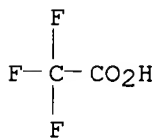
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-92-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[[ (2,5-dimethoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

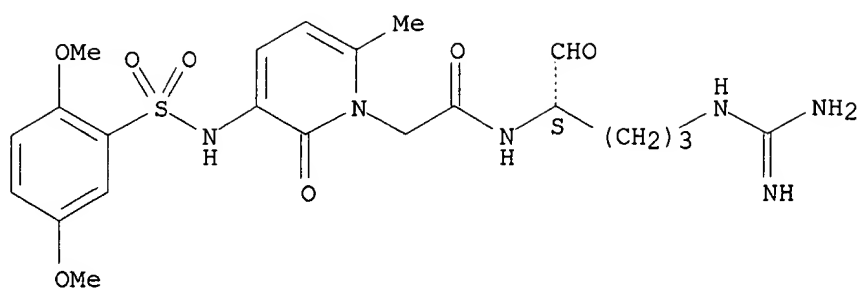
CRN 179525-91-8

CMF C22 H30 N6 O7 S

CDES 1:S

Absolute stereochemistry.

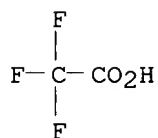
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-94-1 CAPLUS

CN Benzoic acid, 2-[[[1-[2-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]amino]sulfonyl]methyl]-, methyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

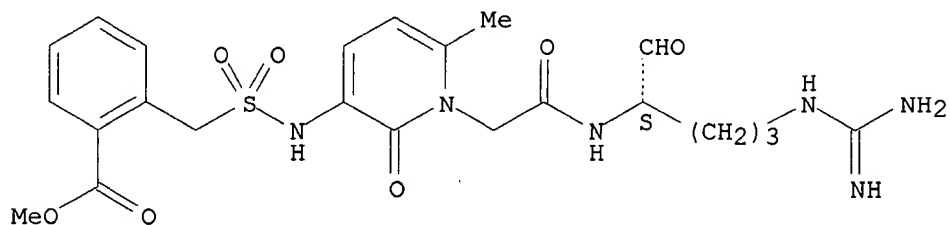
CM 1

CRN 179525-93-0

CMF C23 H30 N6 O7 S

CDES 1:S

Absolute stereochemistry.

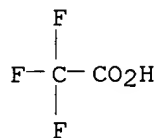


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179525-96-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-ethyl-3-[[ (5-fluoro-2-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

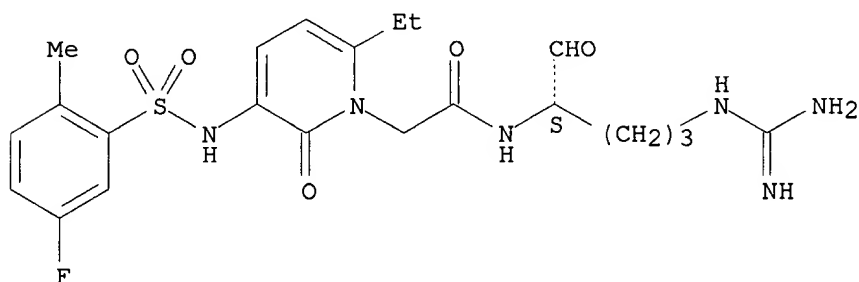
CM 1

CRN 179525-95-2

CMF C22 H29 F N6 O5 S

CDES 1:S

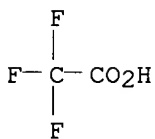
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179525-98-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-6-(2-methylpropyl)-2-oxo-3-[[ (phenylmethyl)sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

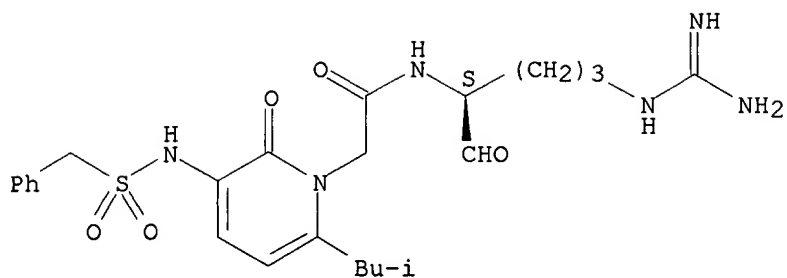
CRN 179525-97-4

CMF C24 H34 N6 O5 S

CDES 1:S

Absolute stereochemistry.

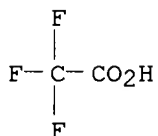
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179526-10-4 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(3-phenylpropyl)-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

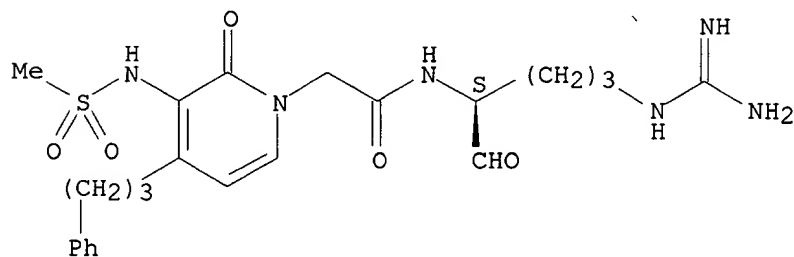
CM 1

CRN 179526-09-1

CMF C23 H32 N6 O5 S

CDES 1:S

Absolute stereochemistry.

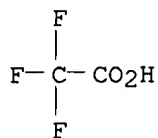


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/ 716,962



RN 179526-12-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

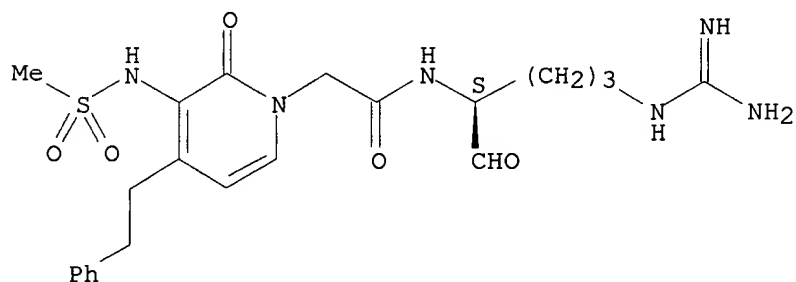
CM 1

CRN 179526-11-5

CMF C22 H30 N6 O5 S

CDES 1:S

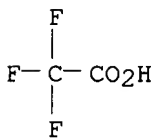
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179526-14-8 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-4-(2-phenylethyl)-3-[[[2,2,2-trifluoroethyl)sulfonyl]amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179526-13-7

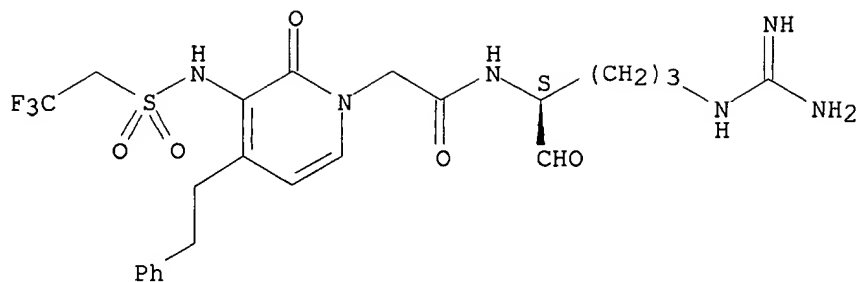
CMF C23 H29 F3 N6 O5 S

CDES 1:S

Absolute stereochemistry.



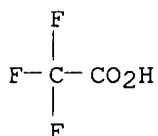
09/ 716,962



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179526-16-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-2-oxo-4-(2-phenylethyl)-3-[(phenylsulfonyl)amino]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

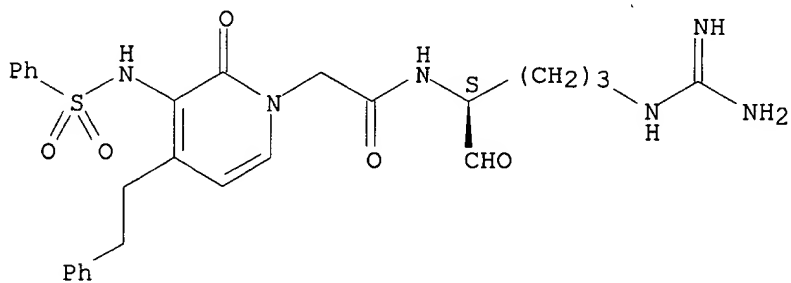
CM 1

CRN 179526-15-9

CMF C27 H32 N6 O5 S

CDES 1:S

Absolute stereochemistry.

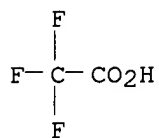


CM 2

CRN 76-05-1

CMF C2 H F3 O2

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RN 179526-18-2 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-3-  
[[ (methylamino) sulfonyl] amino]-2-oxo-4-(2-phenylethyl)-, (S)-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

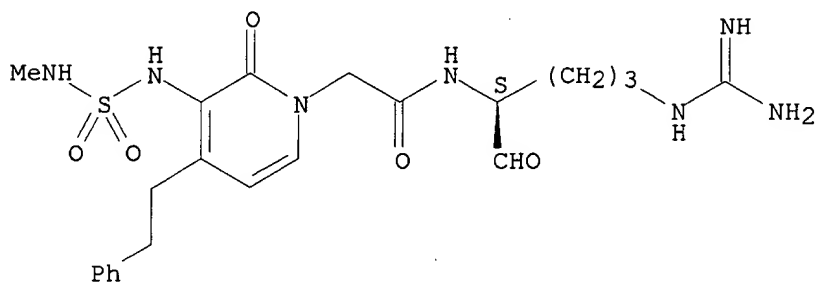
CM 1

CRN 179526-17-1

CMF C22 H31 N7 O5 S

CDES 1:S

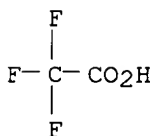
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 179526-81-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-  
formylbutyl]-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

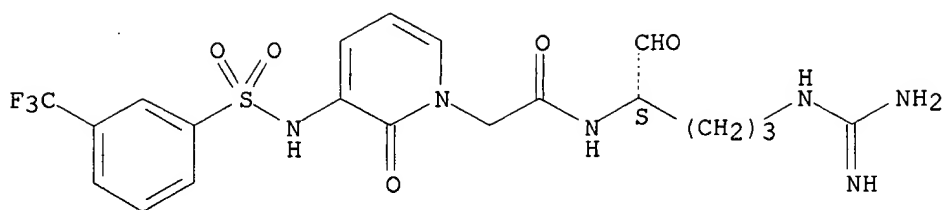
CM 1

CRN 179526-80-8

CMF C20 H23 F3 N6 O5 S

CDES 1:S

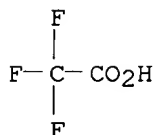
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L5 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:316600 CAPLUS

DOCUMENT NUMBER: 125:48746

TITLE: The in vitro effects of phosphodiesterase inhibitors on the human internal mammary artery

AUTHOR(S): Salmenpera, Markku; Levy, Jerrold H.

CORPORATE SOURCE: School Medicine, Emory University, Atlanta, GA, 30322, USA

SOURCE: Anesth. Analg. (Baltimore) (1996), 82(5), 954-957

CODEN: AACRAT; ISSN: 0003-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The internal mammary artery (IMA) is the preferred conduit for myocardial revascularization, but it changes diam. in response to injury or **thromboxane** release to decrease myocardial blood supply. Papaverine, a phosphodiesterase (PDE) inhibitor, is injected in the IMA bed during surgery to prevent spasm. The authors evaluated the ability of papaverine and cAMP PDE Type III (cAMP-PDE) inhibitors (amrinone, enoximone, and milrinone) in vitro to reverse the constriction of human IMA rings, induced by a **thromboxane** A2 analog, U46619, and evaluated amrinone's ability to modify the constricting effect of norepinephrine (NE). All cAMP-PDE inhibitors produced complete relaxation of U46619-induced contractions. The concns. necessary to produce 50% relaxation (EC50) were within therapeutic ranges. The vasodilatory potency of amrinone was greater after NE than after U46619 (EC50, 1.9 vs. 4.3.times.10<sup>-5</sup> M). Response to constriction after a submaximal dose of NE was attenuated to 38% from that obsd. in the control rings by a pretreatment with amrinone. These results suggest that cAMP-PDE inhibitors have the potential utility to reverse IMA spasm, and represent a potential therapeutic modality for IMA spasm after myocardial revascularization.

IT 60719-84-8, Amrinone

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

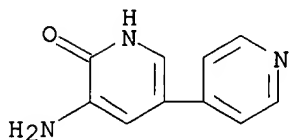
(in vitro vasodilator effects of phosphodiesterase inhibitors on human internal mammary artery constriction by norepinephrine and

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**thromboxane A2 analog)**

RN 60719-84-8 CAPLUS

CN [3,4'-Bipyridin]-6(1H)-one, 5-amino- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:150096 CAPLUS

DOCUMENT NUMBER: 124:278487

TITLE: Hemodynamic effects of amrinone in children after Fontan surgery

AUTHOR(S): Sorensen, Gregory K.; Ramamoorthy, Chandra; Lynn, Anne M.; French, James; Stevenson, Geoffrey

CORPORATE SOURCE: Pediatric Cardiac Anesthesiology, Children's Hospital, Seattle, WA, 98105, USA

SOURCE: Anesth. Analg. (Baltimore) (1996), 82(2), 241-6

CODEN: AACRAT; ISSN: 0003-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB After Fontan repair in children, we performed a prospective, open-label study to evaluate the effect of amrinone on pulmonary vascular resistance (PVRI). Eight patients who underwent the Fontan repair had baseline arterial pressure, left atrial pressure, central venous pressure, and cardiac output measured postoperatively. Hemodynamic measurements were repeated after amrinone 4.5 mg/kg. The PVRI tended to decrease, but the change was not statistically significant. Although the systemic vascular resistance decreased to  $802 \pm 222$  from  $941 \pm 191$  dynes  $\text{cm}^{-5} \cdot \text{m}^{-2}$  ( $P < 0.05$ ), mean arterial blood pressure was unchanged. Cardiac index ( $3.8 \pm 1.2$  to  $4.7 \pm 1.6$   $\text{L} \cdot \text{min}^{-1} \cdot \text{m}^{-2}$ ) and stroke vol. index ( $23.6 \pm 6.7$  to  $30.5 \pm 8.1$   $\text{mL} \cdot \text{beat}^{-1} \cdot \text{m}^{-2}$ ) increased, and heart rate decreased ( $160 \pm 21$  to  $151 \pm 24$  bpm) ( $P < 0.05$ ). Colloid transfusion during amrinone bolus administration was 13.9 mL/kg. The mean serum amrinone concn. was 4.2  $\mu\text{g/mL}$  at the end of bolus and clearance was  $2.24 \text{ mL} \cdot \text{kg}^{-1} \cdot \text{min}^{-1}$ . Arrhythmias and **thrombocytopenia** were not noted. We conclude that amrinone administration is effective in increasing cardiac output in children who have undergone a Fontan repair.

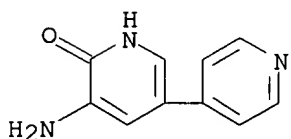
IT **60719-84-8**, Amrinone

RL: BAC (Biological activity or effector, except adverse); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(hemodynamic effects of amrinone in children after Fontan surgery)

RN 60719-84-8 CAPLUS

CN [3,4'-Bipyridin]-6(1H)-one, 5-amino- (9CI) (CA INDEX NAME)



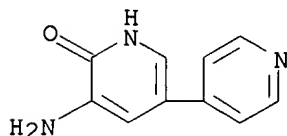
L5 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2001 ACS

09/ 716,962

ACCESSION NUMBER: 1996:98379 CAPLUS  
DOCUMENT NUMBER: 124:219875  
TITLE: Effect of phosphodiesterase inhibitors on human  
arteries in vitro  
AUTHOR(S): Vroom, M. B.; Pfaffendorf, M.; van Wezel, H. B.; van  
Zwieten, P. A.  
CORPORATE SOURCE: Dep. Anaesthesiology, Acad. Med. Cent., Amsterdam,  
1105, Neth.  
SOURCE: Br. J. Anaesth. (1996), 76(1), 122-9  
CODEN: BJANAD; ISSN: 0007-0912  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB In the present study, the authors investigated if the relaxant effect of phosphodiesterase (PDE) III inhibitors on human vessels could be inhibited by a nitric oxide synthase blocker L-NAME, or by a blocker of ATP-sensitive potassium channels (KATP), glibenclamide. The expts. were performed using an isometric myograph in isolated human s.c. small arteries obtained from healthy donors. After a priming procedure consisting of exposure to high potassium (120 mM) solns., phenylephrine (10 .mu.M) and an equil. period of 30 min, the prepns. were contracted with a **thromboxane** A2 mimetic agent, U46619 (1 .mu.M). Subsequently, cumulative concn.-response curves were constructed for the selective PDE III inhibitors amrinone, milrinone and enoximone, and for theophylline and dipyridamole, with and without the addn. of L-NAME (100 .mu.M) or glibenclamide (1 .mu.M). Addn. of L-NAME to the organ bath resulted in significantly higher pEC50 values (-log of the conc. required for 50% relaxation) for milrinone compared with the control: 2.77 vs. 3.49 M. There was no significant difference between any other group. From the data, the authors conclude that the relaxant properties of amrinone, enoximone, theophylline and dipyridamole are not dependent on nitric oxide release or on interaction with KATP channels. However, the effect of milrinone may be partly endothelium-dependent in human vessels in vitro.

IT **60719-84-8**, Amrinone  
RL: BAC (Biological activity or effector, except adverse); **THU**  
(**Therapeutic use**); BIOL (Biological study); USES (Uses)  
(vasodilator effect of phosphodiesterase inhibitors on human arteries  
in vitro)  
RN 60719-84-8 CAPLUS  
CN [3,4'-Bipyridin]-6(1H)-one, 5-amino- (9CI) (CA INDEX NAME)



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L2 50 S L1  
L3 8401 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:37:00 ON 27 NOV 2001

L4 301 S L3/THU

09/ 716,962

L5 28 S L4 AND (THROMBO? OR COAGULA? OR ANTICOAGULA?)

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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